

10/551,709

=> file caplus

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FILE COVERS 1907 - 14 Oct 2008 VOL 149 ISS 16

FILE LAST UPDATED: 12 Oct 2008 (20081012/ED)

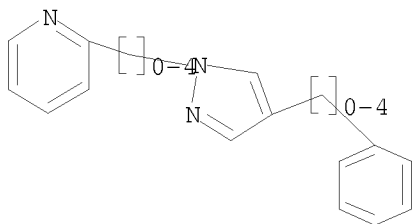
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L1 STR



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L3 195 SEA FILE=REGISTRY SSS FUL L1

L4 50 SEA FILE=CAPLUS L3

=> d 14 1-50 ibib abs hitstr

L4 ANSWER 1 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:829945 CAPLUS

DOCUMENT NUMBER: 149:153074

TITLE: Preparation of pyridines and pyrimidines as JNK and ERK kinase inhibitors

INVENTOR(S): Belanger, David B.; Siddiqui, M. Arshad; Curran, Patrick J.; Hamann, Blake; Zhao, Lianyun; Reddy, Panduranga Adulla P.; Tadikonda, Praveen K.; Shipps, Gerald W., Jr.; Mansoor, Umar Faruk

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 243pp.

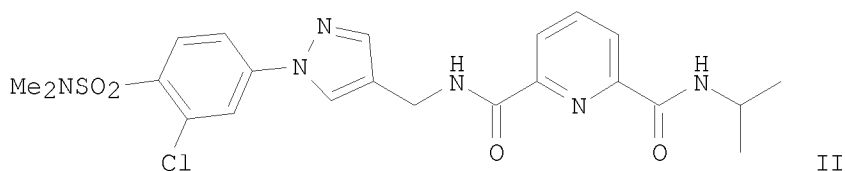
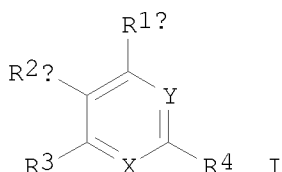
CODEN: PIXXD2

10/551,709

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008082487	A2	20080710	WO 2007-US25764	20071217
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-876104P P 20061220
OTHER SOURCE(S): MARPAT 149:153074
GI



AB Title compds. [I; X = N, CH; Y = N, CR5; R1a = H, halo, OH, alkoxy, alkyl, (substituted) aryl, heteroaryl, amino; R2a = H, halo, alkyl, OH, alkoxy, amino; R3 = H, halo, alkyl, cycloalkyl, (substituted) aminocarbonyl, aryl, heteroaryl, amino, etc.; R4 = (substituted) aminocarbonyl, aryl, heteroaryl, heterocycloalkyl, amino, etc.; R5 = H, halo, OH, alkoxy, amino], were prepared Thus, title compound (II) (preparation outlined)

inhibited

JNK1 with IC50 = 4 nM.

IT 1038409-10-7P

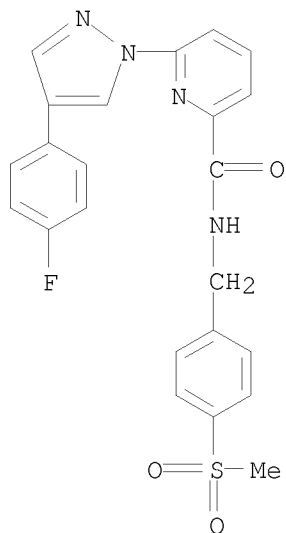
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridines and pyrimidines as JNK and ERK kinase inhibitors)

RN 1038409-10-7 CAPLUS

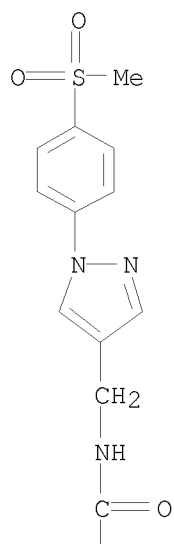
CN 2-Pyridinecarboxamide, 6-[4-(4-fluorophenyl)-1H-pyrazol-1-yl]-N-[[4-(methylsulfonyl)phenyl]methyl]- (CA INDEX NAME)

10/551,709



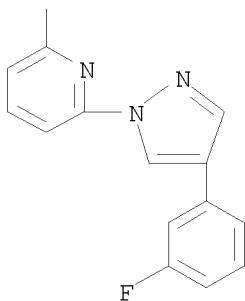
IT 1038408-88-6P 1038408-89-7P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(preparation of pyridines and pyrimidines as JNK and ERK kinase inhibitors)
RN 1038408-88-6 CAPLUS
CN 2-Pyridinecarboxamide, 6-[4-(3-fluorophenyl)-1H-pyrazol-1-yl]-N-[[1-[4-(
(methylsulfonyl)phenyl]-1H-pyrazol-4-yl)methyl]- (CA INDEX NAME)

PAGE 1-A



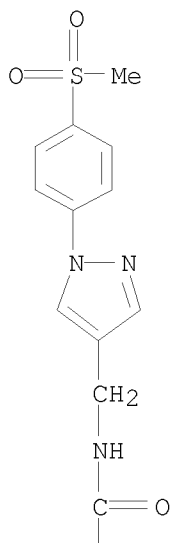
10/551,709

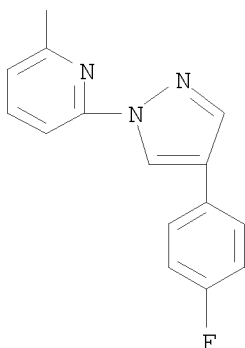
PAGE 2-A



RN 1038408-89-7 CAPLUS
CN 2-Pyridinecarboxamide, 6-[4-(4-fluorophenyl)-1H-pyrazol-1-yl]-N-[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazol-4-yl]methyl]- (CA INDEX NAME)

PAGE 1-A





L4 ANSWER 2 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:829152 CAPLUS

DOCUMENT NUMBER: 149:153073

TITLE: Heterocyclic carboxamide derivatives as calpain inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Kling, Andreas; Hornberger, Wilfried; Mack, Helmut; Moeller, Achim; Nimmrich, Volker; Seemann, Dietmar; Lubisch, Wilfried

PATENT ASSIGNEE(S): Abbott G.m.b.H. & Co. K.-G., Germany

SOURCE: PCT Int. Appl., 145pp.

CODEN: PIXXD2

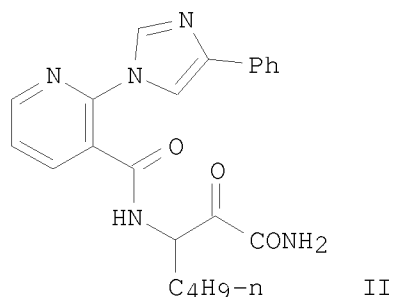
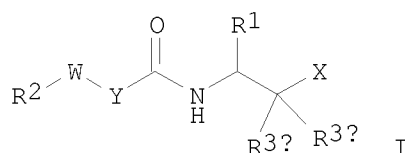
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

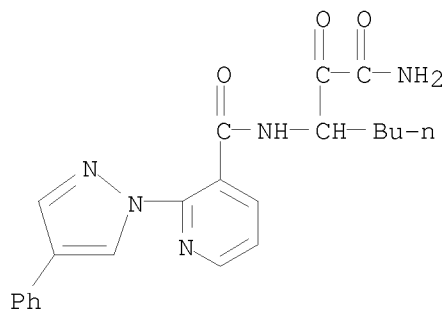
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008080969	A1	20080710	WO 2007-EP64617	20071228
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080234329	A1	20080925	US 2008-70941	20080222
US 20080234330	A1	20080925	US 2008-72065	20080222
PRIORITY APPLN. INFO.:			EP 2006-127369	A 20061229
			WO 2007-EP64617	A1 20071228
OTHER SOURCE(S):	MARPAT 149:153073			
GI				



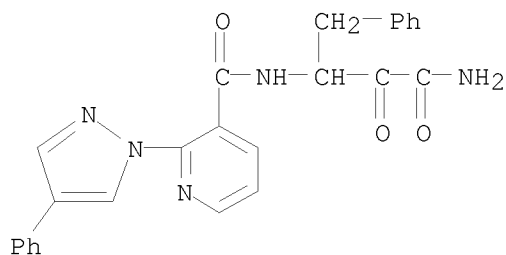
- AB The invention relates to carboxamide derivs. of formula I and their use for the manufacture of a medicament. The carboxamide compds. are inhibitors of calpain (calcium dependent cysteine proteases). The invention therefore also relates to the use of these carboxamide compds. for treating a disorder associated with an elevated calpain activity. Compds. of formula I wherein , R1 is H, (un)substituted C1-10 alkyl, (un)substituted C2-10 alkenyl, (un)substituted C2-10 alkynyl, C3-7 (hetero)cycloalkyl, C3-7 (hetero)cycloalkyl-C1-4 alkyl, etc.; R2 is H, (un)substituted C1-10 alkyl, (un)substituted C1-10 alkoxy, (un)substituted C2-10 alkenyl, (un)substituted C2-10 alkynyl, (un)substituted C3-7 (hetero)cycloalkyl, etc.; R3a and R3b are independently OH and C1-4 alkoxy; R3aR3b may taken together with the carbon attached to form C=O; X is H, CO2H and derivs., CONH2 and derivs., CONH-C1-6 alkyl and derivs. and CONH-NH2 and derivs.; Y is a divalent, (un)substituted aromatic or (un)substituted 6-membered heteroarom. radical; Y is a divalent, (un)substituted aromatic or (un)substituted 6-membered heteroarom. radical; W is (un)substituted imidazolyl and (un)substituted pyrazolyl; W and R2 may take together to form (un)substituted heterobi- or heterotricyclic radical; and their tautomers, prodrugs and pharmaceutically suitable salts thereof, are claimed. Example compound II was prepared via amidation of 2-(4-phenyl-1H-imidazol-1-yl)pyridine-3-carboxylic acid with 3-amino-2-hydroxyheptanamide; the resulting N-[1-(2-amino-1-hydroxy-2-oxoethyl)pentyl]-2-(4-phenyl-1H-imidazol-1-yl)pyridine-3-carboxamide underwent oxidation to give II. All the invention compds. were evaluated for their calpain inhibitory activity. From the assay, it was determined that II exhibited the Ki values of ≤ 40 nM.
- IT 1037826-41-7P, N-[1-[Amino(oxo)acetyl]pentyl]-2-(4-phenyl-1H-pyrazol-1-yl)nicotinamide 1037826-42-8P, N-(3-Amino-1-benzyl-2,3-dioxopropyl)-2-(4-phenyl-1H-pyrazol-1-yl)nicotinamide 1037827-46-5P, N-[3-Amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(2-fluorophenyl)-1H-pyrazol-1-yl]pyridine-3-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of heterocyclic carboxamide derivs. as calpain inhibitors useful in the treatment of diseases)

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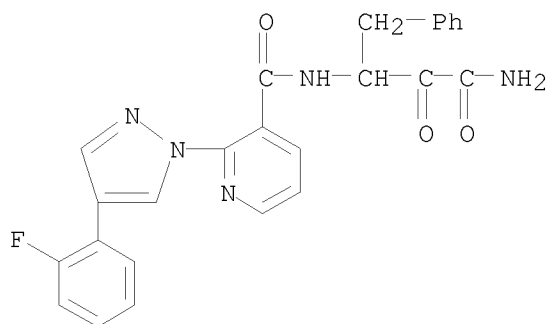
RN 1037826-41-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1037826-42-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-(4-phenyl-1H-pyrazol-1-yl)- (CA INDEX NAME)



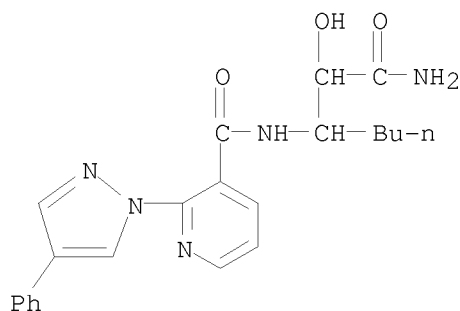
RN 1037827-46-5 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-amino-2,3-dioxo-1-(phenylmethyl)propyl]-2-[4-(2-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



IT 1037828-51-5P, N-[1-(2-Amino-1-hydroxy-2-oxoethyl)pentyl]-2-(4-phenyl-1H-pyrazol-1-yl)pyridine-3-carboxamide 1037828-52-6P, N-[3-Amino-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]-2-(4-phenyl-1H-pyrazol-1-yl)pyridine-3-carboxamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterocyclic carboxamide derivs. as calpain inhibitors useful in the treatment of diseases)
RN 1037828-51-5 CAPLUS

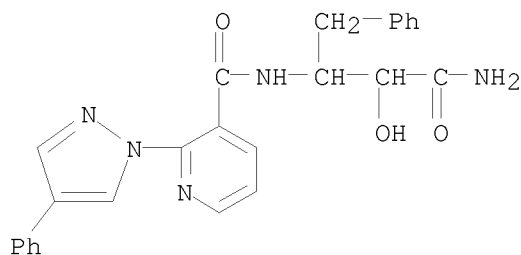
10/551,709

CN 3-Pyridinecarboxamide, N-[1-(2-amino-1-hydroxy-2-oxoethyl)pentyl]-2-(4-phenyl-1H-pyrazol-1-yl)- (CA INDEX NAME)



RN 1037828-52-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-amino-2-hydroxy-3-oxo-1-(phenylmethyl)propyl]-2-(4-phenyl-1H-pyrazol-1-yl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:500481 CAPLUS

DOCUMENT NUMBER: 148:472035

TITLE: Preparation of acylaminopyrazoles as thrombin inhibitors

INVENTOR(S): Bauser, Marcus; Buchmueller, Anja; Degenfeld, Georges; Dittrich-Wengenroth, Elke; Gerdes, Christoph; Gnoth, Mark Jean; Gottschling, Dirk; Heitmeier, Stefan; Hendrix, Martin; Koebberling, Johannes; Lang, Dieter; Rester, Ulrich; Saatmann, Uwe; Tersteegen, Adrian; Bruens, Astrid

PATENT ASSIGNEE(S): Bayer HealthCare A.-G., Germany

SOURCE: PCT Int. Appl., 96pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008046527	A1	20080424	WO 2007-EP8657	20071005
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,				

GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
 KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
 MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
 PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM

DE 102006048924

A1

20080424

DE 2006-102006048924 20061017

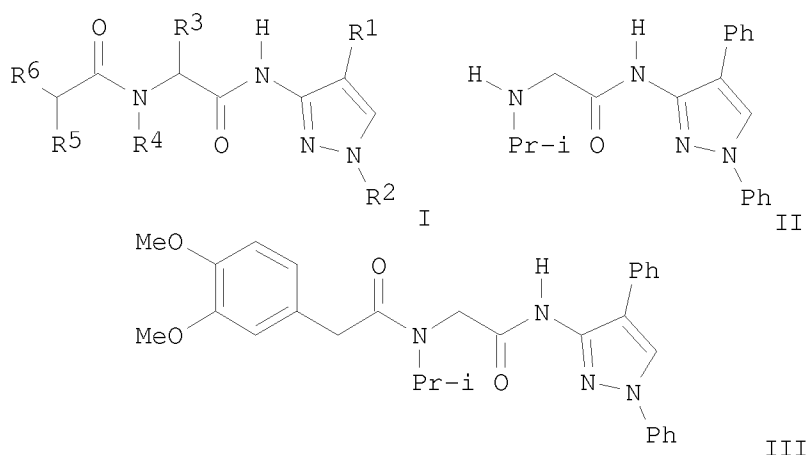
PRIORITY APPLN. INFO.:

DE 2006-102006048924A 20061017

OTHER SOURCE(S):

MARPAT 148:472035

GI



AB Title compds. I [R1 = Ph, 5 or 6-membered heteroaryl; R2 = Ph, 5 or 6-membered heteroaryl; R3 = H; R4 = alkyl, alkenyl, cycloalkyl; R5 = H, halo, OH, etc.; R6 = Ph, 5 or 6-membered heteroaryl, cycloalkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of amine II and 3,4-dimethoxybenzeneacetic acid afforded acylaminopyrazole III in 92% yield. In thrombin inhibition assays, 7-examples of compds. I exhibited IC50 values ranging from 0.48-34 nM.

IT 1020653-18-2P 1020653-20-6P

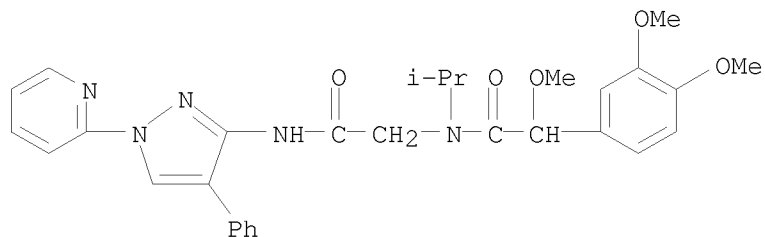
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acylaminopyrazoles as thrombin inhibitors)

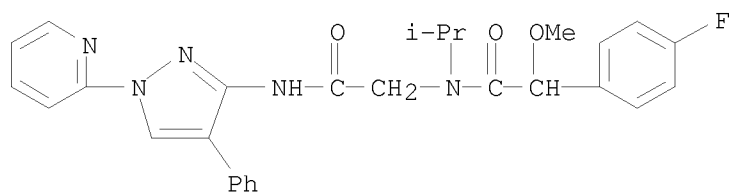
RN 1020653-18-2 CAPLUS

CN Benzeneacetamide, α ,3,4-trimethoxy-N-(1-methylethyl)-N-[2-oxo-2-[[4-phenyl-1-(2-pyridinyl)-1H-pyrazol-3-yl]amino]ethyl]- (CA INDEX NAME)

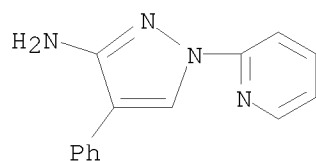
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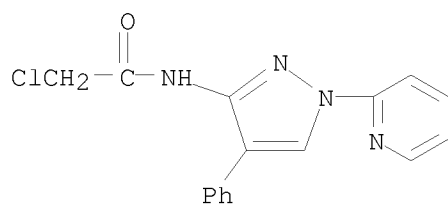
RN 1020653-20-6 CAPLUS
 CN Benzeneacetamide, 4-fluoro- α -methoxy-N-(1-methylethyl)-N-[2-oxo-2-[[4-phenyl-1-(2-pyridinyl)-1H-pyrazol-3-yl]amino]ethyl]- (CA INDEX NAME)



IT 1020653-52-4P 1020653-57-9P 1020653-62-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of acylaminopyrazoles as thrombin inhibitors)
 RN 1020653-52-4 CAPLUS
 CN 1H-Pyrazol-3-amine, 4-phenyl-1-(2-pyridinyl)- (CA INDEX NAME)

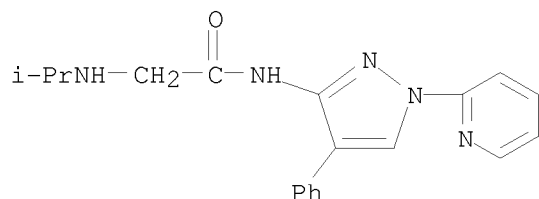


RN 1020653-57-9 CAPLUS
 CN Acetamide, 2-chloro-N-[4-phenyl-1-(2-pyridinyl)-1H-pyrazol-3-yl]- (CA INDEX NAME)



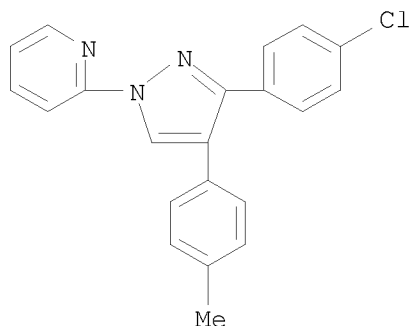
RN 1020653-62-6 CAPLUS
 CN Acetamide, 2-[(1-methylethyl)amino]-N-[4-phenyl-1-(2-pyridinyl)-1H-pyrazol-3-yl]- (CA INDEX NAME)

10/551,709



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:239735 CAPLUS
DOCUMENT NUMBER: 148:403118
TITLE: Base-Mediated Reaction of Hydrazones and Nitroolefins with a Reversed Regioselectivity: A Novel Synthesis of 1,3,4-Trisubstituted Pyrazoles
AUTHOR(S): Deng, Xiaohu; Mani, Neelakandha S.
CORPORATE SOURCE: Johnson & Johnson Pharmaceutical Research & Development, L.L.C., San Diego, CA, 92121, USA
SOURCE: Organic Letters (2008), 10(6), 1307-1310
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:403118
AB A regioselective synthesis of 1,3,4-tri- or 1,3,4,5-tetrasubstituted pyrazoles by the reaction of hydrazones with nitroolefins is described. Mediated with strong bases such as t-BuOK, the reaction exhibits a reversed, exclusive 1,3,4-regioselectivity. Subsequent quenching with strong acids such as TFA is essential to achieve good yields. A plausible stepwise cycloaddn. reaction mechanism is proposed.
IT 1016169-44-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(regioselective synthesis of 1,3,4-tri- or 1,3,4,5-tetrasubstituted pyrazoles by base-mediated reaction of hydrazones with nitroolefins with reversed regioselectivity)
RN 1016169-44-0 CAPLUS
CN Pyridine, 2-[3-(4-chlorophenyl)-4-(4-methylphenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/551,709

L4 ANSWER 5 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1300822 CAPLUS

DOCUMENT NUMBER: 147:533593

TITLE: A method for the preparation of maleimido derivatives of biomolecule labeling reactants and conjugates derived thereof

INVENTOR(S): Hovinen, Jari

PATENT ASSIGNEE(S): Wallac Oy, Finland

SOURCE: PCT Int. Appl., 31pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007128873	A1	20071115	WO 2007-FI50247	20070504
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
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PRIORITY APPLN. INFO.:

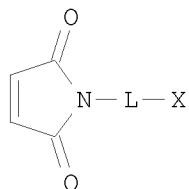
FI 2006-5291 A 20060505

US 2006-797674P P 20060505

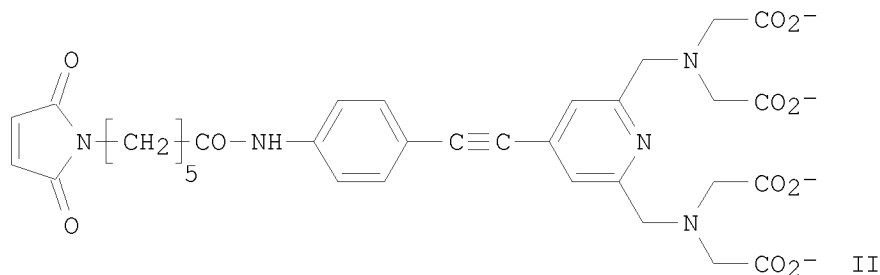
US 2006-842036P P 20060905

OTHER SOURCE(S): CASREACT 147:533593; MARPAT 147:533593

GI



I



II

AB This invention relates to a new method for the preparation of chelating agents or metal chelates, particularly lanthanide(III) chelates, tethered to a maleimido function and to novel end products and intermediates produced in said method. The chelating agents are maleimido derivs. (I) where L is a linking group and X is a chelating group. The invention concerns also biomol. conjugates derived thereof. Thus, the europium(III) chelate with a bis(aminomethyl)pyridine tetraacetate derivative (II) was prepared and was reacted with Ac-CVEIDK-CONH₂ to give the peptide conjugate.

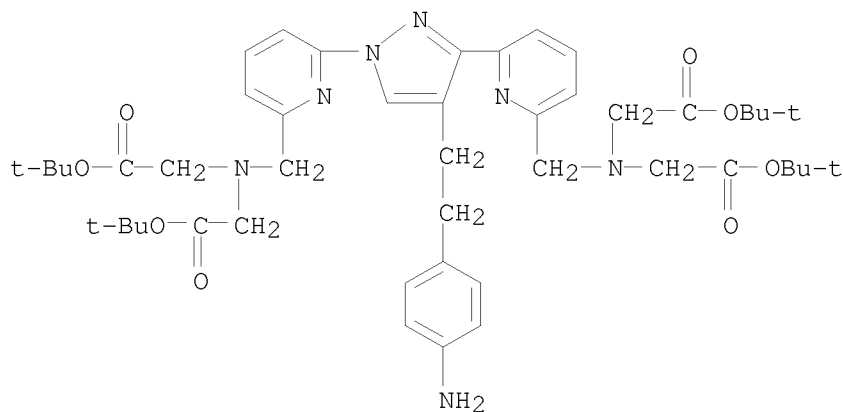
IT 189805-30-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of lanthanide chelates of maleimido derivs. and their biomol. conjugates)

RN 189805-30-9 CAPLUS

CN Glycine, N,N'-[[4-[2-(4-aminophenyl)ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, 1,1'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



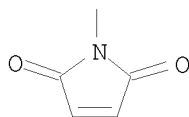
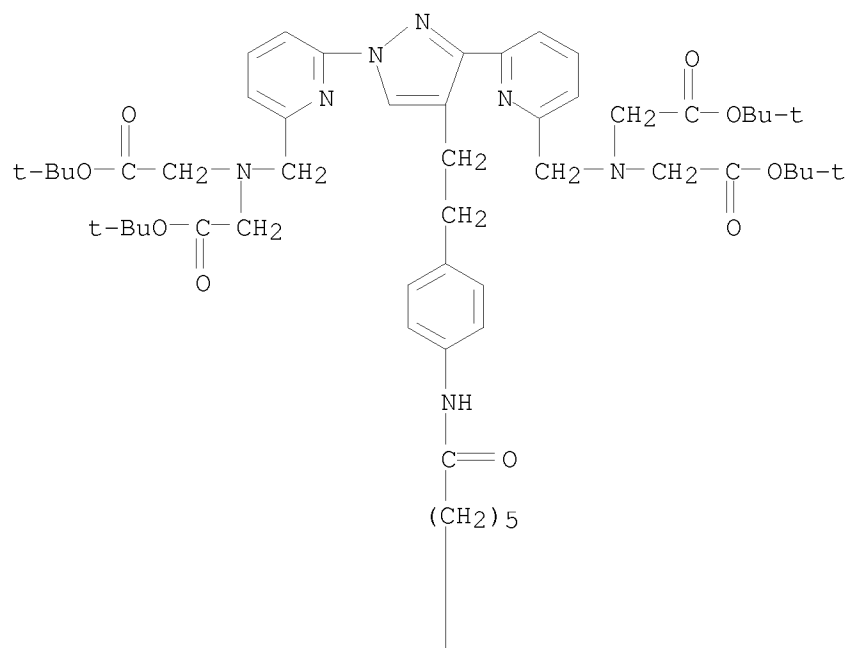
IT 935687-82-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of lanthanide chelates of maleimido derivs. and their biomol. conjugates)

RN 935687-82-4 CAPLUS

CN Glycine, N,N'-[[4-[2-[4-[[6-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxohexyl]amino]phenyl]ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, 1,1'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:770584 CAPLUS

DOCUMENT NUMBER: 148:495841

TITLE: Synthesis and general bioactivity of 4-(3,4,5-trimethoxyphenyl)pyrazoles

AUTHOR(S): Fielder, Layne M.; Smith, Stanton Q.

CORPORATE SOURCE: Department of Chemistry, Virginia Military Institute, Lexington, VA, 24450, USA

SOURCE: Journal of Undergraduate Chemistry Research (2007), 6(2), 77-80

CODEN: JUCRBV; ISSN: 1541-6003

PUBLISHER: Virginia Military Institute

DOCUMENT TYPE: Journal

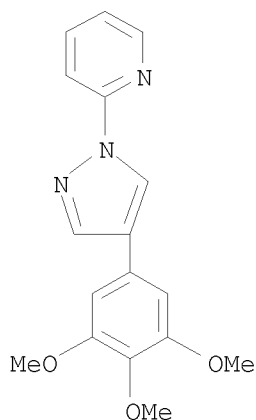
LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:495841

AB Seven new 4-(3,4,5-trimethoxyphenyl)pyrazoles have been prepared by the reaction of various monosubstituted hydrazines with a vinamidinium salt. The pyrazoles were prepared in good to excellent yield and purity under mild conditions. Several of these pyrazoles exhibited activity in the brine shrimp assay.

10/551,709

IT 1021424-60-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and general bioactivity of
4-(3,4,5-trimethoxyphenyl)pyrazoles)
RN 1021424-60-1 CAPLUS
CN Pyridine, 2-[4-(3,4,5-trimethoxyphenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:619866 CAPLUS

DOCUMENT NUMBER: 147:52699

TITLE: Phenoxyacetic acid derivatives as CRTH2 receptor ligands, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Ulven, Trond; Frimurer, Thomas; Rist, Oeystein; Kostenis, Evi; Hoegberg, Thomas; Receveur, Jean-Marie; Grimstrup, Marie

PATENT ASSIGNEE(S): 7TM Pharma A/S, Den.

SOURCE: PCT Int. Appl., 22pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2007062678	A1	20070607	WO 2005-EP12881	20051129
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

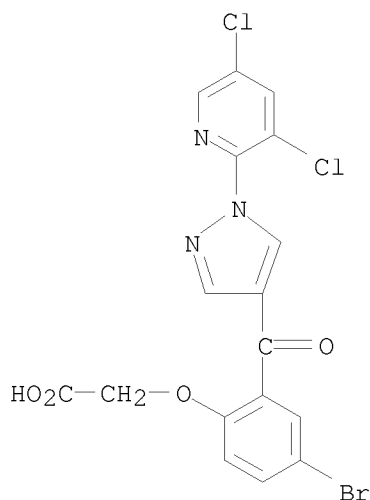
PRIORITY APPLN. INFO.:
GI

WO 2005-EP12881

20051129

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

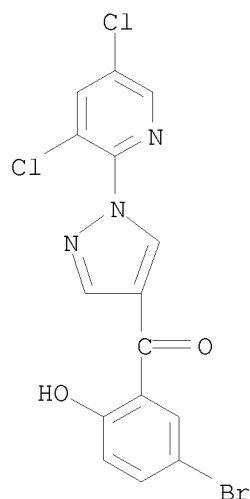
- AB The invention relates to phenoxyacetic acid derivs. as CRTH2 (chemoattractant receptor-homologous mol. expressed on T helper cells type 2) receptor modulators. The invention also relates to the preparation of the compds. of the invention, pharmaceutical compns. comprising a compound of the invention together with a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of diseases responsive to modulation of CRTH2 receptors, particularly diseases having a significant inflammatory component, such as asthma. Ring opening and heterocyclization of chromone I with (3,5-dichloropyridin-2-yl)hydrazine gave phenol II, which underwent substitution of Et bromoacetate and hydrolysis to form phenoxyacetic acid III. The compds. of the invention, e.g., III, express IC50 values below 0.5 μ M in assays for CRTH2 binding and CRTH2 antagonist function.
- IT 939437-70-4P, 4-Bromo-2-[[1-(3,5-dichloropyridin-2-yl)-1H-pyrazol-4-yl]carbonyl]phenoxyacetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phenoxyacetic acid derivs. as CRTH2 receptor ligands)
- RN 939437-70-4 CAPLUS
- CN Acetic acid, 2-[4-bromo-2-[[1-(3,5-dichloro-2-pyridinyl)-1H-pyrazol-4-yl]carbonyl]phenoxy]- (CA INDEX NAME)



- IT 939437-68-0P, (5-Bromo-2-hydroxyphenyl)[1-(3,5-dichloropyridin-2-yl)-1H-pyrazol-4-yl]methanone 939437-69-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phenoxyacetic acid derivs. as CRTH2 receptor ligands)
- RN 939437-68-0 CAPLUS
- CN Methanone, (5-bromo-2-hydroxyphenyl)[1-(3,5-dichloro-2-pyridinyl)-1H-

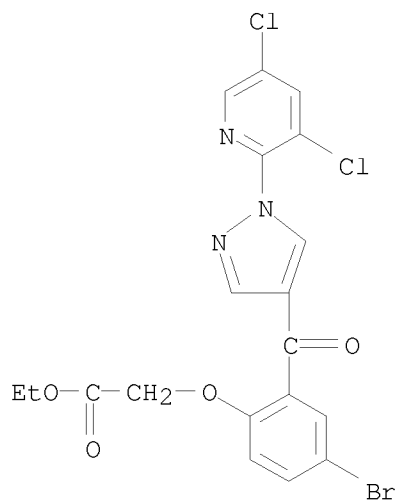
10/551,709

pyrazol-4-yl]- (CA INDEX NAME)



RN 939437-69-1 CAPLUS

CN Acetic acid, 2-[4-bromo-2-[[1-(3,5-dichloro-2-pyridinyl)-1H-pyrazol-4-yl]carbonyl]phenoxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:485399 CAPLUS

DOCUMENT NUMBER: 146:482057

TITLE: Preparation of 5-aminopyrazoles as agricultural fungicides

INVENTOR(S): Huenger, Udo

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 73pp.

CODEN: PIXXD2

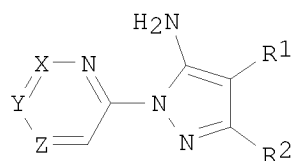
DOCUMENT TYPE: Patent

LANGUAGE: German

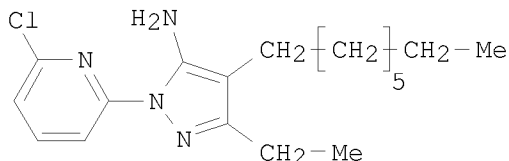
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007048733	A1	20070503	WO 2006-EP67477	20061017
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2005-23586 A 20051028
OTHER SOURCE(S): MARPAT 146:482057
GI



I



II

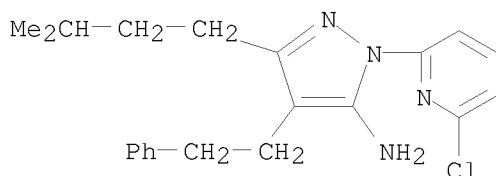
AB Title compds. I [R1 = alkyl, haloalkyl, cycloalkyl, etc.; R2 = halo, CN, alkyl, etc.; X, Y, Z = N or CR3; R3 = H, halo, CN, etc.] were prepared For example, condensation of 2-chloro-6-hydrazinopyridine and 2-(1-oxopropyl)decanenitrile afforded aminopyrazole II in 96% yield.

IT 935859-64-6P

RL: ARG (Analytical reagent use); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 5-aminopyrazoles as agricultural fungicides)

RN 935859-64-6 CAPLUS

CN 1H-Pyrazol-5-amine, 1-(6-chloro-2-pyridinyl)-3-(3-methylbutyl)-4-(2-phenylethyl)- (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:257547 CAPLUS

DOCUMENT NUMBER: 146:316909

TITLE: Preparation of anilinopyrazoles for the treatment of diabetes

INVENTOR(S): Lowe, Derek; Shelekhin, Tatiana; Wang, Gan; Ma, Xin; Iwuagwu, Christiana; Ying, Shihong; Magnuson, Steven; Rudolph, Joachim; Koebberling, Johannes; Pernerstorfer, Josef; Mueller, Thomas; Brands, Michael; Heimbach, Dirk; Lindner, Niels

PATENT ASSIGNEE(S): Bayer Pharmaceuticals Corporation, USA

SOURCE: PCT Int. Appl., 165pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

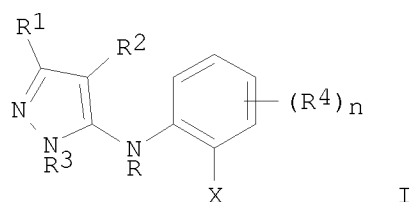
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007027842	A1	20070308	WO 2006-US33957	20060831
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM CA 2620425 A1 20070308 CA 2006-2620425 20060831 EP 1928455 A1 20080611 EP 2006-802675 20060831 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS PRIORITY APPLN. INFO.: US 2005-713146P P 20050831 WO 2006-US33957 W 20060831				

OTHER SOURCE(S): MARPAT 146:316909

GI



AB Title compds. [I; R = H, alkyl; R1 = H, (substituted) alkyl, cycloalkyl, haloalkyl, Ph, pyridyl; R2 = H, halo, (substituted) alkyl, cycloalkyl, haloalkyl, Ph, pyridyl, pyrimidyl, benzodioxolanyl; R3 = (Ph-fused) (substituted) 5-6 membered heteroaryl; R4 = alkyl, cycloalkyl, alkoxy,

haloalkyl, haloalkoxy, halo; n = 0-3; X = CO₂R₈, CONR₅R₆, SO₂NHR₇; R₅ = H, alkyl, (substituted) PhSO₂; R₆ = H, alkyl; R₇ = H, Me; R₈ = H, alkyl; with provisos], were prepared. Thus, 2-[[1-(3,6-dimethylpyrazin-2-yl)-3-ethyl-4-pyridin-3-yl-1H-pyrazol-5-yl]amino]-5-methylbenzoic acid (preparation from 3-chloro-2,5-dimethylpyrazine, 3-oxopentanenitrile, Me 2-iodo-5-methylbenzoate, and 3-pyridineboronic acid given) and other I increased insulin secretion from dispersed rat islet cells by 0.8-6.8 fold over controls.

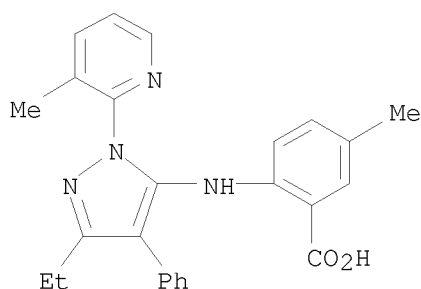
IT 928261-71-6P 928261-73-8P 928261-74-9P
928261-75-0P 928261-89-6P 928261-96-5P
928262-54-8P 928263-28-9P 928263-30-3P
928263-32-5P 928263-33-6P 928263-34-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of anilinopyrazoles for the treatment of diabetes)

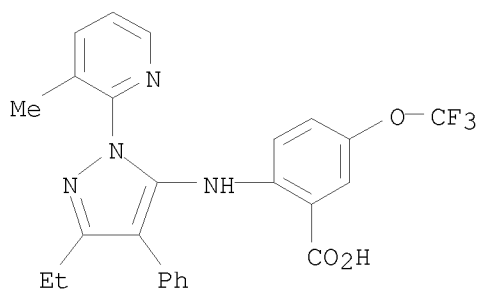
RN 928261-71-6 CAPLUS

CN Benzoic acid, 2-[[3-ethyl-1-(3-methyl-2-pyridinyl)-4-phenyl-1H-pyrazol-5-yl]amino]-5-methyl- (CA INDEX NAME)



RN 928261-73-8 CAPLUS

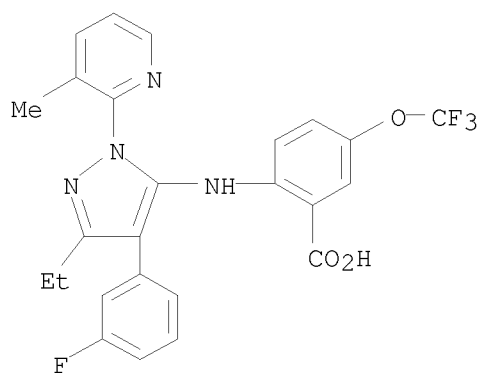
CN Benzoic acid, 2-[[3-ethyl-1-(3-methyl-2-pyridinyl)-4-phenyl-1H-pyrazol-5-yl]amino]-5-(trifluoromethoxy)- (CA INDEX NAME)



RN 928261-74-9 CAPLUS

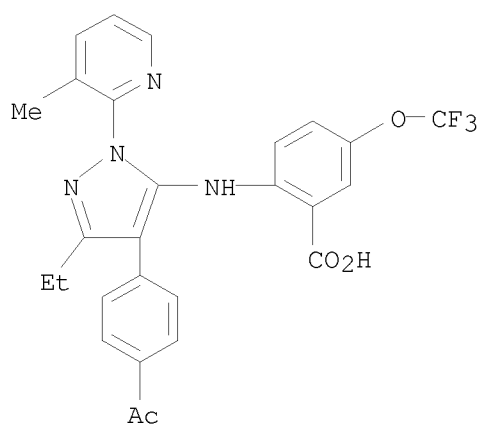
CN Benzoic acid, 2-[[3-ethyl-4-(3-fluorophenyl)-1-(3-methyl-2-pyridinyl)-1H-pyrazol-5-yl]amino]-5-(trifluoromethoxy)- (CA INDEX NAME)

10/551,709



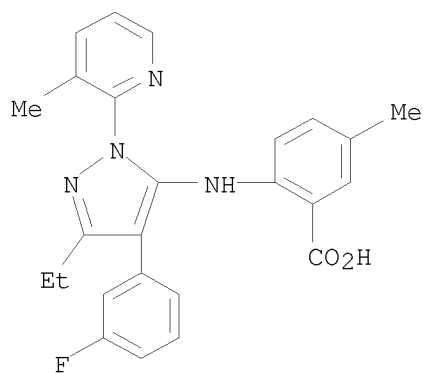
RN 928261-75-0 CAPLUS

CN Benzoic acid, 2-[[4-(4-acetylphenyl)-3-ethyl-1-(3-methyl-2-pyridinyl)-1H-pyrazol-5-yl]amino]-5-(trifluoromethoxy)- (CA INDEX NAME)



RN 928261-89-6 CAPLUS

CN Benzoic acid, 2-[[3-ethyl-4-(3-fluorophenyl)-1-(3-methyl-2-pyridinyl)-1H-pyrazol-5-yl]amino]-5-methyl- (CA INDEX NAME)

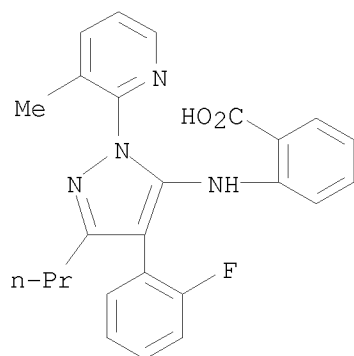


RN 928261-96-5 CAPLUS

CN Benzoic acid, 2-[[4-(2-fluorophenyl)-1-(3-methyl-2-pyridinyl)-3-propyl-1H-pyrazol-5-yl]amino]-5-methyl- (CA INDEX NAME)

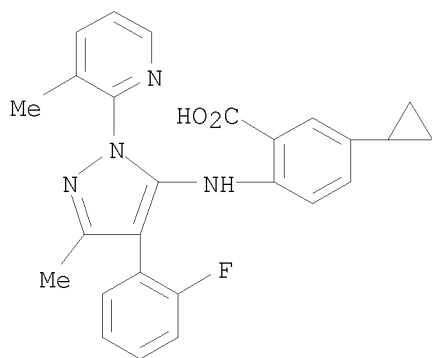
10/551,709

pyrazol-5-yl]amino]- (CA INDEX NAME)



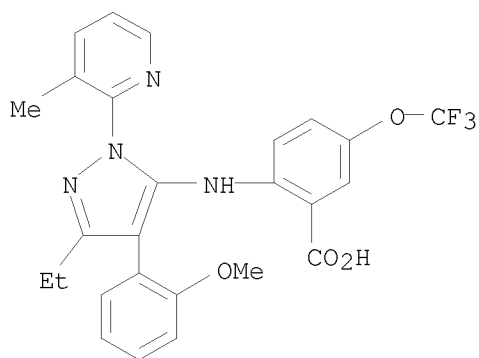
RN 928262-54-8 CAPLUS

CN Benzoic acid, 5-cyclopropyl-2-[[4-(2-fluorophenyl)-3-methyl-1-(3-methyl-2-pyridinyl)-1H-pyrazol-5-yl]amino]- (CA INDEX NAME)



RN 928263-28-9 CAPLUS

CN Benzoic acid, 2-[[3-ethyl-4-(2-methoxyphenyl)-1-(3-methyl-2-pyridinyl)-1H-pyrazol-5-yl]amino]-5-(trifluoromethoxy)- (CA INDEX NAME)

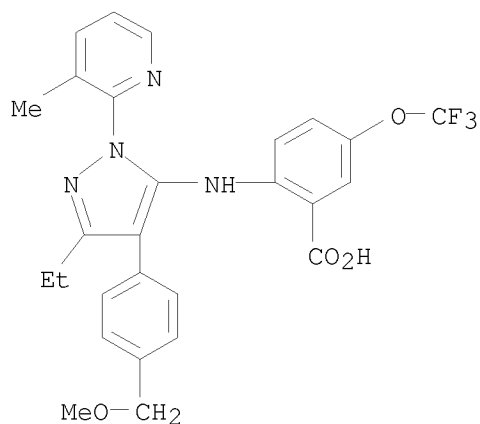


RN 928263-30-3 CAPLUS

CN Benzoic acid, 2-[[3-ethyl-4-[4-(methoxymethyl)phenyl]-1-(3-methyl-2-

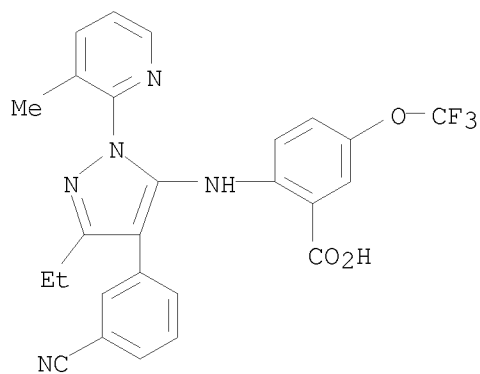
10/551,709

pyridinyl)-1H-pyrazol-5-yl]amino]-5-(trifluoromethoxy)- (CA INDEX NAME)



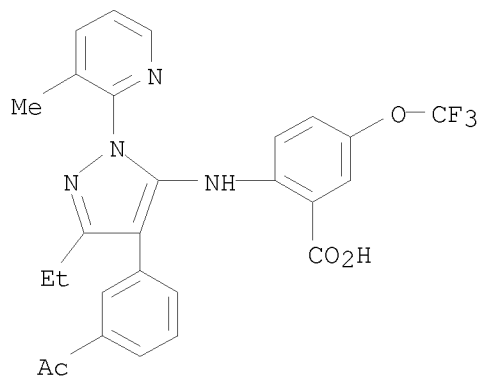
RN 928263-32-5 CAPLUS

CN Benzoic acid, 2-[[4-(3-cyanophenyl)-3-ethyl-1-(3-methyl-2-pyridinyl)-1H-pyrazol-5-yl]amino]-5-(trifluoromethoxy)- (CA INDEX NAME)



RN 928263-33-6 CAPLUS

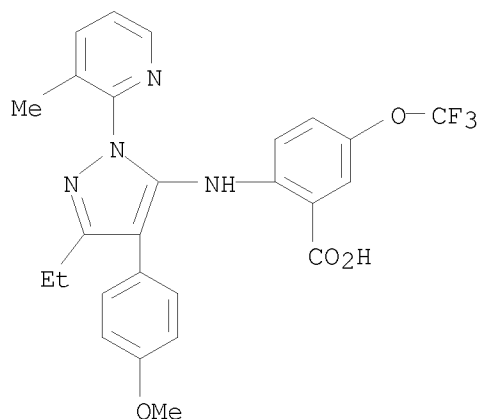
CN Benzoic acid, 2-[[4-(3-acetylphenyl)-3-ethyl-1-(3-methyl-2-pyridinyl)-1H-pyrazol-5-yl]amino]-5-(trifluoromethoxy)- (CA INDEX NAME)



10/551,709

RN 928263-34-7 CAPLUS

CN Benzoic acid, 2-[[3-ethyl-4-(4-methoxyphenyl)-1-(3-methyl-2-pyridinyl)-1H-pyrazol-5-yl]amino]-5-(trifluoromethoxy)- (CA INDEX NAME)



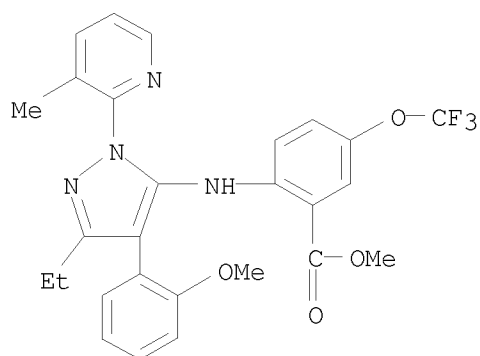
IT 928264-33-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of anilinopyrazoles for the treatment of diabetes)

RN 928264-33-9 CAPLUS

CN Benzoic acid, 2-[[3-ethyl-4-(2-methoxyphenyl)-1-(3-methyl-2-pyridinyl)-1H-pyrazol-5-yl]amino]-5-(trifluoromethoxy)-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:247504 CAPLUS

DOCUMENT NUMBER: 146:478087

TITLE: Convenient Synthesis of Maleimido-Derivatized Lanthanide(III) Chelates and Their Use in Mercapto Group Conjugation

AUTHOR(S): Hovinen, Jari

CORPORATE SOURCE: PerkinElmer Life and Analytical Sciences, Turku, FIN-20101, Finland

SOURCE: Bioconjugate Chemistry (2007), 18(2), 597-600
CODEN: BCCHE; ISSN: 1043-1802

PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:478087

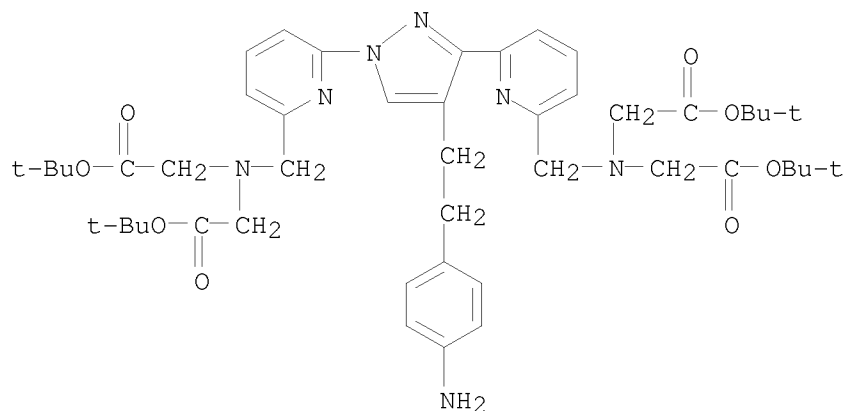
AB Simple synthesis of luminescent europium(III) and terbium(III) chelates tethered to a maleimido function is described. The method is based on the following: (i) synthesis of protected ligands tethered to a maleimido function and their purification on silica gel; (ii) deprotection by acidolysis; (iii) conversion of the deprotected ligands to the corresponding lanthanide(III) chelates by passing them through a column of strong cation exchange resin loaded with the appropriate lanthanide(III) ions. According to this procedure, large quantities of mercapto-selective biomol.-labeling reactants of high purity can be prepared

IT 189805-30-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (convenient synthesis of maleimido-derivatized lanthanide(III) chelates and their use in mercapto group conjugation)

RN 189805-30-9 CAPLUS

CN Glycine, N,N'-[[4-[2-(4-aminophenyl)ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, 1,1'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

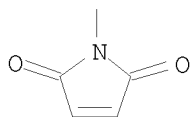
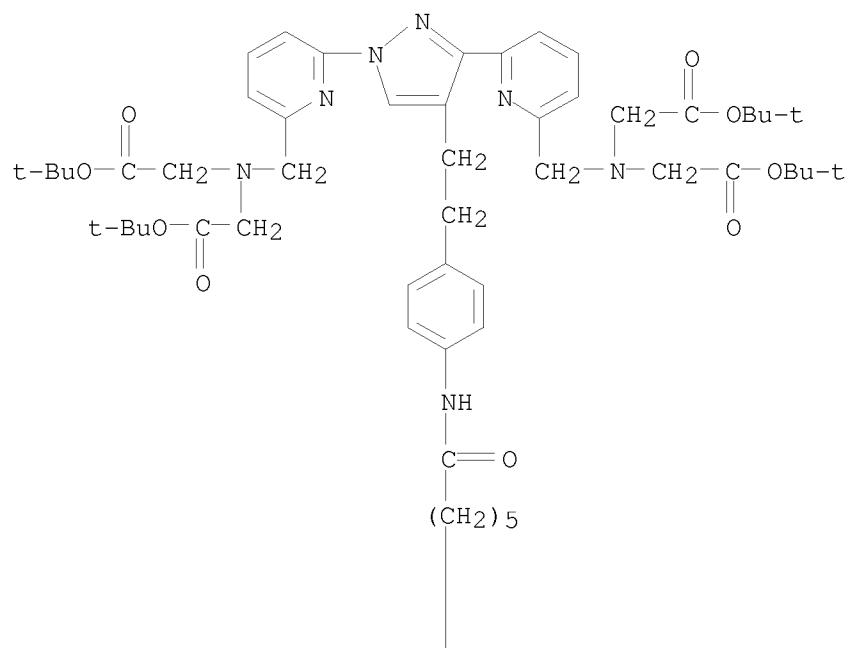


IT 935687-82-4P 935687-84-6P

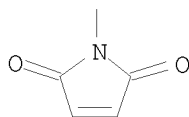
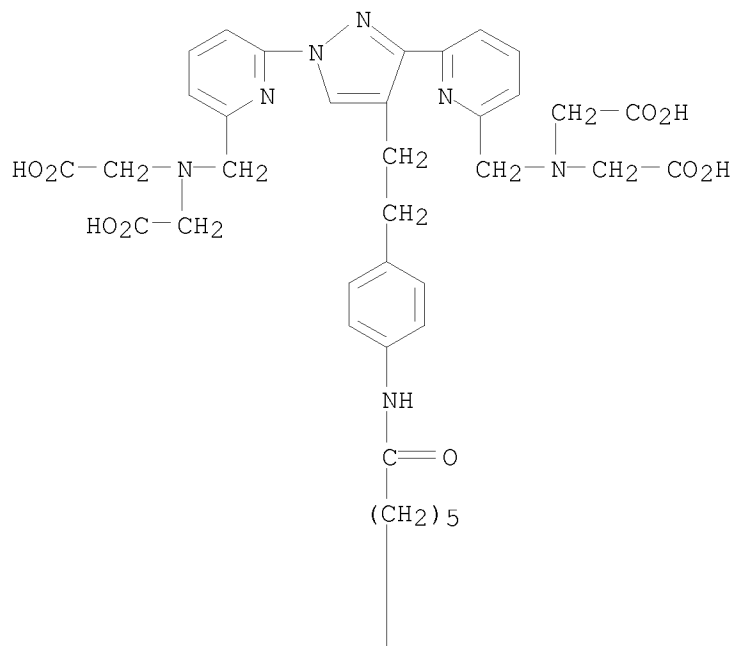
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (convenient synthesis of maleimido-derivatized lanthanide(III) chelates and their use in mercapto group conjugation)

RN 935687-82-4 CAPLUS

CN Glycine, N,N'-[[4-[2-[4-[6-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxohexyl]amino]phenyl]ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, 1,1'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



RN 935687-84-6 CAPLUS
 CN Glycine, N,N'-[[4-[2-[4-[[6-(2,5-dihydro-2,5-dioxo-1H-pyrrol-1-yl)-1-oxohexyl]amino]phenyl]ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-(carboxymethyl)- (CA INDEX NAME)]

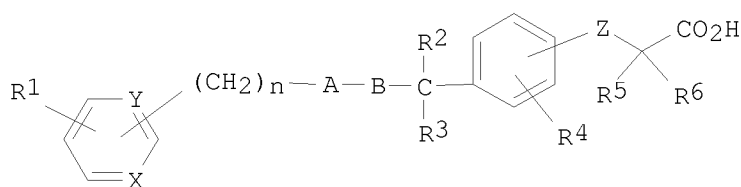


REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

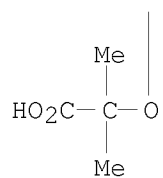
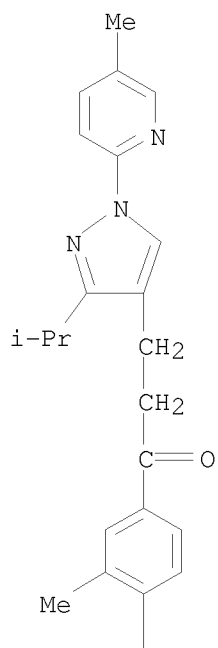
L4 ANSWER 11 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:887897 CAPLUS
 DOCUMENT NUMBER: 145:293047
 TITLE: Preparation of heterocyclic compounds as activators for peroxisome proliferator activated receptor δ
 INVENTOR(S): Sakuma, Shogo; Mochiduki, Nobutaka; Takahashi, Rie; Hirai, Toshitake; Yamakawa, Tomio; Masui, Seiichiro
 PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 115pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006090920	A1	20060831	WO 2006-JP304193	20060228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

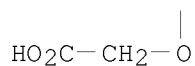
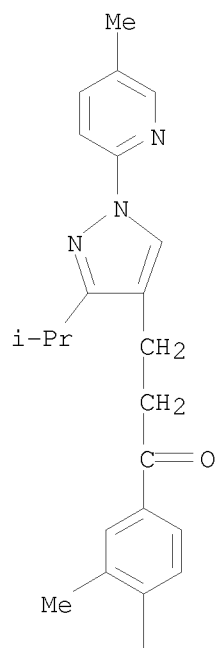
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
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 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 AU 2006217682 A1 20060831 AU 2006-217682 20060228
 CA 2599454 A1 20060831 CA 2006-2599454 20060228
 EP 1854784 A1 20071114 EP 2006-715252 20060228
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, YU
 MX 200710511 A 20071107 MX 2007-10511 20070828
 NO 2007004738 A 20071108 NO 2007-4738 20070917
 KR 2007113253 A 20071128 KR 2007-721867 20070921
 IN 2007CN04285 A 20071221 IN 2007-CN4285 20070927
 CN 101166720 A 20080423 CN 2006-80014554 20071029
 PRIORITY APPLN. INFO.: JP 2005-52762 A 20050228
 WO 2006-JP304193 W 20060228
 WO 2006-JP4193 W 20060228
 OTHER SOURCE(S): MARPAT 145:293047
 GI



AB The title compds. I [R1, R4 = H, alkyl, alkenyl, etc.; R2 = H; R3 = alkyl;
 or CR2R3 is CO, or CR2R3 is C=CR7R8; R7, R8 = H, alkyl; R5, R6 = H, alkyl,
 haloalkyl; X, Y = CH, N; Z = O, S; A = (un)substituted pyrazole,
 thiophene, furan, or pyrrole ring; B = (un)substituted alkylene; n = 0 -
 5] are prepared. Thus, 2-[4-[3-[3-isopropyl-1-(4-trifluoromethylphenyl)-1H-
 pyrazol-4-yl]propionyl]-2-methylphenoxy]-2-methylpropionic acid was prepared
 in a multistep process from [3-isopropyl-1-(4-trifluoromethylphenyl)-1H-
 pyrazol-4-yl]methanol. In an assay for the activation of peroxisome
 proliferator-activated receptor δ , compds. of this invention showed
 high activity.
 IT 908250-33-9P 908250-35-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of heterocyclic compds. as activators for peroxisome
 proliferator-activated receptor δ)
 RN 908250-33-9 CAPLUS
 CN Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[3-(1-methylethyl)-1-(5-methyl-2-
 pyridinyl)-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]- (CA INDEX NAME)



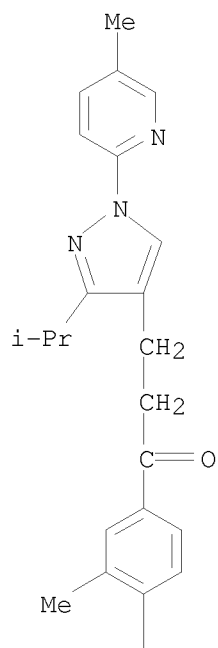
RN 908250-35-1 CAPLUS
 CN Acetic acid, 2-[2-methyl-4-[3-[3-(1-methylethyl)-1-(5-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]- (CA INDEX NAME)



IT 908250-30-6P 908250-32-8P 908250-34-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of heterocyclic compds. as activators for peroxisome
 proliferator-activated receptor δ)

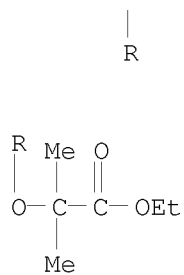
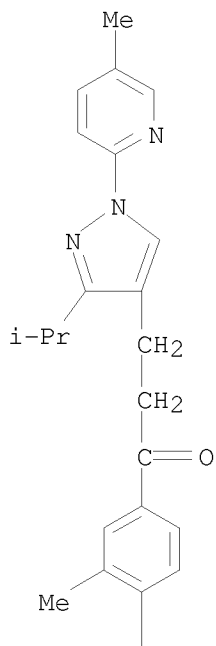
RN 908250-30-6 CAPLUS

CN 1-Propanone, 1-(4-hydroxy-3-methylphenyl)-3-[3-(1-methylethyl)-1-(5-methyl-
 2-pyridinyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



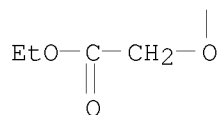
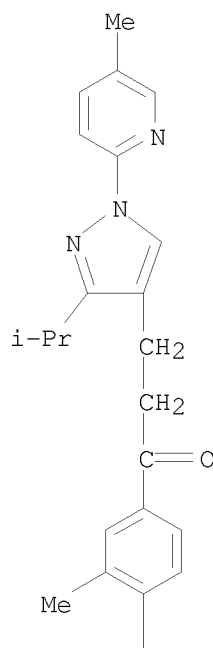
RN 908250-32-8 CAPLUS

CN Propanoic acid, 2-methyl-2-[2-methyl-4-[3-[3-(1-methylethyl)-1-(5-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 908250-34-0 CAPLUS

CN Acetic acid, 2-[2-methyl-4-[3-[3-(1-methylethyl)-1-(5-methyl-2-pyridinyl)-1H-pyrazol-4-yl]-1-oxopropyl]phenoxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:884750 CAPLUS

DOCUMENT NUMBER: 145:293082

TITLE: Preparation of pyrazolyl substituted xanthenes as antagonists of A2B receptors

INVENTOR(S): Wang, Guoquan; Rieger, Jayson M.; Thompson, Robert D.

PATENT ASSIGNEE(S): Adenosine Therapeutics, LLC, USA

SOURCE: PCT Int. Appl., 70pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

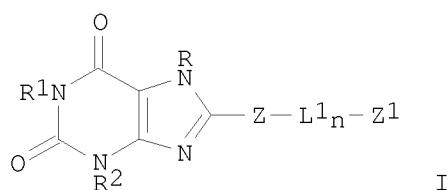
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006091897	A2	20060831	WO 2006-US6746	20060227
WO 2006091897	A3	20070222		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
 KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

US 20070249598 A1 20071025 US 2006-362392 20060227
 PRIORITY APPLN. INFO.: US 2005-656086P P 20050225
 OTHER SOURCE(S): CASREACT 145:293082; MARPAT 145:293082
 GI



AB Title compds. represented by the formula I [wherein R = H, (halo)alkyl, cycloalkyl, etc.; R1, R2 = independently H, (cyclo)alkyl, alkenyl, etc.; L1 = (un)substituted C, N, O, S or P, with proviso; Z = (un)substituted heteroaryl; Z1 = (un)substituted (hetero)aryl; n = 0-2; and pharmaceutically acceptable salts thereof] were prepared as A2B adenosine receptor (ARs) antagonists (no data). For example, cyclization of 6-chloronicotinoyl chloride with 5,6-diamino-1,3-dipropyluracil, and followed by reaction with hydrazine in EtOH, gave 1,3-dipropyl-8-(6-hydrazino-3-pyridyl)xanthine. I were tested for affinity with A2B receptors in HEK-293 cells. Thus, I and their pharmaceutical compns. are useful as A2B adenosine receptors antagonists for the treatment of A2B receptors mediated diseases, such as asthma, allergy immune disease, and etc.

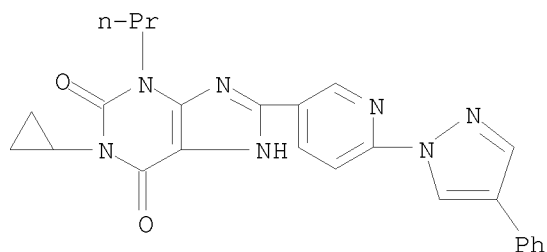
IT 908241-89-4P 908241-90-7P 908241-91-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolyl substituted xanthines as antagonists of A2B receptors)

RN 908241-89-4 CAPLUS

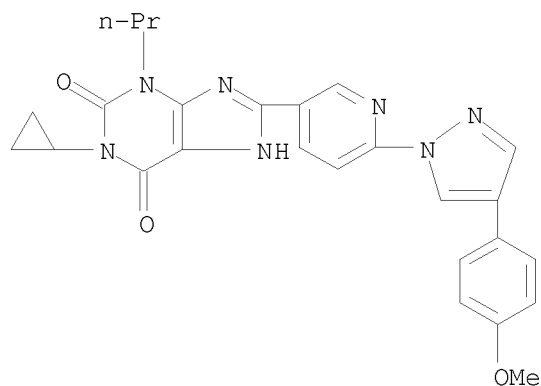
CN 1H-Purine-2,6-dione, 1-cyclopropyl-3,9-dihydro-8-[6-(4-phenyl-1H-pyrazol-1-yl)-3-pyridinyl]-3-propyl- (CA INDEX NAME)



10/551,709

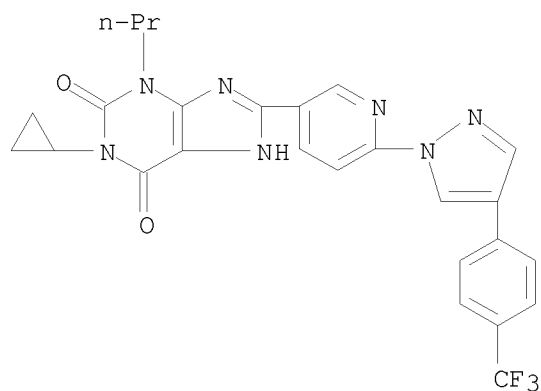
RN 908241-90-7 CAPLUS

CN 1H-Purine-2,6-dione, 1-cyclopropyl-3,9-dihydro-8-[6-[4-(4-methoxyphenyl)-1H-pyrazol-1-yl]-3-pyridinyl]-3-propyl- (CA INDEX NAME)



RN 908241-91-8 CAPLUS

CN 1H-Purine-2,6-dione, 1-cyclopropyl-3,9-dihydro-3-propyl-8-[6-[4-[4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]-3-pyridinyl]- (CA INDEX NAME)



L4 ANSWER 13 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:693655 CAPLUS

DOCUMENT NUMBER: 145:167214

TITLE: Antibacterial and antifungal activities of new pyrazolo[3,4-d]pyridazine derivatives. [Erratum to document cited in CA143:007682]

AUTHOR(S): Akbas, Esvet; Berber, Ismet

CORPORATE SOURCE: Organic Chemistry Division, Chemistry Department, Faculty of Arts and Sciences, Yuzuncu Yil University, Van, 65080, Turk.

SOURCE: European Journal of Medicinal Chemistry (2006), 41(7), 904

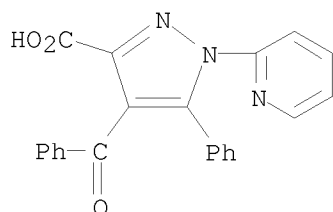
CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

- AB On page 401, Abstract line 1 and Introduction line 23 and 24, the word "new" before "1H-pyrazole-3-carboxylic acids" should be omitted. Abstract should read: "Several new pyrazolo[3,4-d]pyrazole-3-carboxylic acids and various hydrazines.". Introduction should read: "As the results of these reactions were synthesized pyrazole-3-carboxylic acids [12,13]. The acids were converted into various derivs. of the pyrazole-pyridazine with different hydrazines.". On page 402, left column, starting with line 1 the text should read: "The compound 3 can easily be transformed into the corresponding acid chloride 4 and amide 5 derivs. [12] by the usual chemical procedures. Furthermore, a cold solution of the acid amide 5 in a mixture of DMF and SOCl₂ was stirred at 0-5 °C for 2 h to give nitrile 6 [12] (Scheme 2) (see exptl. for details)". Refs. 12 and 13 should be added. Reference 12 should read: "E. Akbas, I. Berber, A. Sener, B. Hasanov II, Farmaco 60 (2005) 23-26.". Reference 13 should read: "A. Sener, E. Akbas, M.K. Sener, Turkish Journal of Chemical 28 (2004) 271-277".
- IT 791112-66-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation, antibacterial, and antifungal activity of of pyrazolopyridazine derivs. starting from benzoyl(phenyl)furandione and hydrazines using cyclization as the key step (Erratum))
- RN 791112-66-8 CAPLUS
- CN 1H-Pyrazole-3-carboxylic acid, 4-benzoyl-5-phenyl-1-(2-pyridinyl)- (CA INDEX NAME)



L4 ANSWER 14 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:386429 CAPLUS

DOCUMENT NUMBER: 144:432797

TITLE: Preparation of diaryl substituted pyrazoles and analogs for nonsense suppression

INVENTOR(S): Almstead, Neil; Karp, Gary M.; Wilde, Richard; Welch, Ellen; Campbell, Jeffrey A.; Ren, Hongyu; Chen, Guangming

PATENT ASSIGNEE(S): PTC Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 286 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

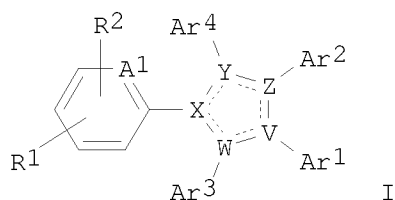
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

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WO 2006044502	A2	20060427	WO 2005-US36761	20051013
WO 2006044502	A3	20060803		

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LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
 NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
 SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
 YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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 KG, KZ, MD, RU, TJ, TM
 AU 2005295727 A1 20060427 AU 2005-295727 20051013
 AU 2005295778 A1 20060427 AU 2005-295778 20051013
 CA 2583159 A1 20060427 CA 2005-2583159 20051013
 CA 2583971 A1 20060427 CA 2005-2583971 20051013
 EP 1799207 A2 20070627 EP 2005-804194 20051013
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 EP 1815206 A1 20070808 EP 2005-815159 20051013
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 CN 101076703 A 20071121 CN 2005-80042743 20051013
 CN 101076332 A 20071121 CN 2005-80042744 20051013
 JP 2008515985 T 20080515 JP 2007-536837 20051013
 JP 2008515990 T 20080515 JP 2007-536865 20051013
 BR 2005015995 A 20080819 BR 2005-15995 20051013
 BR 2005016110 A 20080826 BR 2005-16110 20051013
 MX 200704479 A 20070618 MX 2007-4479 20070412
 MX 200704484 A 20070625 MX 2007-4484 20070412
 KR 2007065429 A 20070622 KR 2007-710794 20070511
 KR 2007067201 A 20070627 KR 2007-710767 20070511
 IN 2007DN03576 A 20070831 IN 2007-DN3576 20070514
 IN 2007DN03577 A 20070831 IN 2007-DN3577 20070514
 PRIORITY APPLN. INFO.: US 2004-617633P P 20041013
 US 2004-617634P P 20041013
 US 2004-617653P P 20041013
 US 2004-617655P P 20041013
 US 2004-617670P P 20041013
 US 2004-624170P P 20041103
 WO 2005-US36673 W 20051013
 WO 2005-US36761 W 20051013
 OTHER SOURCE(S): MARPAT 144:432797
 GI



AB The present invention relates to methods, compds., and compns. for treating or preventing diseases associated with nonsense mutations in an mRNA by administering the compds. I [A1 = C, CH, or N; V and X = N or C; W = N, C or CH; wherein at least one of V, W, or X = N, and wherein if W = N, at least one of V or X is also N; Y and Z = N, CRa, CO, CS (Ra = H, Me, NH₂); R1 = carboxy, cyano, or carbonyl which is optionally substituted with alkoxy; R2 = absent or nitro; Ar1 = (un)substituted alkyl, aryl, 5-10

membered heterocyclyl; or Ar1 together with Ar2 form a ring; or Ar1 together with Ar3 form a ring; Ar2 is absent or together with Ar1 form a ring; Ar3 is absent or together with Ar1 form a ring; Ar4 is absent or is alkyl, alkoxy, thioalkyl, any of which together with A1 forms a 4-7 membered carbocycle or heterocycle] or compns. comprising I. More particularly, the present invention relates to methods, compds., and compns. for suppressing premature translation termination associated with a nonsense mutation in an mRNA. Over 470 compds. I were prepared E.g., a multi-step synthesis of 3-[1-(4-trifluoromethylphenyl)-1H-pyrrol-3-yl]benzoic acid, starting from 1-(triisopropylsilyl)pyrrole-3-boronic acid and Me 4-iodobenzoate, was given. Compds. I were tested for nonsense suppression activity from a cell-based luciferase reporter assay (data given).

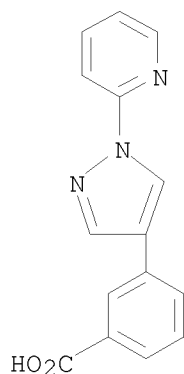
IT 885016-97-7P 885016-98-8P 885017-23-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diaryl pyrazoles and analogs for suppressing premature translation termination associated with nonsense mutation in an mRNA and useful in treating and preventing diseases-associated with nonsense mutations in an mRNA)

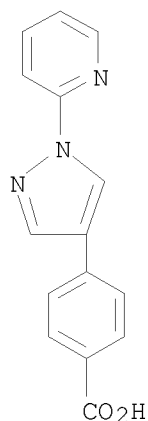
RN 885016-97-7 CAPLUS

CN Benzoic acid, 3-[1-(2-pyridinyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



RN 885016-98-8 CAPLUS

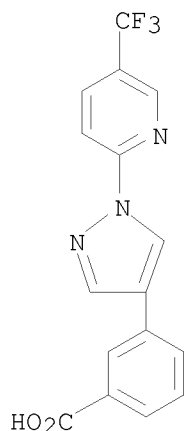
CN Benzoic acid, 4-[1-(2-pyridinyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



10/551,709

RN 885017-23-2 CAPLUS

CN Benzoic acid, 3-[1-[5-(trifluoromethyl)-2-pyridinyl]-1H-pyrazol-4-yl]-
(CA INDEX NAME)



L4 ANSWER 15 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:169674 CAPLUS

DOCUMENT NUMBER: 144:412415

TITLE: Synthesis, Pharmacology, and Structure-Activity Relationships of Novel Imidazolones and Pyrrolones as Modulators of GABAA Receptors

AUTHOR(S): Grunwald, Christian; Rundfeldt, Chris; Lankau, Hans-Joachim; Arnold, Thomas; Hoefgen, Norbert; Dost, Rita; Egerland, Ute; Hofmann, Hans-Joerg; Unverferth, Klaus

CORPORATE SOURCE: elbion AG, Radebeul, D-01445, Germany

SOURCE: Journal of Medicinal Chemistry (2006), 49(6), 1855-1866

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:412415

AB New series of imidazolones and pyrrolones were synthesized. The compds. were tested for their anxiolytic properties due to modulation of the GABAA receptor response. Several derivs. exhibit considerable pharmacol. activity while lacking the typical side effects of benzodiazepine receptor agonists. 1-(4-Chlorophenyl)-4-morpholin-1-yl-1,5-dihydro-imidazol-2-one and 1-(4-chlorophenyl)-4-piperidin-1-yl-1,5-dihydro-imidazol-2-one were protective in the pentylenetetrazole test in rats with oral ED50 of 27.4 and 12.8 mg/kg and TD50 (rotarod) of >500 and 265 mg/kg, resp. The min. ED in the Vogel conflict test was 3 mg/kg for both compds. Common structure-activity relationship and comparative mol. field anal. models of the various series of derivs. could be established which are in accordance with a GABAA mediated pharmacol. action. The findings fit well into an established pharmacophore model. This model is refined by an addnl. steric restriction feature.

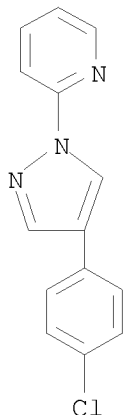
IT 883943-17-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of amino(aryl)imidazoles and -pyrroles as GABAA receptor

10/551,709

agonists)
RN 883943-17-7 CAPLUS
CN Pyridine, 2-[4-(4-chlorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:164594 CAPLUS

DOCUMENT NUMBER: 144:254143

TITLE: Preparation of 2-cyanopyrimidine derivatives as cathepsin S inhibitors for treatment of neuropathic pain

INVENTOR(S): Hart, Terance William; Hallett, Allan; Yokokawa, Fumiaki; Hirao, Hajime; Ehara, Takeru; Iwasaki, Atsuko; Sakaki, Junichi; Masuya, Keiichi; Kishida, Masashi; Irie, Osamu

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 111 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

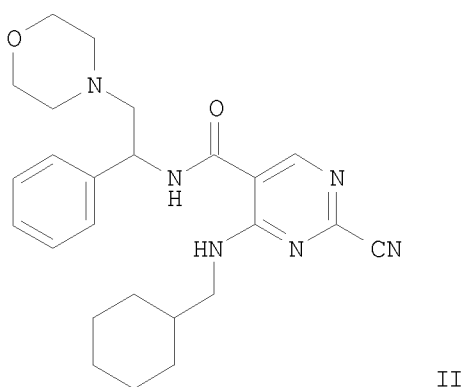
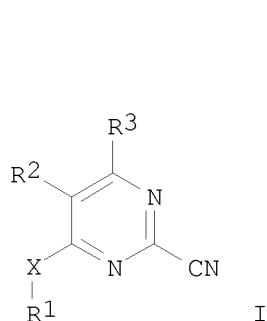
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006018284	A1	20060223	WO 2005-EP8896	20050816
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005274319	A1	20060223	AU 2005-274319	20050816
CA 2575826	A1	20060223	CA 2005-2575826	20050816

EP 1781623 A1 20070509 EP 2005-782460 20050816
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 CN 101035768 A 20070912 CN 2005-80034401 20050816
 JP 2008509959 T 20080403 JP 2007-526382 20050816
 BR 2005014383 A 20080610 BR 2005-14383 20050816
 IN 2007DN01085 A 20070803 IN 2007-DN1085 20070208
 KR 2007036183 A 20070402 KR 2007-703902 20070216
 MX 200701952 A 20070509 MX 2007-1952 20070216
 PRIORITY APPLN. INFO.: GB 2004-18353 A 20040817
 WO 2005-EP8896 W 20050816
 OTHER SOURCE(S): MARPAT 144:254143
 GI

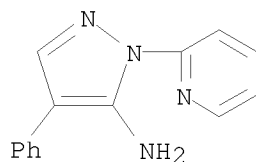


AB The title 2-cyanopyrimidine derivs. I [wherein R1 = (cycloalkyl)alkyl, (bicycloalkyl)alkyl, etc.; R2 = halo, alkyl, (un)substituted aryl, etc.; R3 = H, halo, (un)substituted Ph, pyridyl, etc.; X = O, NH, S, etc.], or tautomers, or salts thereof were prepared For example, the compound II was prepared in a multi-step synthesis. I are useful as inhibitors of cathepsin S for the treatment of neuropathic pain (no data). A formulation containing I as an active ingredient was also described.

IT 877125-72-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of 2-cyanopyrimidine derivs. as cathepsin S inhibitors for treatment of neuropathic pain)

RN 877125-72-9 CAPLUS

CN 1H-Pyrazol-5-amine, 4-phenyl-1-(2-pyridinyl)- (CA INDEX NAME)

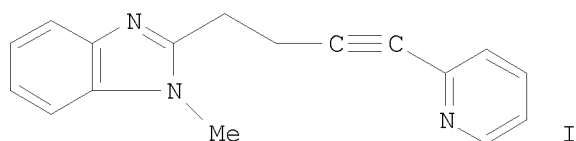


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

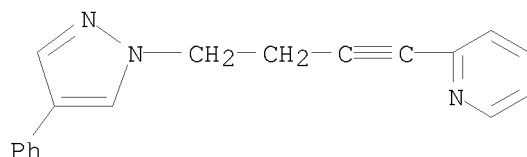
10/551,709

ACCESSION NUMBER: 2005:1354392 CAPLUS
DOCUMENT NUMBER: 144:88317
TITLE: Preparation of heterocycle-containing alkynyl
derivatives as modulators of metabotropic glutamate
receptors
INVENTOR(S): Bessis, Anne-Sophie; Bolea, Christelle; Bonnet,
Beatrice; Epping-Jordan, Mark; Poirier, Nicholas;
Poli, Sonia-Maria; Rocher, Jean-Philippe; Thollon,
Yves
PATENT ASSIGNEE(S): Addex Pharmaceuticals SA, Switz.
SOURCE: PCT Int. Appl., 308 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005123703	A2	20051229	WO 2005-IB2390	20050617
WO 2005123703	A3	20061012		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005254808	A1	20051229	AU 2005-254808	20050617
CA 2570987	A1	20051229	CA 2005-2570987	20050617
EP 1765795	A2	20070328	EP 2005-766895	20050617
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
CN 101001846	A	20070718	CN 2005-80026025	20050617
BR 2005011072	A	20071127	BR 2005-11072	20050617
JP 2008502674	T	20080131	JP 2007-516076	20050617
MX 2006PA14721	A	20070622	MX 2006-PA14721	20061214
IN 2006CN04639	A	20070629	IN 2006-CN4639	20061218
KR 2007054624	A	20070529	KR 2007-700847	20070112
NO 2007000288	A	20070116	NO 2007-288	20070116
PRIORITY APPLN. INFO.:			GB 2004-13605	A 20040617
			WO 2005-IB2390	W 20050617
OTHER SOURCE(S):	CASREACT 144:88317; MARPAT 144:88317			
GI				

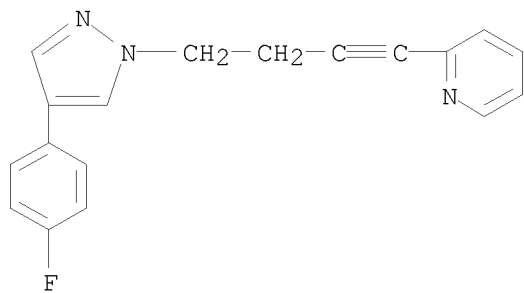


- AB The present invention relates to heterocycle-containing alkynyl derivs. (WC.tplbond.C(CH₂)_nXW' (I); variables defined below; e.g. 1-methyl-2-[4-(pyridin-2-yl)-3-butynyl]-1H-benzo[d]imidazole (shown as II)) that are modulators of metabotropic glutamate receptors - subtype 5 ("mGluR5") and are therefore useful for the treatment of central nervous system disorders as well as other disorders modulated by mGluR5 receptors. Methods of preparation are claimed and preps. and/or characterization data for .apprx.250 examples of I are included. For example, II was prepared in 4 steps (not stated, 23, 70 and 31 % yields, resp.) starting with chlorination of (1-methyl-1H-benzimidazol-2-yl)methanol to give 2-chloromethyl-1-methyl-1H-benzimidazole, which was coupled with trimethylprop-1-ynylsilane to give 1-methyl-2-[4-(trimethylsilyl)-3-butynyl]-1H-benzimidazole, which was deprotected to give 2-(3-butynyl)-1-methyl-1H-benzimidazole, which was coupled with 2-iodopyridine to give II. For I: W is a 5-, 6-heterocyclic ring containing a N adjacent to the ethynyl bond, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 atoms independently C, N, O and S; X = an (un)substituted C1-C6-alkyl, C1-C6-alkylhalo, C2-C6-alkynyl, C2-C6-alkenyl, O-C0-C6-alkyl, O-C1-C6-alkylhalo, O-C3-C6-alkynyl, O-C3-C6-alkenyl, O-C3-C7-cycloalkyl, C1-C6-alkyl-O, C3-C7-cycloalkyl, C3-C7-cycloalkyl-C0-C6-alkyl, et al.; W' = a 5- or 6-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 atoms = C, N, O and S; addnl. details including provisos are given in the claims. Results of a mGluR5 binding assay for >200 examples of I are tabulated; also test results of a marble burying model of anxiety in mice and Vogel conflict drinking model of anxiety in rats are discussed.
- IT 872365-27-0P, 2-[4-(4-Phenyl-1H-pyrazol-1-yl)-1-butynyl]pyridine
872366-02-4P, 2-[4-[4-(4-Fluorophenyl)-1H-pyrazol-1-yl]-1-butynyl]pyridine 872366-09-1P,
2-[4-[4-(o-Tolyl)-1H-pyrazol-1-yl]-1-butynyl]pyridine 872366-15-9P
, 2-(Fluoromethyl)-6-[4-[4-(o-tolyl)-1H-pyrazol-1-yl]-1-butynyl]pyridine
872366-17-1P, 2-(Fluoromethyl)-6-[4-[4-(4-fluorophenyl)-1H-pyrazol-1-yl]-1-butynyl]pyridine 872367-17-4P,
2-[4-(3-Methyl-4-phenyl-1H-pyrazol-1-yl)-1-butynyl]pyridine
872367-18-5P, 2-[4-(5-Methyl-4-phenyl-1H-pyrazol-1-yl)-1-butynyl]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocycle-containing alkynyl derivs. as modulators of metabotropic glutamate receptors)
- RN 872365-27-0 CAPLUS
- CN Pyridine, 2-[4-(4-phenyl-1H-pyrazol-1-yl)-1-butyn-1-yl]- (CA INDEX NAME)



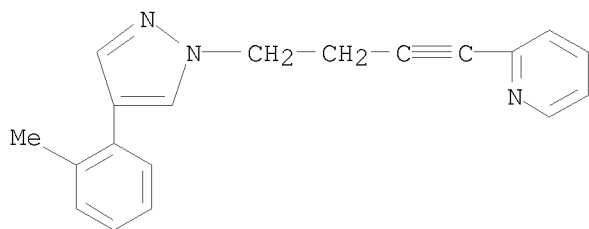
- RN 872366-02-4 CAPLUS
- CN Pyridine, 2-[4-[4-(4-fluorophenyl)-1H-pyrazol-1-yl]-1-butyn-1-yl]- (CA INDEX NAME)

10/551,709



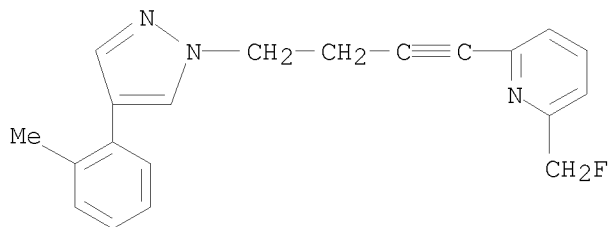
RN 872366-09-1 CAPLUS

CN Pyridine, 2-[4-[4-(2-methylphenyl)-1H-pyrazol-1-yl]-1-butyn-1-yl]- (CA INDEX NAME)



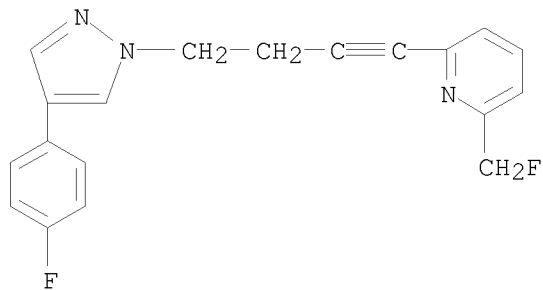
RN 872366-15-9 CAPLUS

CN Pyridine, 2-(fluoromethyl)-6-[4-[4-(2-methylphenyl)-1H-pyrazol-1-yl]-1-butyn-1-yl]- (CA INDEX NAME)



RN 872366-17-1 CAPLUS

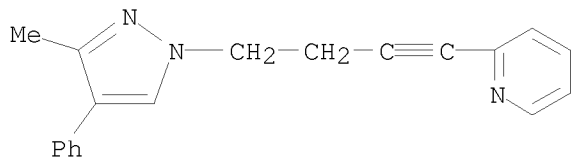
CN Pyridine, 2-(fluoromethyl)-6-[4-[4-(4-fluorophenyl)-1H-pyrazol-1-yl]-1-butyn-1-yl]- (CA INDEX NAME)



10/551,709

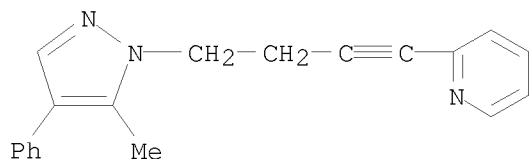
RN 872367-17-4 CAPLUS

CN Pyridine, 2-[4-(3-methyl-4-phenyl-1H-pyrazol-1-yl)-1-butyn-1-yl]- (CA INDEX NAME)



RN 872367-18-5 CAPLUS

CN Pyridine, 2-[4-(5-methyl-4-phenyl-1H-pyrazol-1-yl)-1-butyn-1-yl]- (CA INDEX NAME)



L4 ANSWER 18 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1289898 CAPLUS

DOCUMENT NUMBER: 144:36334

TITLE: Preparation of phenyl benzoyl pyrazoles as CRTH2 receptor ligands

INVENTOR(S): Ulven, Trond; Frimurer, Thomas; Rist, Oeystein; Kostenis, Evi; Hoegberg, Thomas; Receveur, Jean-Marie; Grimstrup, Marie

PATENT ASSIGNEE(S): 7TM Pharma A/S, Den.

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

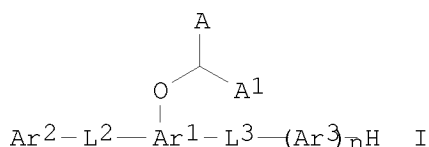
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

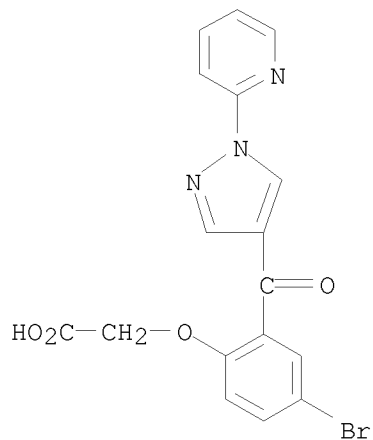
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005115382	A1	20051208	WO 2005-EP5884	20050530
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2005247110	A1	20051208	AU 2005-247110	20050530
CA 2568766	A1	20051208	CA 2005-2568766	20050530

EP 1758579	A1	20070307	EP 2005-770220	20050530
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA,				
HR, LV, MK, YU				
CN 1980664	A	20070613	CN 2005-80022625	20050530
BR 2005011676	A	20080108	BR 2005-11676	20050530
JP 2008500991	T	20080117	JP 2007-513846	20050530
MX 2006PA13912	A	20070718	MX 2006-PA13912	20061129
NO 2006006048	A	20070227	NO 2006-6048	20061228
KR 2007045153	A	20070502	KR 2006-727504	20061228
IN 2006CN04778	A	20070629	IN 2006-CN4778	20061228
PRIORITY APPLN. INFO.:			GB 2004-12198	A 20040529
			GB 2004-14196	A 20040624
			GB 2004-24018	A 20041029
			WO 2005-EP5884	W 20050530
OTHER SOURCE(S):			MARPAT 144:36334	
GI				

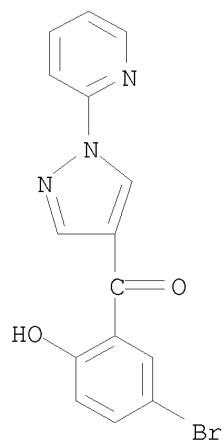


- AB Title compds. I [A = carboxy, carboxy bioisostere; A1 = H, Me; Ar1 = (un)substituted heteroaryl in which the groups OCHAA1 and L2 are linked to adjacent ring atoms; Ar2-3 = heteroaryl; n = 0-1; L2-3 = divalent radical (Alk1)m-Zq-(Alk2)p; m, q, p = 0-1; Alk1-2 = alkylene which may be heteroatom substituted, etc.; Z = O, S, CO SO2, etc.; with some provisions] are prepared For instance, 4-bromo-2-((1-phenyl-1H-pyrazole-4-yl)carbonyl)phenoxyacetic acid (II) is prepared in 2 steps from (5-bromo-2-hydroxyphenyl)(1-phenyl-1H-pyrazol-4-yl)methanone and Et bromoacetate. II has an IC50 < 0.5 μM for the CRTH2 receptor. I are useful for the treatment of disease responsive to modulation of CRTH2 receptor activity, such as asthma, rhinitis, allergic airway syndrome, and allergic rhinobronchitis.
- IT 870809-77-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of Ph benzoyl pyrazoles as CRTH2 receptor ligands)
- RN 870809-77-1 CAPLUS
- CN Acetic acid, 2-[4-bromo-2-[[1-(2-pyridinyl)-1H-pyrazol-4-yl]carbonyl]phenoxy]- (CA INDEX NAME)

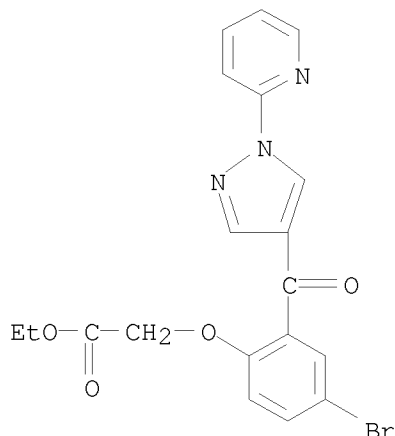
10/551,709



IT 870811-23-7P 870811-31-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of Ph benzoyl pyrazoles as CRTH2 receptor ligands)
RN 870811-23-7 CAPLUS
CN Methanone, (5-bromo-2-hydroxyphenyl) [1-(2-pyridinyl)-1H-pyrazol-4-yl]-
(CA INDEX NAME)



RN 870811-31-7 CAPLUS
CN Acetic acid, 2-[4-bromo-2-[[1-(2-pyridinyl)-1H-pyrazol-4-yl]carbonyl]phenoxy]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:376646 CAPLUS

DOCUMENT NUMBER: 143:43822

TITLE: Palladium-catalyzed coupling of pyrazoles with 2,6-dibromopyridine

AUTHOR(S): Sun, Xiaojiao; Yu, Zhengkun; Deng, Haixia; Wu, Xiaowei; Wu, Sizhong; Dong, Jinhua

CORPORATE SOURCE: Dalian Institute of Chemical Physics, The Chinese Academy of Sciences, Dalian, Liaoning, 116023, Peop. Rep. China

SOURCE: Cuihua Xuebao (2005), 26(3), 173-174
CODEN: THHPD3; ISSN: 0253-9837

PUBLISHER: Kexue Chubanshe

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:43822

AB A number of 1H-pyrazoles (substitution patterns: H, 3-Me, 3,5-Me₂, 3,4,5-Me₃, 3,5-Me₂-4-Bn, 3-Me-5-Ph, 3,5-Ph₂, and 3,5-tert-Bu₂) were reacted with 2,6-dibromopyridine and the ratio of mono- and disubstituted pyrazolylpyridines was determined in presence and absence of the Pd(OAc)₂/PPh₃ catalyst and in dependence of molar ratio of reactants (1:1 and 1:2.4 pyridine/pyrazole). While the 3,5-tert-Bu₂-substituted pyrazole did not react at all, the other pyrazoles formed the pyrazolylpyridines with broad varying yields and with nearly exclusive formation of the mono-substituted products when the reactants are used in equimolar ratio. When pyrazoles are used in excess, mono- and disubstituted products were obtained. The use of catalyst often lowered yield and shifted product ratio to mono-substitution when pyrazoles are used in excess (exception: unsubstituted pyrazole).

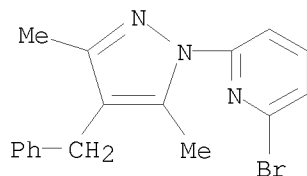
IT 853748-43-3P 853748-47-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(palladium-catalyzed coupling of pyrazoles with 2,6-dibromopyridine for preparation of mono- and dipyrazolyl-substituted pyridine derivs.)

RN 853748-43-3 CAPLUS

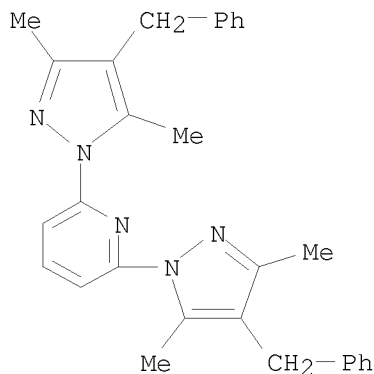
CN Pyridine, 2-bromo-6-[3,5-dimethyl-4-(phenylmethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/551,709



RN 853748-47-7 CAPLUS

CN Pyridine, 2,6-bis[3,5-dimethyl-4-(phenylmethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:371577 CAPLUS

DOCUMENT NUMBER: 143:43821

TITLE: Base Effect and Inhibition of Catalytic Activity in Palladium-Catalyzed N-Heteroarylation of Pyrazoles with 2,6-Dibromopyridine

AUTHOR(S): Sun, Xiaojiao; Yu, Zhengkun; Wu, Sizhong; Wen, Jing
CORPORATE SOURCE: Dalian Institute of Chemical Physics, Chinese Academy of Sciences, Liaoning, 116023, Peop. Rep. China

SOURCE: Organometallics (2005), 24(12), 2959-2963

CODEN: ORGND7; ISSN: 0276-7333

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:43821

AB 2,6-Bis(1-pyrazolyl)pyridines were prepared by palladium-catalyzed coupling of pyrazoles with 2,6-dibromopyridine. 3-R1-4-R2-5-R3-Pyrazoles (2a-h; R1, R2, R3: a H, H, H; b H, H, Me; c Me, H, Me; d Me, Me, Me; e Me, CH2Ph, Me; f Ph, H, Me; g Ph, H, Ph; h tBu, H, tBu) undergo coupling with 2,6-dibromopyridine (1) in the presence of Pd(OAc)2/PPh3 catalyst and KOtBu or NaOtBu as a base. The reaction in a molar ratio of 1:2:base = 1:2.4:2.5 afforded the monosubstituted products 2-bromo-6-(3-R1-4-R2-5-R3-1H-pyrazol-1-yl)pyridines (3a-g) as the major products when KOtBu was used as the base, together with 69-30% of the disubstituted 2,6-bis(3-R1-4-R2-5-R3-1H-pyrazol-1-yl)pyridines (4a-f, same Rn). Without using the catalyst or using NaOtBu as the base the disubstituted compds. 4a-f were formed as the major products in yields up to 93%. Reactions of 1 and 2 in a molar ratio of 1:2:base = 1:1:1

selectively produced compds. 3 in yields up to 82% when KOtBu was used as the base. The complex from the reaction of 3 and Pd(OAc)₂ did not undergo further reaction with 1 to form 4 in the presence of a base. The base effect and inhibition of catalytic activity for Pd(OAc)₂ are discussed.

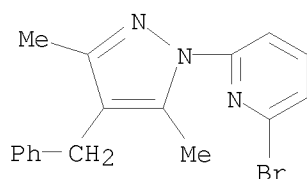
IT 853748-43-3P 853748-47-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of substituted 2-pyrazolyl- and 2,6-dipyrzolylypyridines by palladium-catalyzed coupling of 2,6-dibromopyridine with pyrazoles)

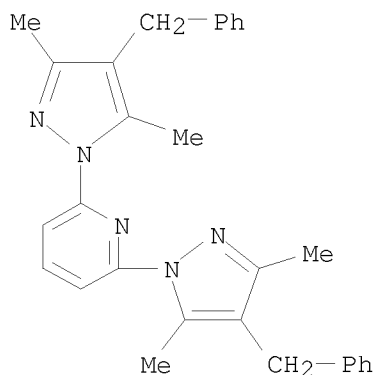
RN 853748-43-3 CAPLUS

CN Pyridine, 2-bromo-6-[3,5-dimethyl-4-(phenylmethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 853748-47-7 CAPLUS

CN Pyridine, 2,6-bis[3,5-dimethyl-4-(phenylmethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:331854 CAPLUS

DOCUMENT NUMBER: 143:7682

TITLE: Antibacterial and antifungal activities of new pyrazolo[3,4-d]pyridazine derivatives

AUTHOR(S): Akbas, Esvet; Berber, Ismet

CORPORATE SOURCE: Organic Chemistry Division, Chemistry Department, Faculty of Arts and Sciences, Yuzuncu Yil University, Van, 65080, Turk.

SOURCE: European Journal of Medicinal Chemistry (2005), 40(4), 401-405

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier Ltd.

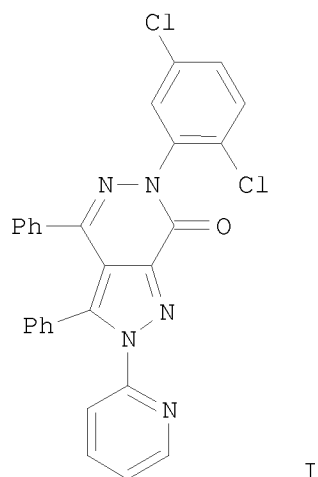
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:7682

10/551,709

GI

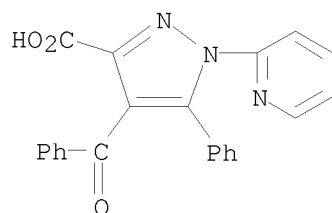


AB Several pyrazolo[3,4-d]pyridazine derivs., e.g., I, were prepared by the reaction of two 1H-pyrazole-3-carboxylic acids and various hydrazines. The compds. were tested for antimicrobial activities against Gram-neg., Gram-pos. bacteria and fungi. Two of the tested compds. showed excellent antimicrobial activities against Gram-neg., Gram-pos. bacteria and fungi with min. inhibitory concns. in the range of 0.31 to < 0.0024 mg mL⁻¹.

IT 791112-66-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, antibacterial, and antifungal activity of of pyrazolopyridazine derivs. starting from benzoyl(phenyl)furandione and hydrazines using cyclization as the key step)

RN 791112-66-8 CAPLUS

CN 1H-Pyrazole-3-carboxylic acid, 4-benzoyl-5-phenyl-1-(2-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:29859 CAPLUS
DOCUMENT NUMBER: 142:430215
TITLE: Transformations of
5-amino-4-(3,4-dimethoxyphenyl)pyrazoles in the
diazotization reaction
AUTHOR(S): Pavlov, I. V.; Kobrakov, K. I.; Bogza, S. L.

CORPORATE SOURCE: A. N. Kosygin Moscow State Technical University,
Moscow, 119991, Russia

SOURCE: Chemistry of Heterocyclic Compounds (New York, NY,
United States) (Translation of Khimiya
Geterotsiklicheskikh Soedinenii) (2004), 40(7),
964-965

CODEN: CHCCAL; ISSN: 0009-3122

PUBLISHER: Kluwer Academic/Consultants Bureau

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:430215

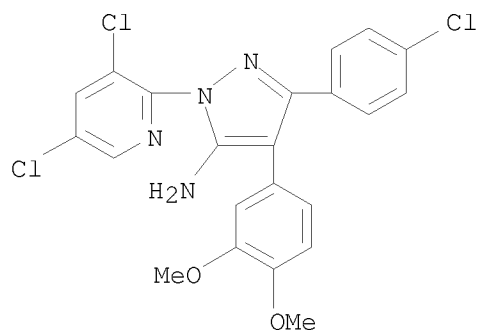
AB Diazotization of 5-amino-3-(4-chlorophenyl)-1-(3,5-dichloropyridin-2-yl)-4-(3,4-dimethoxyphenyl)pyrazole in AcOH afforded via intramol. azo coupling the 1-(4-chlorophenyl)-3-(3,5-dichloropyridin-2-yl)-7,8-dimethoxy-3H-pyrazolo[3,4-c]cinnoline while diazotization in H₂SO₄ in the presence of arenes (2-hydroxynaphthalene or N,N-dimethylaniline) resulted in the formation of the corresponding 5-aryldazo derivs. of the starting aminopyrazole via intermol. azo coupling.

IT 851040-12-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(transformations of 5-amino-4-(3,4-dimethoxyphenyl)-1-(3,5-dichloropyridin-2-yl)pyrazoles by diazotization reaction to 3-(3,5-dichloropyridin-2-yl)-7,8-dimethoxy-3H-pyrazolo[3,4-c]cinnoline and 5-aryldazo-aminopyrazole derivs.)

RN 851040-12-5 CAPLUS

CN 1H-Pyrazol-5-amine, 3-(4-chlorophenyl)-1-(3,5-dichloro-2-pyridinyl)-4-(3,4-dimethoxyphenyl)- (CA INDEX NAME)



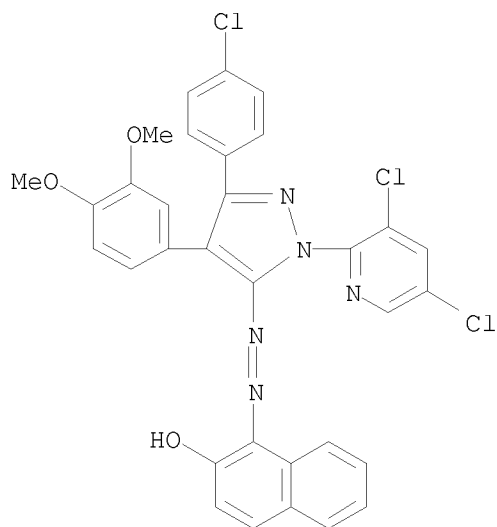
IT 851040-14-7P 851040-15-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(transformations of 5-amino-4-(3,4-dimethoxyphenyl)-1-(3,5-dichloropyridin-2-yl)pyrazoles by diazotization reaction to 3-(3,5-dichloropyridin-2-yl)-7,8-dimethoxy-3H-pyrazolo[3,4-c]cinnoline and 5-aryldazo-aminopyrazole derivs.)

RN 851040-14-7 CAPLUS

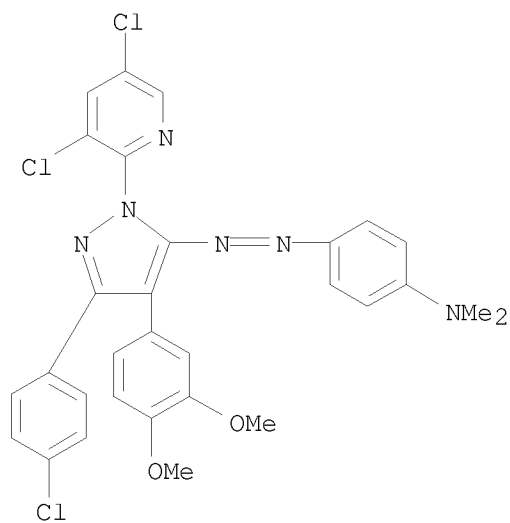
CN 2-Naphthalenol, 1-[2-[3-(4-chlorophenyl)-1-(3,5-dichloro-2-pyridinyl)-4-(3,4-dimethoxyphenyl)-1H-pyrazol-5-yl]diazenyl]- (CA INDEX NAME)

10/551,709



RN 851040-15-8 CAPLUS

CN Benzenamine, 4-[2-[3-(4-chlorophenyl)-1-(3,5-dichloro-2-pyridinyl)-4-(3,4-dimethoxyphenyl)-1H-pyrazol-5-yl]diazenyl]-N,N-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:878273 CAPLUS

DOCUMENT NUMBER: 141:366220

TITLE: Preparation of diaryl substituted pyrazole modulators of metabotropic glutamate receptor-5

INVENTOR(S): Cosford, Nicholas D. P.; Eastman, Brian W.; Huang, Dehua; Smith, Nicholas D.; Tehrani, Lida R.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Essa Hu

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

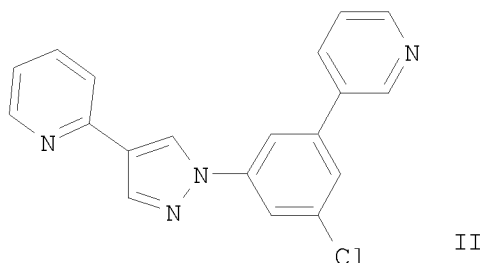
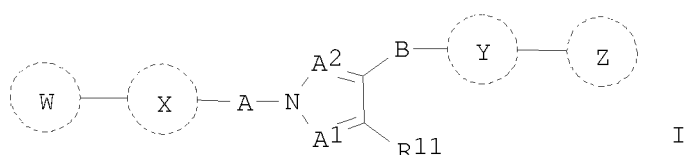
DOCUMENT TYPE: Patent

10/551,709

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089303	A2	20041021	WO 2004-US11651	20040330
WO 2004089303	A3	20050428		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004228057	A1	20041021	AU 2004-228057	20040330
CA 2520870	A1	20041021	CA 2004-2520870	20040330
EP 1613614	A2	20060111	EP 2004-750171	20040330
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
CN 1795184	A	20060628	CN 2004-80014567	20040330
JP 2006522164	T	20060928	JP 2006-510074	20040330
IN 2005DN04191	A	20070831	IN 2005-DN4191	20050916
US 20060194807	A1	20060831	US 2005-551709	20051003
PRIORITY APPLN. INFO.:			US 2003-460094P	P 20030403
			WO 2004-US11651	W 20040330

OTHER SOURCE(S): MARPAT 141:366220
GI



AB Title compds. represented by the formula I [wherein X, Y = independently (hetero)aryl, and at least one of X and Y is a heteroaryl with N adjacent to the position of attachment to A or B; A, B = independently (hetero)alkyl, alkylsulfonylalkyl, alkylcarbonylalkyl, etc.; W, Z = independently (un)substituted (hetero)cycloalkyl, alkyl(hetero)aryl; one of A1 and A2 is N, the other in (un)substituted C; R11 = halo, alkyl, alkoxyl, amino(di)(alkyl); and pharmaceutically acceptable salts thereof]

were prepared as modulators of metabotropic glutamate receptor-5 (mGluR5). For example, reaction of 2-(2-pyridyl)malondialdehyde with hydrazine hydrate (60%), followed by substitution with 1-bromo-3-chloro-5-fluorobenzene (45%) and coupling reaction with pyridin-3-ylboronic acid (80%), gave II. The prepared I were tested for mGluR5 inhibitory activity with IC50 value of about 2 μ M in the calcium flux assay. Thus, I and their pharmaceutical compns. are useful as modulators of mGluR5 for the treatment of panic, and bipolar disorder, as well as in the treatment of psychiatric and mood disorders such as, for example, schizophrenia, anxiety, depression, panic, and bipolar disorder, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm disorders, obesity, drug addiction, drug abuse, drug withdrawal and other diseases (no data).

IT 777880-83-8P 777881-01-3P 777881-25-1P

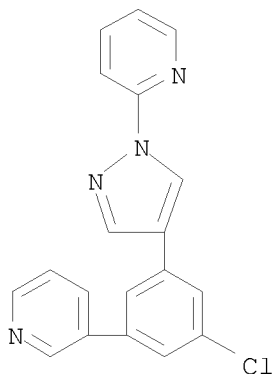
777881-29-5P 777881-33-1P 777881-94-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diaryl pyrazole modulators of metabotropic glutamate receptor-5)

RN 777880-83-8 CAPLUS

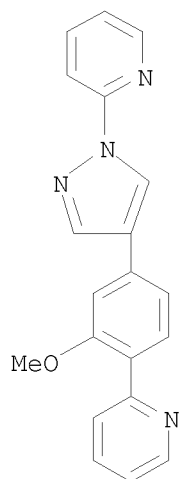
CN Pyridine, 2-[4-[3-chloro-5-(3-pyridinyl)phenyl]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 777881-01-3 CAPLUS

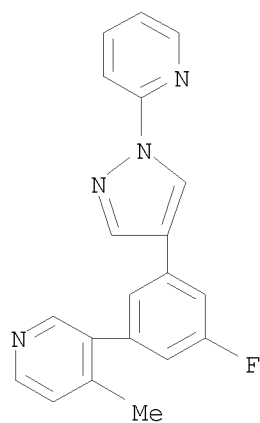
CN Pyridine, 2-[4-[3-methoxy-4-(2-pyridinyl)phenyl]-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/551,709



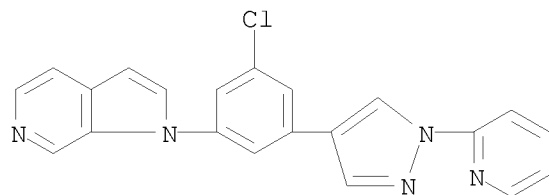
RN 777881-25-1 CAPLUS

CN Pyridine, 3-[3-fluoro-5-[1-(2-pyridinyl)-1H-pyrazol-4-yl]phenyl]-4-methyl-
(CA INDEX NAME)



RN 777881-29-5 CAPLUS

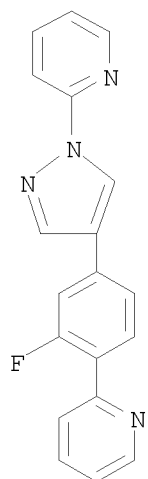
CN 1H-Pyrrolo[2,3-c]pyridine, 1-[3-chloro-5-[1-(2-pyridinyl)-1H-pyrazol-4-yl]phenyl]-
(CA INDEX NAME)



RN 777881-33-1 CAPLUS

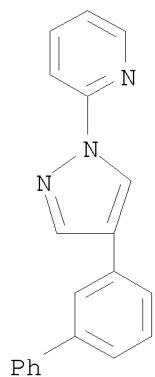
CN Pyridine, 2-[4-[3-fluoro-4-(2-pyridinyl)phenyl]-1H-pyrazol-1-yl]-
(CA INDEX NAME)

10/551,709



RN 777881-94-4 CAPLUS

CN Pyridine, 2-(4-[1,1'-biphenyl]-3-yl-1H-pyrazol-1-yl)- (CA INDEX NAME)



IT 777882-02-7P

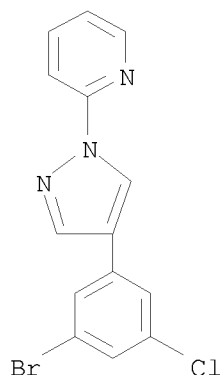
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diaryl pyrazole modulators of metabotropic glutamate receptor-5)

RN 777882-02-7 CAPLUS

CN Pyridine, 2-[4-(3-bromo-5-chlorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

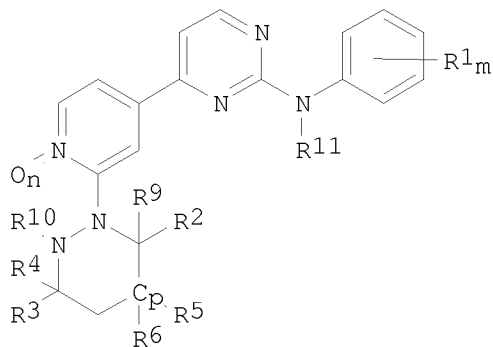
10/551,709



L4 ANSWER 24 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:796496 CAPLUS
DOCUMENT NUMBER: 141:290547
TITLE: Fungicidal compositions comprising
N-phenyl-N-[4-(4-pyridyl)-2-pyrimidin-2-yl]amine
derivatives
INVENTOR(S): Ackerman, Peter; Stierli, Daniel; Jung, Pierre Marcel
Joseph; Maienfisch, Peter; Cederbaum, Fredrik Emil
Malcolm; Wenger, Jean-Frederic
PATENT ASSIGNEE(S): Syngenta Participations AG, Switz.
SOURCE: Brit. UK Pat. Appl., 112 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2399754	A	20040929	GB 2004-3967	20040223
PRIORITY APPLN. INFO.:			GB 2003-7269	A 20030328
OTHER SOURCE(S):	MARPAT	141:290547		

GI



AB Comps. for protecting plants, especially fungicidal comps., comprise N-phenyl-N-[4-(4-pyridyl)-2-pyrimidin-2-yl]amine derivs. (I, R1 = halo or (un)substituted alkyl, alkoxy, alkenyloxy, alkynyloxy, thioalkyl, aryl,

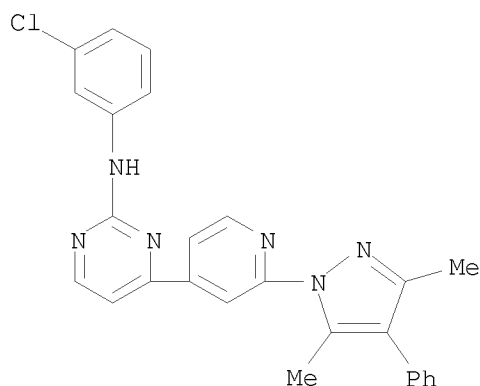
etc.; R2-R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H, (un)substituted alkyl, alkenyl, etc.; R11 = H, C1-4 alkyl, C3-4 alkenyl, etc.; m = 0, 1, 2, or 3; n, p = 0 or 1; q = 1 or 2) or a salt thereof, together with a suitable carrier and optionally addnl. active compds. Thus, spraying 1-wk-old wheat plants 0.02% I (in a test with 7 such compds.) resulted in >70% control of fungal infection assessed 10 days after inoculation with Puccinia graminis.

IT 764698-85-3

RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(as fungicide for plant protection)

RN 764698-85-3 CAPLUS

CN 2-Pyrimidinamine, N-(3-chlorophenyl)-4-[2-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)-4-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:654838 CAPLUS

DOCUMENT NUMBER: 141:325154

TITLE: Discovery of Novel Heteroarylazoles That Are Metabotropic Glutamate Subtype 5 Receptor Antagonists with Anxiolytic Activity

AUTHOR(S): Roppe, Jeffrey; Smith, Nicholas D.; Huang, Dehua; Tehrani, Lida; Wang, Bowei; Anderson, Jeffrey; Brodtkin, Jesse; Chung, Janice; Jiang, Xiaohui; King, Christopher; Munoz, Benito; Varney, Mark A.; Prasit, Petpiboon; Cosford, Nicholas D. P.

CORPORATE SOURCE: Merck Research Laboratories, San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(19), 4645-4648

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:325154

AB The highly potent, selective, and brain-penetrant metabotropic glutamate subtype 5 (mGlu5) receptor antagonists 3-(5-pyridin-2-yl-2H-tetrazol-2-yl)benzonitrile and 3-fluoro-5-(5-pyridin-2-yl-2H-tetrazol-2-yl)benzonitrile are reported. Compound 3-(5-pyridin-2-yl-2H-tetrazol-2-yl)benzonitrile is active in the rat fear-potentiated startle (FPS) model of anxiety with ED50 = 5.4 mg/kg

(po) when dosed acutely. In this model the anxiolytic effects of 3-(5-pyridin-2-yl-2H-tetrazol-2-yl)benzonitrile rapidly tolerate on repeated dosing.

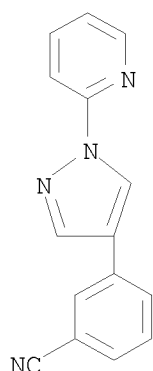
IT 546141-95-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery of novel heteroarylazoles that are metabotropic glutamate subtype 5 receptor antagonists with anxiolytic activity)

RN 546141-95-1 CAPLUS

CN Benzonitrile, 3-[1-(2-pyridinyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:596910 CAPLUS

DOCUMENT NUMBER: 141:410885

TITLE: Synthesis and some reactions of 4-benzoyl-5-phenyl-1-pyridin-2-yl-1H-pyrazole-3-carboxylic acid

AUTHOR(S): Sener, Ahmet; Akbas, Esvet; Sener, M. Kasim

CORPORATE SOURCE: Art and Science Faculty, Chemistry Department, Yuezuncue Yil University, Van, 65080, Turk.

SOURCE: Turkish Journal of Chemistry (2004), 28(3), 271-277
CODEN: TJCHE3; ISSN: 1300-0527

PUBLISHER: Scientific and Technical Research Council of Turkey

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:410885

AB The 1H-pyrazole-3-carboxylic acid (I), obtained from the furandione and 2-hydrazinopyridine, was decarboxylated to give 4-benzoyl-5-phenyl-1-pyridin-2-yl-pyrazole derivative. Some ester derivs. of I were prepared by the Fischer esterification reactions of I with various alcs. Cyclocondensation reactions of I with Ph hydrazine or hydrazine hydrate led to the formation of derivs. of pyrazolo[3,4-d]pyridazine derivs.

IT 791112-66-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

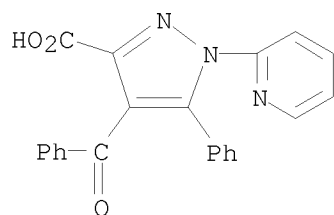
(preparation and reactions of 4-benzoyl-5-phenyl-1-pyridin-2-yl-1H-pyrazole-3-carboxylic acid)

RN 791112-66-8 CAPLUS

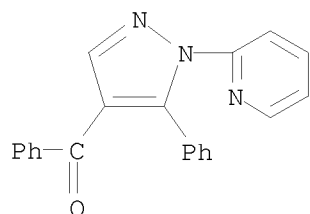
CN 1H-Pyrazole-3-carboxylic acid, 4-benzoyl-5-phenyl-1-(2-pyridinyl)- (CA

10/551,709

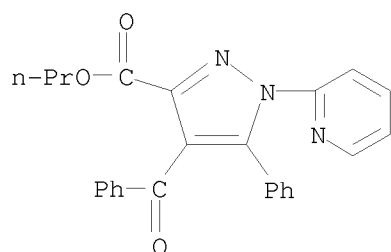
INDEX NAME)



IT 791112-67-9P 791112-68-0P 791112-69-1P
791112-70-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and reactions of 4-benzoyl-5-phenyl-1-pyridin-2-yl-1H-pyrazole-3-carboxylic acid)
RN 791112-67-9 CAPLUS
CN Methanone, phenyl[5-phenyl-1-(2-pyridinyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)

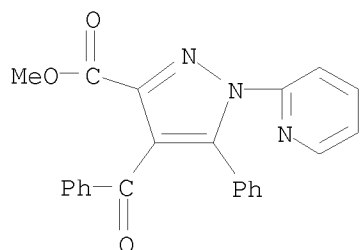


RN 791112-68-0 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-benzoyl-5-phenyl-1-(2-pyridinyl)-, propyl ester (CA INDEX NAME)

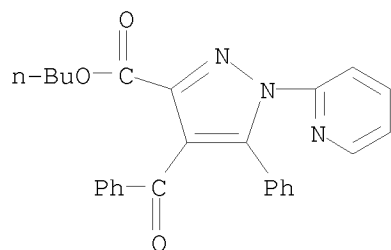


RN 791112-69-1 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-benzoyl-5-phenyl-1-(2-pyridinyl)-, methyl ester (CA INDEX NAME)

10/551,709



RN 791112-70-4 CAPLUS
CN 1H-Pyrazole-3-carboxylic acid, 4-benzoyl-5-phenyl-1-(2-pyridinyl)-, butyl ester (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:566549 CAPLUS

DOCUMENT NUMBER: 141:123620

TITLE: Preparation of pyrazole derivatives as inhibitors of mitogen activated protein kinase-activated protein kinase-2

INVENTOR(S): Hanau, Cathleen E.; Mershon, Serena Marie; Graneto, Matthew J.; Meyers, Marvin J.; Hegde, Shridhar G.; Buchler, Ingrid P.; Wu, Kun K.; Liu, Shuang; Nacro, Kassoom

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 265 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

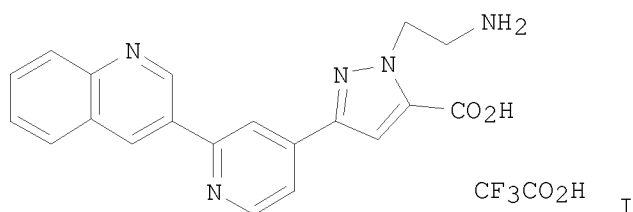
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004058176	A2	20040715	WO 2003-US40932	20031219
WO 2004058176	A3	20040916		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,			

BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA	2510298	A1	20040715	CA	2003-2510298	20031219
AU	2003301226	A1	20040722	AU	2003-301226	20031219
AU	2003301226	A2	20040722			
US	20040152739	A1	20040805	US	2003-742494	20031219
US	20040209897	A1	20041021	US	2003-742072	20031219
EP	1572682	A2	20050914	EP	2003-814309	20031219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK						
BR	2003017525	A	20051116	BR	2003-17525	20031219
CN	1747949	A	20060315	CN	2003-80109626	20031219
JP	2006511583	T	20060406	JP	2004-563946	20031219
IN	2005CN01270	A	20070622	IN	2005-CN1270	20050615
MX	2005PA06568	A	20050922	MX	2005-PA6568	20050617
US	20080113971	A1	20080515	US	2007-958229	20071217
PRIORITY APPLN. INFO.:				US	2002-434962P	P 20021220
				US	2003-742494	A1 20031219
				WO	2003-US40932	W 20031219
OTHER SOURCE(S):		MARPAT 141:123620				
GI						



AB Title compds. were prepared as inhibitors of mitogen activated protein kinase-activated protein kinase-2 (MK-2). Thus, the title compound I was prepared in a multi-step synthesis and had IC₅₀ for MK-2 inhibition of 0.0269 μ M.

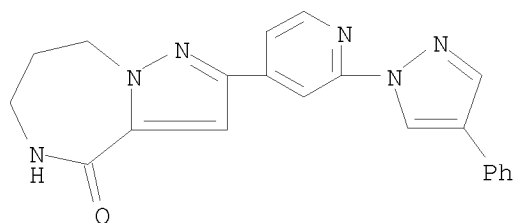
IT 723339-59-1P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazole derivs. as inhibitors of mitogen activated protein kinase-activated protein kinase-2)

RN 723339-59-1 CAPLUS
 CN 4H-Pyrazolo[1,5-a][1,4]diazepin-4-one,
 5,6,7,8-tetrahydro-2-[2-(4-phenyl-1H-pyrazol-1-yl)-4-pyridinyl]-,
 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

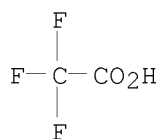
CRN 723339-58-0
 CMF C21 H18 N6 O

10/551,709



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L4 ANSWER 28 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:837049 CAPLUS

DOCUMENT NUMBER: 139:337966

TITLE: Preparation of
pyrazolylphenethylaminocarbonylbenzenesulfonamides and
related compounds as antiinflammatories and
analgesics.

INVENTOR(S): Hirano, Misato; Nakao, Kazunari; Nukui, Seiji;
Yamagishi, Tatsuya

PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

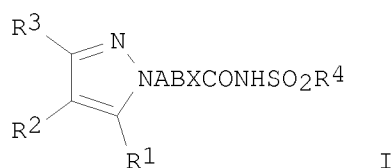
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

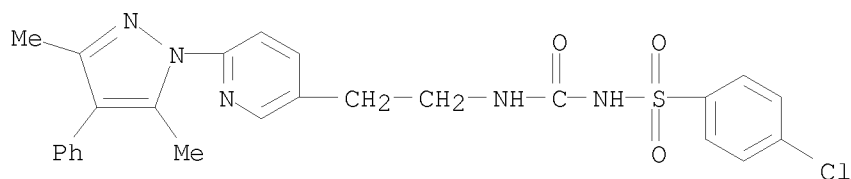
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087061	A1	20031023	WO 2003-IB1277	20030402
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2482382	A1	20031023	CA 2003-2482382	20030402
AU 2003216581	A1	20031027	AU 2003-216581	20030402
EP 1495005	A1	20050112	EP 2003-712488	20030402
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003009188 A 20050209 BR 2003-9188 20030402
 JP 2005532291 T 20051027 JP 2003-584017 20030402
 US 20040019045 A1 20040129 US 2003-409881 20030409
 US 7001917 B2 20060221
 MX 2004PA09960 A 20041213 MX 2004-PA9960 20041011
 PRIORITY APPLN. INFO.: US 2002-372047P P 20020412
 WO 2003-IB1277 W 20030402
 OTHER SOURCE(S): MARPAT 139:337966
 GI



- AB Title compds. [I; R1 = H, alkyl, amino, mono- or dialkylamino, (substituted) aryl, heteroaryl; R2 = H, halo, alkyl, cycloalkyl, cycloalkenyl, aralkyl, (substituted) aryl, heteroaryl; R3 = alkyl, haloalkyl, hydroxyalkyl, (substituted) aryl, heteroaryl; A, R4 = (substituted) aryl, heteroaryl; B = alkylene; X = NH, alkylimino, O, S], were prepared for treatment of prostaglandin-mediated disease such as pain, fever, and inflammation (no data). Thus, 2-(4-hydrazinophenyl)ethanol hydrochloride and benzoyl-1,1,1-trifluoroacetone were refluxed together overnight to give 56% 2-[4-[5-phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]ethanol. The latter was stirred with p-toluenesulfonyl isocyanate in CH₂Cl₂ for 30 min. to give 73% 2-[4-[5-phenyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]ethyl (4-methylphenyl)sulfonylcarbamate.
- IT 616878-30-9P 616878-31-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazolylphenethylaminocarbonylbenzenesulfonamides and related compds. as antiinflammatories and analgesics)
- RN 616878-30-9 CAPLUS
- CN Benzenesulfonamide, 4-chloro-N-[[[2-[6-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)-3-pyridinyl]ethyl]amino]carbonyl]-, sodium salt (1:1) (CA INDEX NAME)

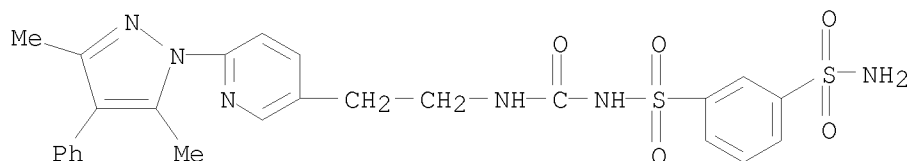


● Na

RN 616878-31-0 CAPLUS

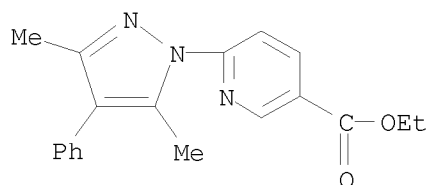
10/551,709

CN 1,3-Benzenedisulfonamide, N1-[[[2-[6-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)-3-pyridinyl]ethyl]amino]carbonyl]-, sodium salt (1:1) (CA INDEX NAME)

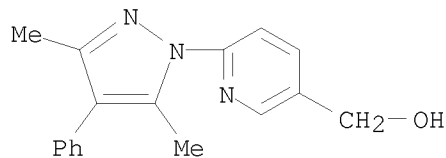


● Na

IT 616879-81-3P 616879-82-4P 616879-83-5P
616879-84-6P 616879-86-8P 616879-87-9P
616879-88-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrazolylphenethylaminocarbonylbenzenesulfonamides and related compds. as antiinflammatories and analgesics)
RN 616879-81-3 CAPLUS
CN 3-Pyridinecarboxylic acid, 6-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)-, ethyl ester (CA INDEX NAME)

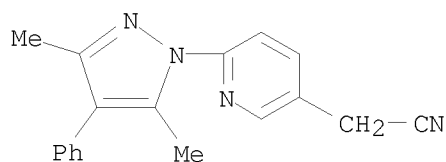


RN 616879-82-4 CAPLUS
CN 3-Pyridinemethanol, 6-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)- (CA INDEX NAME)



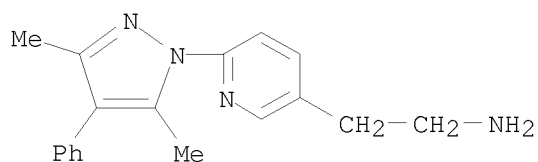
RN 616879-83-5 CAPLUS
CN 3-Pyridineacetonitrile, 6-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)- (CA INDEX NAME)

10/551,709



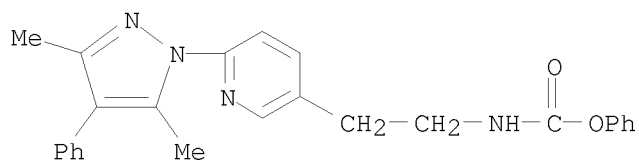
RN 616879-84-6 CAPLUS

CN 3-Pyridineethanamine, 6-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)- (CA INDEX NAME)



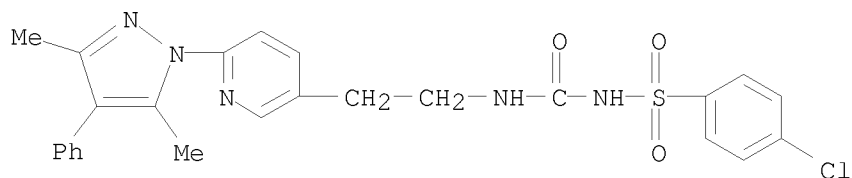
RN 616879-86-8 CAPLUS

CN Carbamic acid, [2-[6-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)-3-pyridinyl]ethyl]-, phenyl ester (9CI) (CA INDEX NAME)



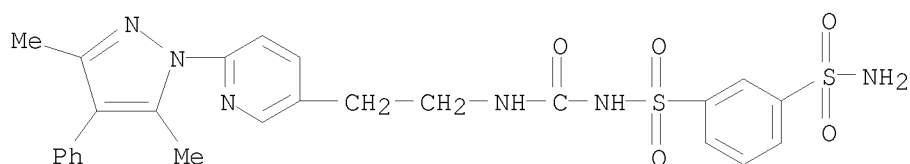
RN 616879-87-9 CAPLUS

CN Benzenesulfonamide, 4-chloro-N-[[[2-[6-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)-3-pyridinyl]ethyl]amino]carbonyl]- (CA INDEX NAME)



RN 616879-88-0 CAPLUS

CN 1,3-Benzenedisulfonamide, N1-[[[2-[6-(3,5-dimethyl-4-phenyl-1H-pyrazol-1-yl)-3-pyridinyl]ethyl]amino]carbonyl]- (CA INDEX NAME)



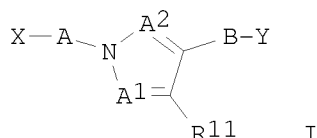
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:491179 CAPLUS
 DOCUMENT NUMBER: 139:53017
 TITLE: Preparation of heteroaryl substituted pyrazole
 modulators of metabotropic glutamate receptor-5
 INVENTOR(S): Cosford, Nicholas D. P.; Chen, Chixu; Eastman, Brian
 W.; Huang, Dehua; Munoz, Benito; Prasit, Petpiboon;
 Smith, Nicholas D.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 131 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051833	A2	20030626	WO 2002-US40147	20021213
WO 2003051833	A3	20031030		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2469813	A1	20030626	CA 2002-2469813	20021213
AU 2002359714	A1	20030630	AU 2002-359714	20021213
AU 2002359714	B2	20061221		
EP 1458383	A2	20040922	EP 2002-794267	20021213
EP 1458383	B1	20071121		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
JP 2005516934	T	20050609	JP 2003-552720	20021213
ES 2295441	T3	20080416	ES 2002-794267	20021213
WO 2004030637	A2	20040415	WO 2003-US9717	20030331
WO 2004030637	A3	20040923		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003218462	A1	20040423	AU 2003-218462	20030331
US 20050026963	A1	20050203	US 2004-497122	20040526
PRIORITY APPLN. INFO.:			US 2001-341382P	P 20011218
			WO 2002-US31294	A 20021001
			WO 2002-US40147	W 20021213

WO 2002-US41720	A	20021213
WO 2002-US40237	A	20021216
WO 2002-US40486	A	20021217
WO 2003-US9717	W	20030331

OTHER SOURCE(S): MARPAT 139:53017
GI



- AB Pyrazole compds. substituted directly, or by a bridge, with a heteroaryl moiety containing N adjacent to the point of connection of the heteroaryl (shown as I; variables defined below; e.g. 3-[4-(pyridin-2-yl)-1H-pyrazol-1-yl]benzonitrile), are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, for example, schizophrenia, anxiety, depression, bipolar disorder and panic, as well as in the treatment of pain, circadian rhythm disorders, and other diseases. Compds. I have mGluR5 inhibitory activity as shown by an IC50 value of <10 μ M and/or an inhibition of >30% at a concentration of 3 μ M in the Ca flux assay and/or inhibition of >50% at a concentration of 100 μ M in the phosphatidylinositol hydrolysis assay. For I: X and Y each independently is aryl or heteroaryl wherein at least one of X and Y is a heteroaryl with N adjacent to the position of attachment to A or B resp.; A is -C0-4-alkyl, -C0-2alkyl-SO-C0-2-alkyl-, -C0-2-alkyl-SO2-C0-2alkyl-, -C0-2-alkyl-CO-C0-2-alkyl-, -C0-2-alkyl-NR9CO-C0-2-alkyl-, -C0-2-alkyl-NR9SO2-C0-2-alkyl- or -heteroC0-4alkyl. B is -C0-4-alkyl, -C0-2-alkyl-SO-C0-2-alkyl-, -C0-2alkyl-SO2-C0-2alkyl-, -C0-2-alkyl-CO-C0-2-alkyl-, -C0-2-alkyl-NR10CO-C0-2-alkyl-, -C0-2-alkyl-NR10SO2-C0-2alkyl- or -heteroC0-4alkyl; one of A1 and A2 is N, the other is CR12; R11 and R12 is each independently halogen, -C0-6alkyl, -C0-6alkoxyl, or -N(C0-4-alkyl)(C0-4-alkyl), wherein optionally R11 and R12 are combined to form a cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring fused to the pyrazole moiety; any N may be an N-oxide; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, 15 example preps. of I and 12 example preps. of intermediates are included; characterization data are included for an addnl. .apprx.270 examples of I.
- IT 546141-95-1P, 3-[1-(Pyridin-2-yl)-1H-pyrazol-4-yl]benzonitrile
 546141-96-2P, 2-[4-(3-Chlorophenyl)-1H-pyrazol-1-yl]pyridine
 546141-97-3P, 2-[4-(3-Methoxyphenyl)-1H-pyrazol-1-yl]pyridine
 546142-41-0P, 2-[4-(2-Chlorophenyl)-1H-pyrazol-1-yl]pyridine
 546142-42-1P, 2-[4-(3-Methylphenyl)-1H-pyrazol-1-yl]pyridine
 546142-81-8P, 2-[4-[3-Fluoro-5-(pyridin-3-yloxy)phenyl]-1H-pyrazol-1-yl]pyridine 546142-93-2P,
 2-[4-(4-Fluorophenyl)-1H-pyrazol-1-yl]pyridine 546142-94-3P,
 4-[1-(Pyridin-2-yl)-1H-pyrazol-4-yl]benzonitrile 546142-95-4P,
 2-[4-[3-(Trifluoromethyl)phenyl]-1H-pyrazol-1-yl]pyridine
 546142-96-5P, 2-[4-[4-(Trifluoromethyl)phenyl]-1H-pyrazol-1-yl]pyridine 546142-98-7P,
 2-[4-(3-Fluorophenyl)-1H-pyrazol-1-yl]pyridine 546142-99-8P,
 2-[4-(3,5-Dichlorophenyl)-1H-pyrazol-1-yl]pyridine 546143-00-4P,
 2-[4-(3,5-Difluorophenyl)-1H-pyrazol-1-yl]pyridine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

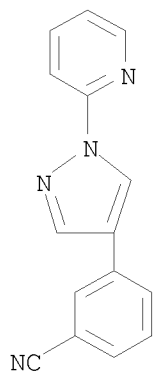
10/551,709

(Uses)

(drug candidate; preparation of heteroaryl substituted pyrazole inhibitors of metabotropic glutamate receptor-5)

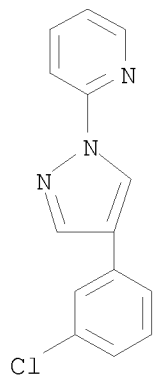
RN 546141-95-1 CAPLUS

CN Benzonitrile, 3-[1-(2-pyridinyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



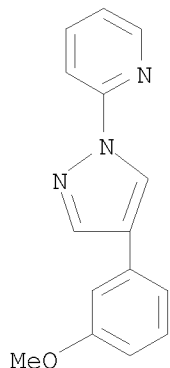
RN 546141-96-2 CAPLUS

CN Pyridine, 2-[4-(3-chlorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 546141-97-3 CAPLUS

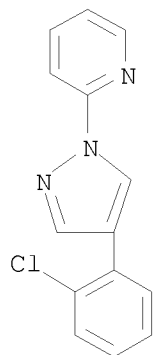
CN Pyridine, 2-[4-(3-methoxyphenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



10/551,709

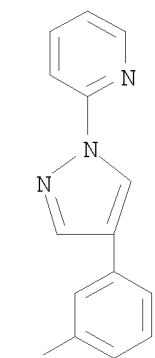
RN 546142-41-0 CAPLUS

CN Pyridine, 2-[4-(2-chlorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



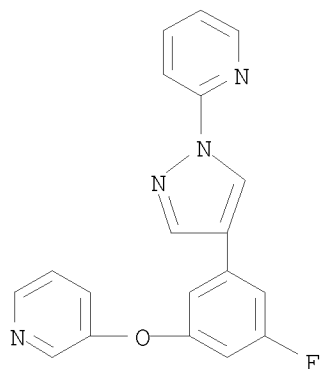
RN 546142-42-1 CAPLUS

CN Pyridine, 2-[4-(3-methylphenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 546142-81-8 CAPLUS

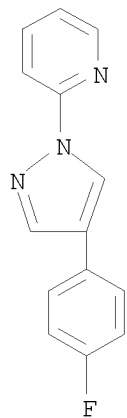
CN Pyridine, 2-[4-[3-fluoro-5-(3-pyridinyloxy)phenyl]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 546142-93-2 CAPLUS

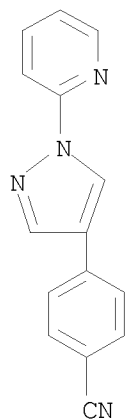
CN Pyridine, 2-[4-(4-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/551,709



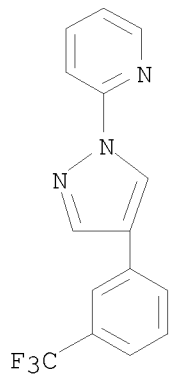
RN 546142-94-3 CAPLUS

CN Benzonitrile, 4-[1-(2-pyridinyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



RN 546142-95-4 CAPLUS

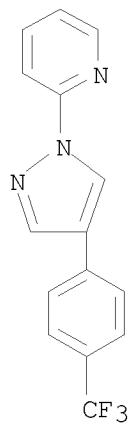
CN Pyridine, 2-[4-[3-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]- (CA INDEX NAME)



10/551,709

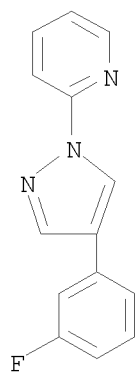
RN 546142-96-5 CAPLUS

CN Pyridine, 2-[4-[4-(trifluoromethyl)phenyl]-1H-pyrazol-1-yl]- (CA INDEX NAME)



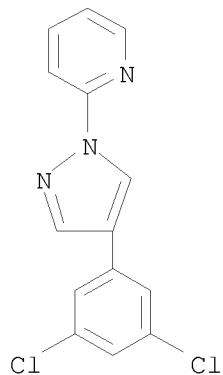
RN 546142-98-7 CAPLUS

CN Pyridine, 2-[4-(3-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



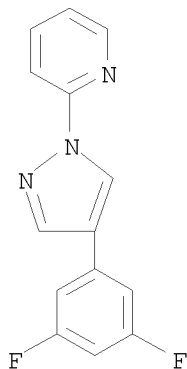
RN 546142-99-8 CAPLUS

CN Pyridine, 2-[4-(3,5-dichlorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

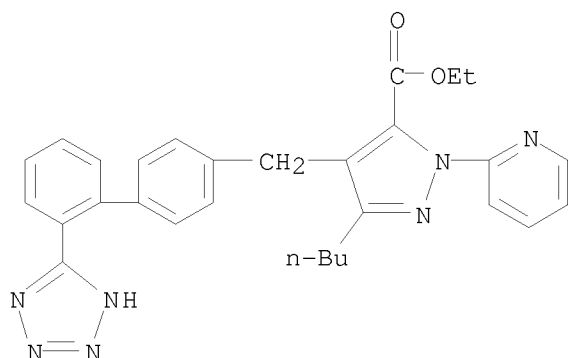


10/551,709

RN 546143-00-4 CAPLUS
CN Pyridine, 2-[4-(3,5-difluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



L4 ANSWER 30 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:112122 CAPLUS
DOCUMENT NUMBER: 139:239629
TITLE: CoMFA and CoMSIA studies of angiotensin (AT1) receptor antagonists
AUTHOR(S): Datar, Prasanna; Desai, Prashant; Coutinho, Evans; Iyer, Krishna
CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Bombay College of Pharmacy, Mumbai, 400 098, India
SOURCE: Journal of Molecular Modeling (2002), 8(10), 290-301
CODEN: JMMOFK; ISSN: 0948-5023
URL: <http://link.springer.de/link/service/journals/00894/contents/02/00097/paper/s00894-002-0097-6.pdf>
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
AB Two 3D-QSAR methods CoMFA and CoMSIA were applied to a set of 38 angiotensin receptor(AT1) antagonists. The conformation and alignment of mols. were obtained by a novel method consensus dynamics. The representation of biol. activity, partial charge formalism, absolute orientation of the mols. in the grid, and grid spacing were also studied for their effect on the CoMFA models. The models were thoroughly validated through trials using scrambled activities and bootstrapping. The best CoMFA model had across-validated correlation coefficient (q2) of 0.632, which improved with "region focusing" to 0.680. This model had a "predictive" r2 of 0.436 on a test series that was unique and with little representation in the training set. Although the "predictive" r2 of the best CoMSIA model, which included steric, electrostatic, and hydrogen bond acceptor fields was higher than that of the best CoMFA model, the other statistical parameters like q2, r2, F value, and s were unsatisfactory. The contour maps generated using the best CoMFA model were used to identify the structural features important for biol. activity in these compds.
IT 152713-36-5
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(CoMFA and CoMSIA studies of angiotensin (AT1) receptor antagonists)
RN 152713-36-5 CAPLUS
CN 1H-Pyrazole-5-carboxylic acid, 3-butyl-1-(2-pyridinyl)-4-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:293632 CAPLUS

DOCUMENT NUMBER: 136:325538

TITLE: Preparation of pyrazoles for the treatment of viral diseases

INVENTOR(S): Dymock, Brian William; Jones, Philip Stephen; Merrett, John Herbert; Parratt, Martin John

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.

SOURCE: PCT Int. Appl., 108 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

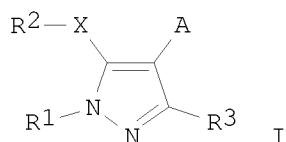
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030907	A1	20020418	WO 2001-EP11474	20011004
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20030018197	A1	20030123	US 2001-956656	20010920
US 6699887	B2	20040302		
CA 2423515	A1	20020418	CA 2001-2423515	20011004
AU 2002021651	A	20020422	AU 2002-21651	20011004
BR 2001014483	A	20030701	BR 2001-14483	20011004
EP 1326843	A1	20030716	EP 2001-986680	20011004
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
HU 2003002722	A2	20031229	HU 2003-2722	20011004
JP 2004511469	T	20040415	JP 2002-534293	20011004
JP 4015548	B2	20071128		
NZ 524740	A	20050930	NZ 2001-524740	20011004
CN 1680333	A	20051012	CN 2005-10008832	20011004

RU 2270832	C2	20060227	RU 2003-112610	20011004
AU 2002221651	B2	20061019	AU 2002-221651	20011004
ZA 2003002519	A	20040630	ZA 2003-2519	20030331
IN 2003CN00492	A	20050415	IN 2003-CN492	20030407
MX 2003PA03070	A	20030714	MX 2003-PA3070	20030408
NO 2003001615	A	20030523	NO 2003-1615	20030409
US 20040192752	A1	20040930	US 2004-766712	20040127
US 7183296	B2	20070227		
HK 1061021	A1	20050527	HK 2004-103975	20040603
PRIORITY APPLN. INFO.:			GB 2000-24795	A 20001010
			US 2001-956656	A3 20010920
			CN 2001-817171	A3 20011004
			WO 2001-EP11474	W 20011004

OTHER SOURCE(S): MARPAT 136:325538
GI

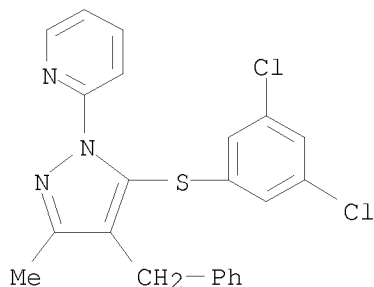


AB The title compds. [I; R1 = alkyl, cycloalkyl, aryl, etc.; R2 = aryl, (un)substituted Ph; R3 = alkyl, alkoxyalkyl; A = CH₂(arylalkylamino), CH₂(arylalkoxy), etc.; X = S, O] that are inhibitors of the human immunodeficiency virus reverse transcriptase enzyme which is involved in viral replication, were prepared E.g., a 3-step synthesis of pyrazole I [R1 = Ph; R2 = 3,5-Cl₂C₆H₃; X = S; R3 = Me; A = CH₂Ph] which showed IC₅₀ of 2060 nM against HIV-1 reverse transcriptase, was given.

IT 412326-26-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrazoles as inhibitors of the HIV reverse transcriptase)

RN 412326-26-2 CAPLUS

CN Pyridine, 2-[5-[(3,5-dichlorophenyl)thio]-3-methyl-4-(phenylmethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:813020 CAPLUS
DOCUMENT NUMBER: 134:128105

TITLE: Miniature single-particle immunoassay for prostate-specific antigen in serum using recombinant Fab fragments
 AUTHOR(S): Harma, Harri; Tarkkinen, Piia; Soukka, Tero; Lovgren, Timo
 CORPORATE SOURCE: Department of Biotechnology, University of Turku, Turku, FIN-20520, Finland
 SOURCE: Clinical Chemistry (Washington, D. C.) (2000), 46(11), 1755-1761
 CODEN: CLCHAU; ISSN: 0009-9147
 PUBLISHER: American Association for Clinical Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Background: Quant., miniaturized nucleic acid assays and immunoassays can be developed with single microparticles, microfluorometric detection, and intrinsically fluorescent lanthanide chelates in a multiple assay format to decrease reagent consumption, cost, and assay time. We used recombinant Fab fragments to capture and detect free and total prostate-specific antigen (PSA) from serum in a submicroliter volume single-particle immunoassay. Methods: Genetically engineered thiol-Fab or thiolated monoclonal antibodies (mAbs) were covalently attached onto uniformly sized 60- μ m maleimide-activated microparticles. Free and total PSA were detected with europium- or terbium-labeled Fab fragments on a single microparticle using a microfluorometer in a time-resolved mode. Results: The detection limit of the free- and total-PSA assays (mean + 3 SD of zero calibrator) was 0.35 μ g/L, with a total volume of 330 nL per particle. An excellent correlation was found in microparticle and microtiter-well assays for 21 serum samples: slopes for free and total PSA were 1.06 \pm 0.03 and 1.03 \pm 0.02, resp. (Sy/x = 0.084 and 0.057 μ g/L), with intercepts of 0.013 \pm 0.018 and 0.013 \pm 0.017 μ g/L (R >0.99). Furthermore, the particle-immobilized Fab fragment had a PSA binding capacity 1.5-fold higher than the intact mAb capacity on a single microparticle. Capacity, kinetics, and sensitivity of the Fab fragment and intact mAb assays in the microparticle and microtiter well formats are discussed. Conclusions: With site-specific (cysteine tail) covalent attachment of Fab fragments on a microparticle, subattomole amts. of PSA can be detected quant.

IT 321883-66-3D, europium and terbium complexes
 RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (fluorescent label for mAb; miniature single-particle immunoassay for prostate-specific antigen in serum using recombinant Fab fragments)

RN 321883-66-3 CAPLUS

CN Glycine, N,N'-[[4-[2-(4-isothiocyanatophenyl)ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-(carboxymethyl)-(9CI) (CA INDEX NAME)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:124064 CAPLUS
DOCUMENT NUMBER: 132:175822
TITLE: 3,4-substituted pyrazoles for the treatment of
inflammation
INVENTOR(S): Lee, Len F.; Penning, Thomas D.; Kramer, Steven W.;
Talley, John J.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 42 pp., Cont.-in-part of U.S. 5,486,534
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6028072	A	20000222	US 1997-776090	19970609
US 5486534	A	19960123	US 1994-278297	19940721
WO 9603385	A1	19960208	WO 1995-US8788	19950720
W:	AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT			
RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 1994-278297	A2 19940721
			WO 1995-US8788	W 19950720

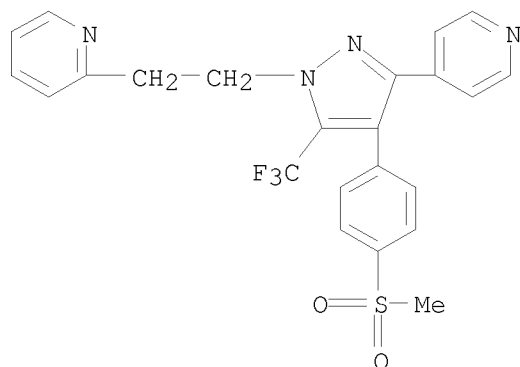
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OTHER SOURCE(S):      MARPAT 132:175822
AB      A class of pyrazolyl compds. (Markush included) is described for use in
        treating inflammation and inflammation-related disorders.  Compound preparation
        is included.
IT      259172-55-9 259173-36-9
        RL: BAC (Biological activity or effector, except adverse); BSU (Biological
        study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
        (Uses)
            (pyrazole derivative preparation for treatment of inflammation and
            inflammation-related disorders)
RN      259172-55-9  CAPLUS

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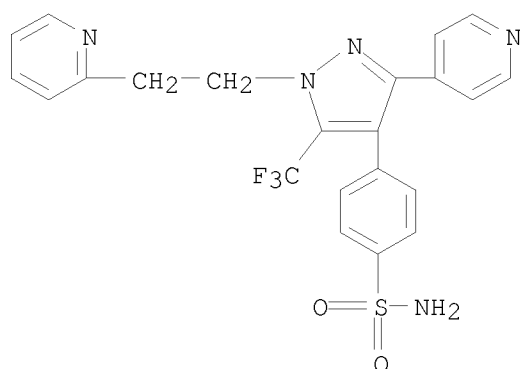
10/551,709

CN Pyridine, 2-[2-[4-[4-(methylsulfonyl)phenyl]-3-(4-pyridinyl)-5-(trifluoromethyl)-1H-pyrazol-1-yl]ethyl]- (CA INDEX NAME)



RN 259173-36-9 CAPLUS

CN Benzenesulfonamide, 4-[3-(4-pyridinyl)-1-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)-1H-pyrazol-4-yl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:117030 CAPLUS

DOCUMENT NUMBER: 132:166234

TITLE: Preparation of estrogen receptor modulating pyrazoles

INVENTOR(S): Huebner, Verena D.; Lin, Xiaodong; James, Ian; Chen, Liya; Desai, Manoj; Krywult, Beata; Singh, Rajinder; Wang, Liang

PATENT ASSIGNEE(S): Chiron Corp., USA

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

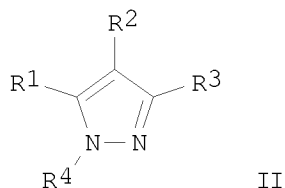
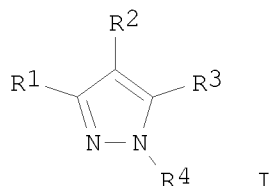
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000007996	A2	19990806	WO 1999-US17799	19990806

WO 2000007996 A3 20000831
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ,
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9954677 A 20000228 AU 1999-54677 19990806
EP 1102753 A2 20010530 EP 1999-940917 19990806
EP 1102753 B1 20070228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY
US 6291505 B1 20010918 US 1999-369747 19990806
JP 2002522422 T 20020723 JP 2000-563630 19990806
AT 355279 T 20060315 AT 1999-940917 19990806
ES 2281186 T3 20070916 ES 1999-940917 19990806
US 20020111374 A1 20020815 US 2001-954039 20010918
US 20040034081 A9 20040219
US 6727273 B2 20040427
US 20040077701 A1 20040422 US 2003-461914 20030612
US 39708 E1 20070626 US 2004-757347 20040113
PRIORITY APPLN. INFO.: US 1998-95772P P 19980807
US 1998-95773P P 19980807
US 1999-369747 A3 19990806
WO 1999-US17799 W 19990806
US 2001-954039 A1 20010918
OTHER SOURCE(S): MARPAT 132:166234
GI



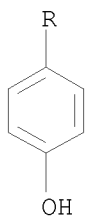
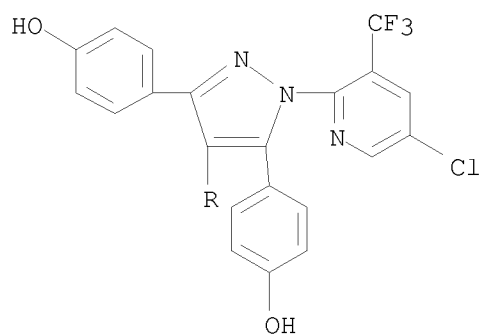
AB The title compds. [I and II; R1, R3 = alkyl, aryl, heteroaryl, etc.; R2 = H, halo, CN, etc.; R4 = H, CO₂H, CHO, etc.] which have been found to have unexpected and surprising activity in modulating estrogen receptor activity, and therefore are useful for treating or preventing estrogen receptor-mediated disorders such as osteoporosis, breast and endometrial cancers, atherosclerosis, and Alzheimer's disease, were prepared E.g., a multi-step synthesis of II [R1 = Ph₂CH; R2 = Et; R3 = 4-HOC₆H₄; R4 = Me], starting with 4'-methoxybutyrylphenone and 2,2-diphenylacetyl chloride, was given (no data for intermediates). Biol. data for compds. I and II were presented.

IT 258845-91-9P 258846-07-0P 258847-06-2P
258847-10-8P 258847-11-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of estrogen receptor modulating pyrazoles)

RN 258845-91-9 CAPLUS
CN Phenol, 4,4',4''-[1-[5-chloro-3-(trifluoromethyl)-2-pyridinyl]-1H-pyrazole-

10/551,709

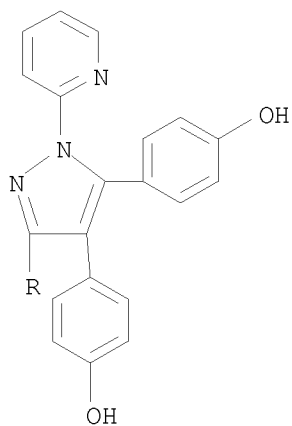
3,4,5-triyl]tris- (9CI) (CA INDEX NAME)

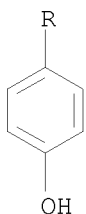


RN 258846-07-0 CAPLUS

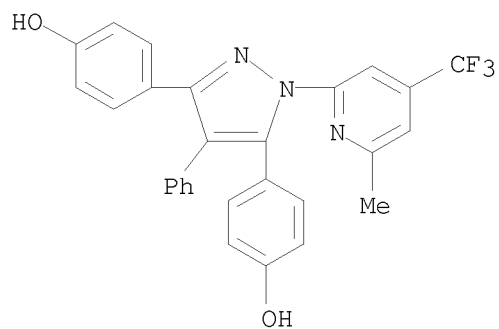
CN Phenol, 4,4',4''-[1-(2-pyridinyl)-1H-pyrazole-3,4,5-triyl]tris- (9CI) (CA INDEX NAME)

PAGE 1-A

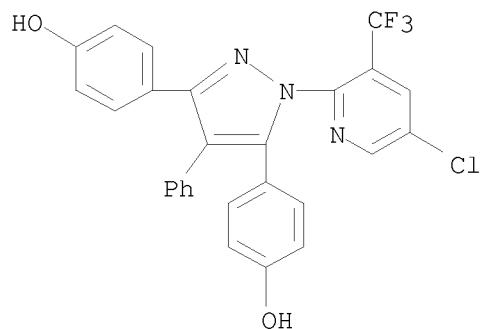




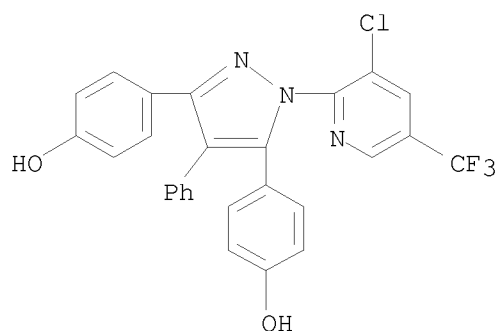
RN 258847-06-2 CAPLUS
CN Phenol, 4,4'-[1-[6-methyl-4-(trifluoromethyl)-2-pyridinyl]-4-phenyl-1H-pyrazole-3,5-diyl]bis- (9CI) (CA INDEX NAME)



RN 258847-10-8 CAPLUS
CN Phenol, 4,4'-[1-[5-chloro-3-(trifluoromethyl)-2-pyridinyl]-4-phenyl-1H-pyrazole-3,5-diyl]bis- (9CI) (CA INDEX NAME)



RN 258847-11-9 CAPLUS
CN Phenol, 4,4'-[1-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-4-phenyl-1H-pyrazole-3,5-diyl]bis- (9CI) (CA INDEX NAME)



L4 ANSWER 35 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:819049 CAPLUS

DOCUMENT NUMBER: 132:64173

TITLE: Preparation of labeling reactants for fluorescent labeling of biospecific binding reactants

INVENTOR(S): Takalo, Harri; Hovinen, Jari; Mukkala, Veli-matti; Liitti, Pivi; Mikola, Heikki

PATENT ASSIGNEE(S): Wallac Oy, Finland

SOURCE: Eur. Pat. Appl., 26 pp.

CODEN: EPXXDW

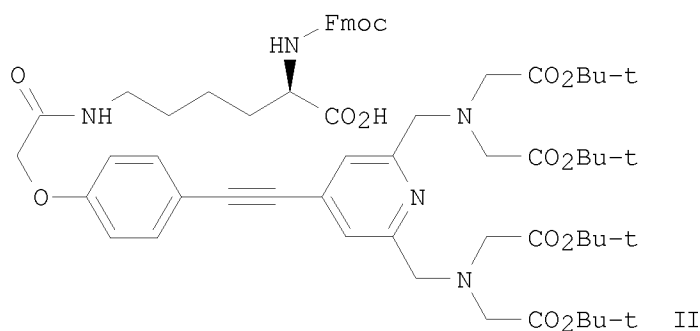
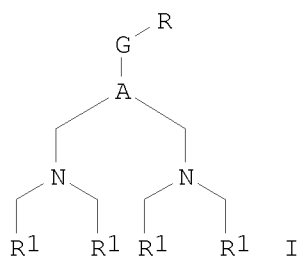
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

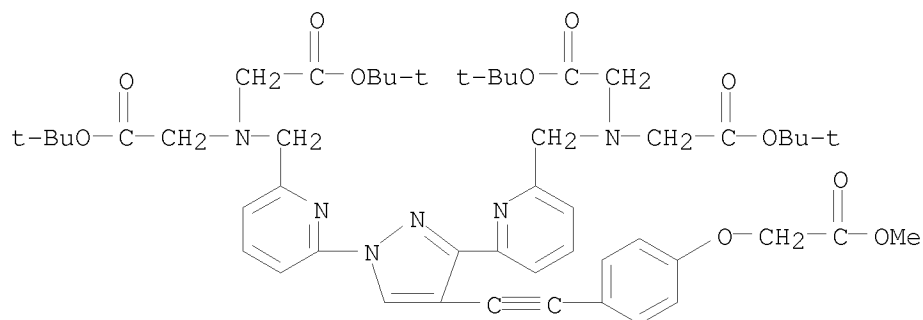
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 967205	A1	19991229	EP 1999-660100	19990603
EP 967205	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6080839	A	20000627	US 1998-104219	19980625
PRIORITY APPLN. INFO.:			US 1998-104219	A 19980625
OTHER SOURCE(S):	CASREACT 132:64173; MARPAT 132:64173			
GI				

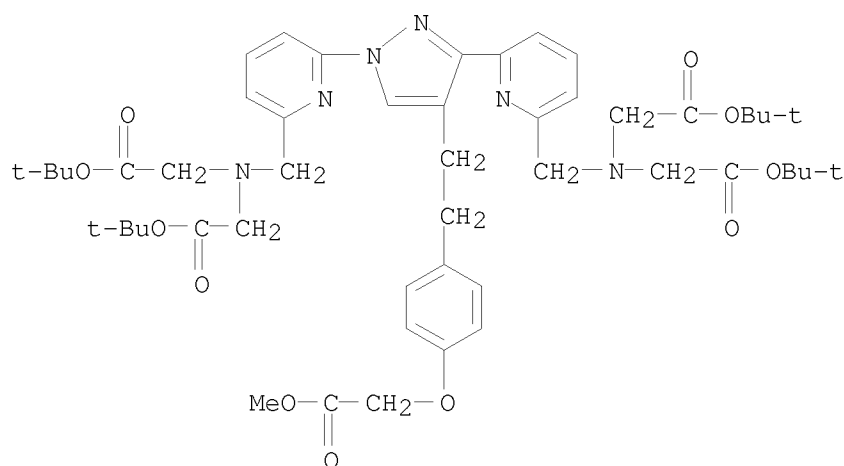


- AB Novel pyridinediylbis(methylenenitrilo)tetrakisacetic acid labeling reactants, suitable for fluorescent labeling of biospecific binding reactants in solid-phase synthesis, were prepared. The novel labeling reactants (I) [wherein A = a bivalent aromatic structure capable of absorbing light or energy and transferring the excitation energy to a lanthanide ion after the product made by solid-phase synthesis has been released from the used solid support, deprotected, and converted to a lanthanide chelate; R = -Z(G1-NH-X)G2-E; X = a transient protecting group, e.g. 2-(4-nitrophenylsulfonyl)ethoxycarbonyl, trityl, 4-methoxytrityl, 4,4'-dimethoxytrityl, BOC, Fmoc; E = a carboxylic acid, its salt, active ester (e.g. N-hydroxysuccinimido, nitrophenol, 2,4-dinitrophenol, or pentafluorophenol), or halide; Z = the bridge point; G = a bridge between A and Z; G1 = a bridge between NH and Z; G2 = a bridge between E and Z; R1 = CO2R2; R2 = alkyl or (un)substituted Ph or benzyl] are particularly useful in the labeling of small mols. Thus, II was prepared in a 4-step sequence involving (1) desilylation of Me (4-trimethylsilylethynylphenoxy)acetate (83%), (2) addition to tetra(tert-Bu) 2,2',2'',2'''-[(4-bromopyridine-2,6-diyl)bis(methylenenitrilo)]tetrakis(acetate) (75%), (3) deesterification of the phenoxyacetate with KOH (67%), and (4) amidation with α -Fmoc-lysine.HCl (56%). II was used for labeling of an estradiol derivative, incorporating four Eu(III) chelates, on a solid support (no data).
- IT 253137-97-2P 253137-98-3P 253137-99-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of
 pyridinediylbis(methylenenitrilo)tetrakisacetic acid labeling reactants
 for fluorescent labeling of biospecific binding reactants in solid
 phase synthesis)
- RN 253137-97-2 CAPLUS
- CN Glycine, N,N'-[[4-[[4-(2-methoxy-2-oxoethoxy)phenyl]ethynyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

10/551,709

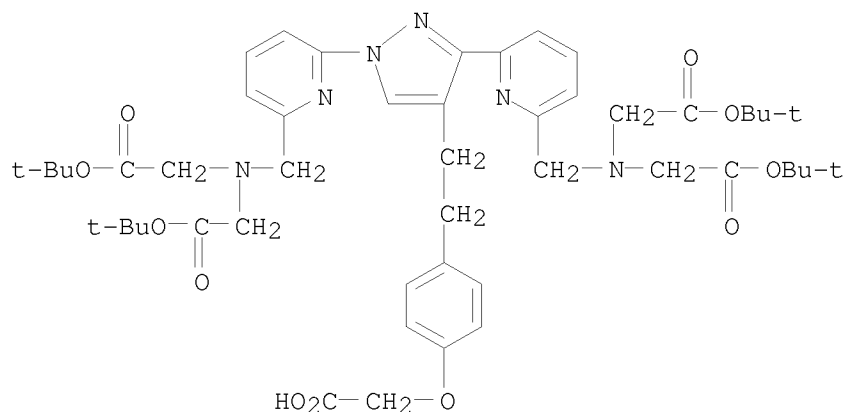


RN 253137-98-3 CAPLUS
 CN Glycine, N,N'-[[4-[2-[4-(2-methoxy-2-oxoethoxy)phenyl]ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



RN 253137-99-4 CAPLUS
 CN Glycine, N,N'-[[4-[2-[4-(carboxymethoxy)phenyl]ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, 1,1'-bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

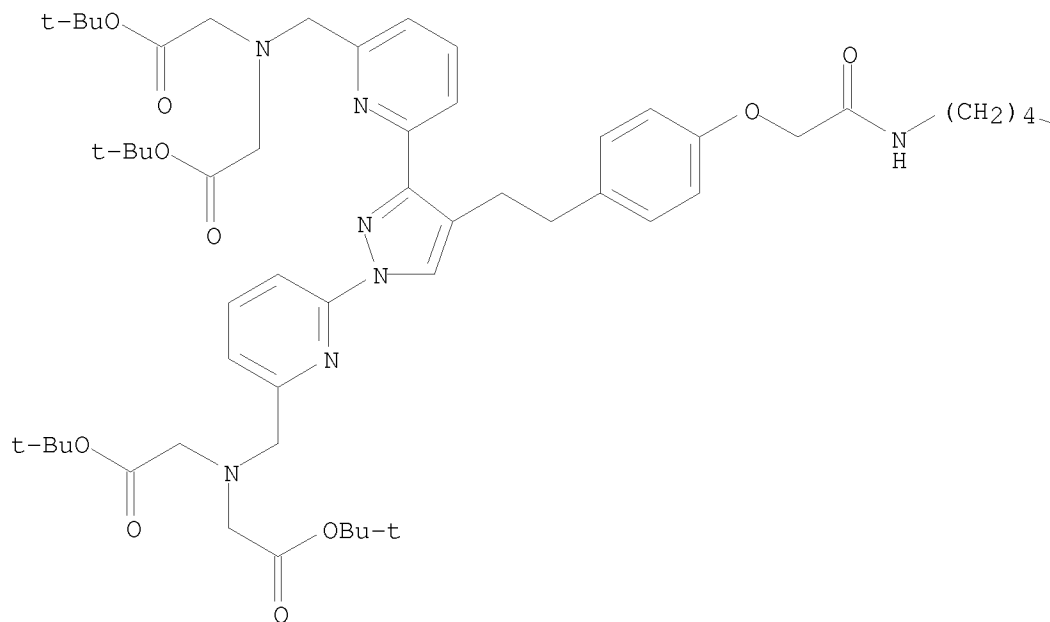
10/551,709



IT 253137-93-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (target compound; preparation of
 pyridinediylbis(methylenenitrilo)tetrakisacetic acid labeling reactants
 for fluorescent labeling of biospecific binding reactants in solid
 phase synthesis)
 RN 253137-93-8 CAPLUS
 CN D-Lysine, N6-[[4-[2-[1,3-bis[6-[[bis[2-(1,1-dimethylethoxy)-2-
 oxoethyl]amino]methyl]-2-pyridinyl]-1H-pyrazol-4-yl]ethyl]phenoxy]acetyl]-
 N2-[(9H-fluoren-9-ylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

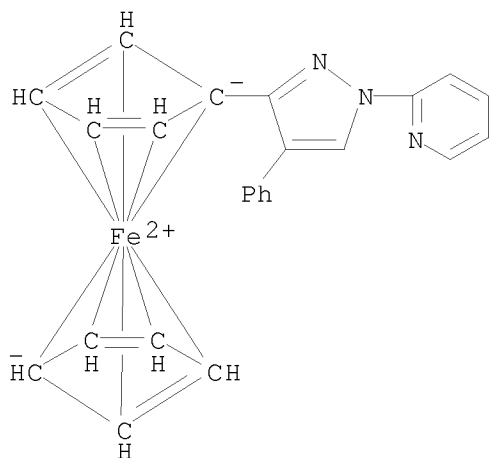
Absolute stereochemistry.

PAGE 1-A



10/551,709

crystal x-ray anal.
IT 226698-83-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation via 1,3-dipolar cycloaddn. of ferrocenylmethyllidenehydrazones
with various dipolarophiles)
RN 226698-83-5 CAPLUS
CN Ferrocene, [4-phenyl-1-(2-pyridinyl)-1H-pyrazol-3-yl]- (9CI) (CA INDEX
NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:424244 CAPLUS
DOCUMENT NUMBER: 129:95503
ORIGINAL REFERENCE NO.: 129:19703a,19706a
TITLE: Preparation of pyrazoline compounds and use as plant
disease control agent
INVENTOR(S): Taki, Toshiaki; Sato, Junichi; Kimura, Norio
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan; Taki, Toshiaki;
Sato, Junichi; Kimura, Norio
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

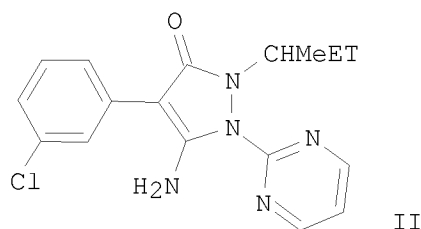
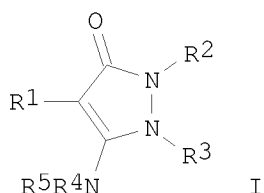
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827084	A1	19980625	WO 1997-JP4627	19971216
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
AU 9854129	A	19980715	AU 1998-54129	19971216
EP 967212	A1	19991229	EP 1997-947954	19971216

R: DE, FR, GB
 PRIORITY APPLN. INFO.:

JP 1996-335729
 WO 1997-JP4627

A 19961216
 W 19971216

OTHER SOURCE(S): MARPAT 129:95503
 GI



AB Pyrazoline compds. represented by general formula (I; wherein R1 represents optionally substituted phenyl; R2 represents an optionally substituted hydrocarbon group; R3 represents an optionally substituted aromatic heterocyclyl; R4 and R5 are the same or different and each represents hydrogen, acyl, an optionally substituted primary or secondary alkyl; or R4 and R5 are bonded to each other to form an optionally substituted alkylene or :CR6R7; wherein R6 represents an optionally substituted hydrocarbon group, alkoxy, or mono- or dialkylamino; R7 represents H or alkyl) are prepared. The compds. are used as the active ingredient of a plant disease control agent. They are useful as fungicides, in particular against mildew. Thus, 1-methylpropyl iodide was added to a mixture of 5-amino-4-(3-chlorophenyl)-1-(pyrimidin-2-yl)pyrazolin-3-one, K2CO3, and EtOH and stirred at room temperature for 72 h to give the

title compound (II), which at 2.5 mg per pot controlled $\geq 90\%$ Erysiphe graminis f.sp. tritici for wheat seedlings.

IT 209520-93-4P 209520-95-6P 209520-96-7P
 209520-97-8P 209520-98-9P 209520-99-0P
 209521-00-6P 209521-01-7P 209521-02-8P
 209521-03-9P 209521-04-0P 209521-05-1P
 209521-06-2P 209521-08-4P 209521-09-5P
 209521-10-8P 209521-11-9P 209521-12-0P
 209521-13-1P 209521-14-2P 209521-15-3P
 209521-16-4P 209521-17-5P 209521-18-6P
 209521-21-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

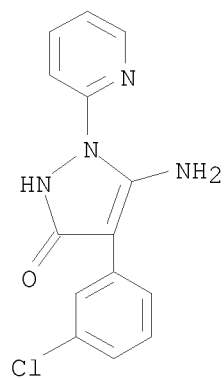
(preparation of pyrazoline compds. as plant disease control agents)

RN 209520-93-4 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-4-(3-chlorophenyl)-1,2-dihydro-1-(2-pyridinyl)-

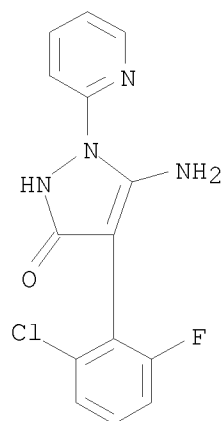
10/551,709

(CA INDEX NAME)



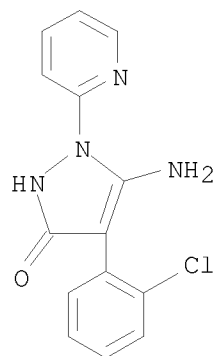
RN 209520-95-6 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-4-(2-chloro-6-fluorophenyl)-1,2-dihydro-1-(2-pyridinyl)- (CA INDEX NAME)



RN 209520-96-7 CAPLUS

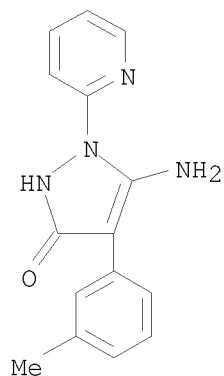
CN 3H-Pyrazol-3-one, 5-amino-4-(2-chlorophenyl)-1,2-dihydro-1-(2-pyridinyl)- (CA INDEX NAME)



10/551,709

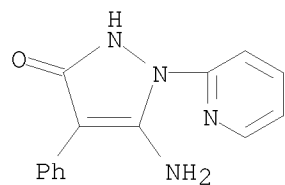
RN 209520-97-8 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-1,2-dihydro-4-(3-methylphenyl)-1-(2-pyridinyl)-
(CA INDEX NAME)



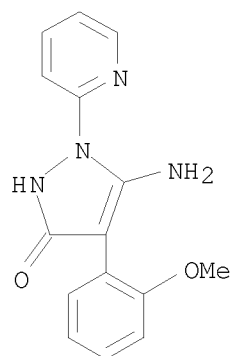
RN 209520-98-9 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-1,2-dihydro-4-phenyl-1-(2-pyridinyl)- (CA INDEX NAME)



RN 209520-99-0 CAPLUS

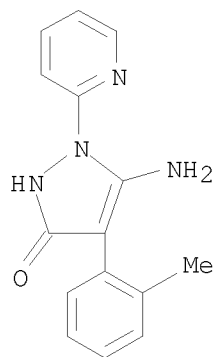
CN 3H-Pyrazol-3-one, 5-amino-1,2-dihydro-4-(2-methoxyphenyl)-1-(2-pyridinyl)-
(CA INDEX NAME)



RN 209521-00-6 CAPLUS

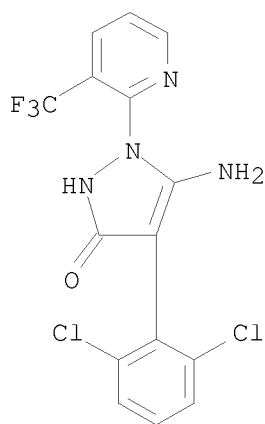
CN 3H-Pyrazol-3-one, 5-amino-1,2-dihydro-4-(2-methylphenyl)-1-(2-pyridinyl)-
(CA INDEX NAME)

10/551,709



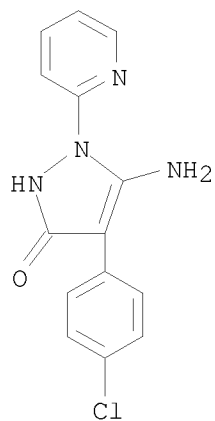
RN 209521-01-7 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-4-(2,6-dichlorophenyl)-1,2-dihydro-1-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



RN 209521-02-8 CAPLUS

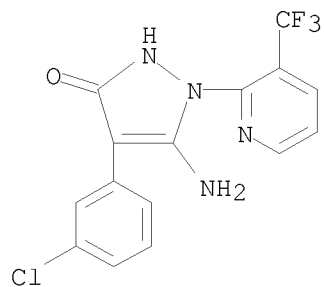
CN 3H-Pyrazol-3-one, 5-amino-4-(4-chlorophenyl)-1,2-dihydro-1-(2-pyridinyl)- (CA INDEX NAME)



10/551,709

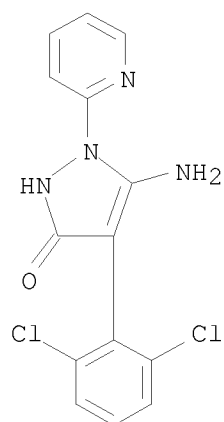
RN 209521-03-9 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-4-(3-chlorophenyl)-1,2-dihydro-1-[3-(trifluoromethyl)-2-pyridinyl]- (CA INDEX NAME)



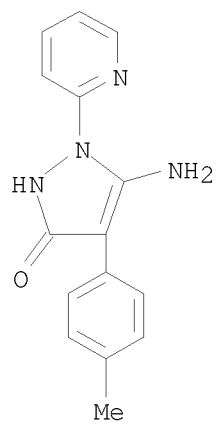
RN 209521-04-0 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-4-(2,6-dichlorophenyl)-1,2-dihydro-1-(2-pyridinyl)- (CA INDEX NAME)



RN 209521-05-1 CAPLUS

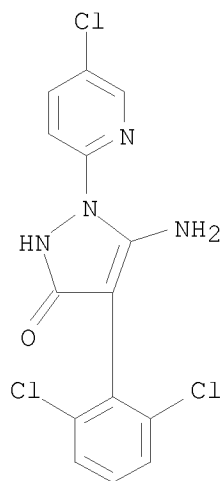
CN 3H-Pyrazol-3-one, 5-amino-1,2-dihydro-4-(4-methylphenyl)-1-(2-pyridinyl)- (CA INDEX NAME)



10/551,709

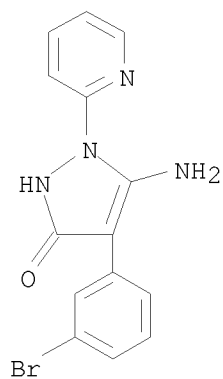
RN 209521-06-2 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-1-(5-chloro-2-pyridinyl)-4-(2,6-dichlorophenyl)-
1,2-dihydro- (CA INDEX NAME)



RN 209521-08-4 CAPLUS

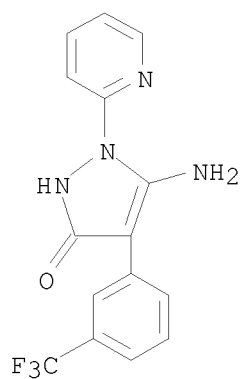
CN 3H-Pyrazol-3-one, 5-amino-4-(3-bromophenyl)-1,2-dihydro-1-(2-pyridinyl)-
(CA INDEX NAME)



RN 209521-09-5 CAPLUS

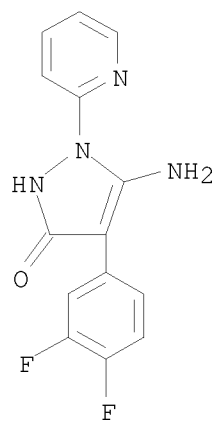
CN 3H-Pyrazol-3-one, 5-amino-1,2-dihydro-1-(2-pyridinyl)-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

10/551,709



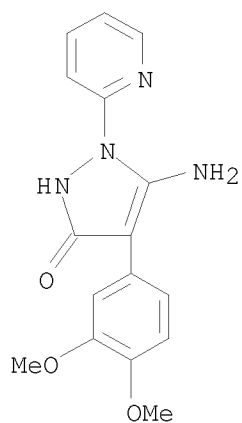
RN 209521-10-8 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-4-(3,4-difluorophenyl)-1,2-dihydro-1-(2-pyridinyl)- (CA INDEX NAME)



RN 209521-11-9 CAPLUS

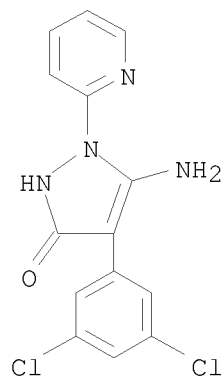
CN 3H-Pyrazol-3-one, 5-amino-4-(3,4-dimethoxyphenyl)-1,2-dihydro-1-(2-pyridinyl)- (CA INDEX NAME)



10/551,709

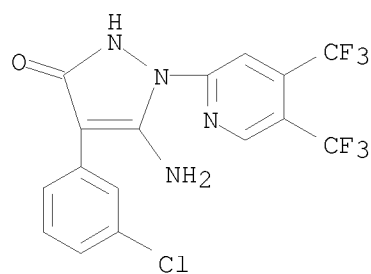
RN 209521-12-0 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-4-(3,5-dichlorophenyl)-1,2-dihydro-1-(2-pyridinyl)- (CA INDEX NAME)



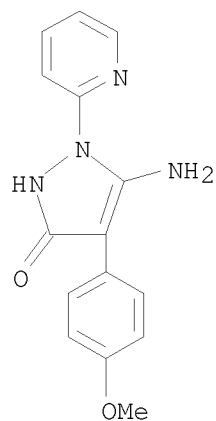
RN 209521-13-1 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-1-[4,5-bis(trifluoromethyl)-2-pyridinyl]-4-(3-chlorophenyl)-1,2-dihydro- (CA INDEX NAME)



RN 209521-14-2 CAPLUS

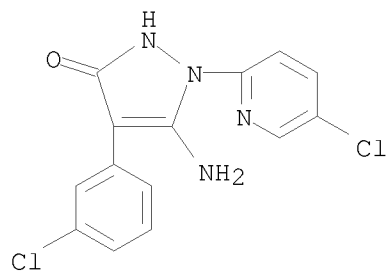
CN 3H-Pyrazol-3-one, 5-amino-1,2-dihydro-4-(4-methoxyphenyl)-1-(2-pyridinyl)- (CA INDEX NAME)



RN 209521-15-3 CAPLUS

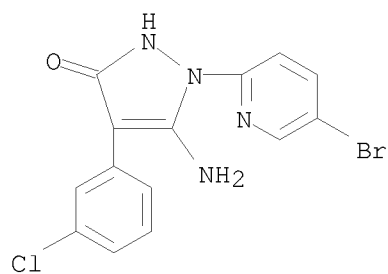
10/551,709

CN 3H-Pyrazol-3-one, 5-amino-4-(3-chlorophenyl)-1-(5-chloro-2-pyridinyl)-1,2-dihydro- (CA INDEX NAME)



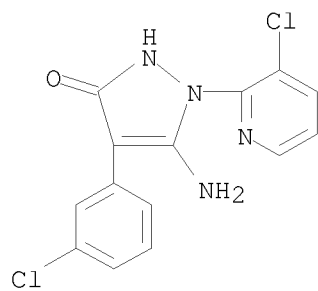
RN 209521-16-4 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-1-(5-bromo-2-pyridinyl)-4-(3-chlorophenyl)-1,2-dihydro- (CA INDEX NAME)



RN 209521-17-5 CAPLUS

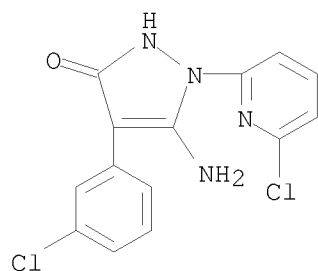
CN 3H-Pyrazol-3-one, 5-amino-4-(3-chlorophenyl)-1-(3-chloro-2-pyridinyl)-1,2-dihydro- (CA INDEX NAME)



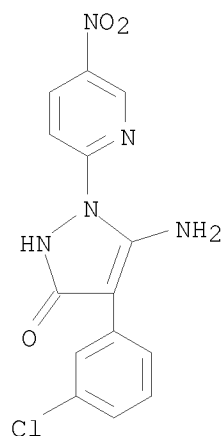
RN 209521-18-6 CAPLUS

CN 3H-Pyrazol-3-one, 5-amino-4-(3-chlorophenyl)-1-(6-chloro-2-pyridinyl)-1,2-dihydro- (CA INDEX NAME)

10/551,709



RN 209521-21-1 CAPLUS
CN 3H-Pyrazol-3-one, 5-amino-4-(3-chlorophenyl)-1,2-dihydro-1-(5-nitro-2-pyridinyl)- (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:374715 CAPLUS

DOCUMENT NUMBER: 126:350804

ORIGINAL REFERENCE NO.: 126:68079a,68082a

TITLE: Biospecific binding reactants labeled with luminescent lanthanide chelates and their use

INVENTOR(S): Rodriguez-Ubis, Juan Carlos; Takalo, Harri; Mikkala, Veli-matti

PATENT ASSIGNEE(S): Wallac Oy, Finland; Rodriguez-Ubis, Juan Carlos

SOURCE: Eur. Pat. Appl., 33 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 770610	A1	19970502	EP 1996-660056	19960909
EP 770610	B1	20050706		
R: DE, FR, GB				
US 5859215	A	19990112	US 1995-548174	19951025

PRIORITY APPLN. INFO.:

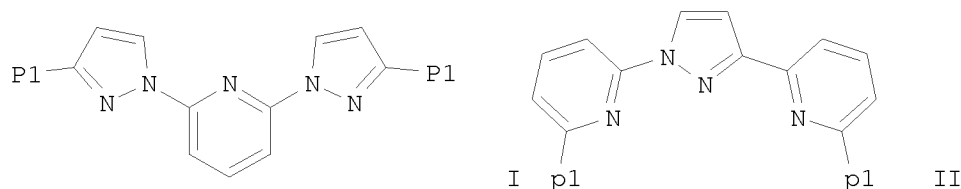
US 1995-548174

A 19951025

OTHER SOURCE(S):

MARPAT 126:350804

GI



AB This invention relates to a detectable mol. comprising a biospecific binding reactant attached to a luminescent lanthanide chelate comprising a lanthanide ion and a chelating ligand $(-O_2CCH_2)_2NCH_2-[A](-G_1)-CH_2N(CHG_2CO_2-)(CH_2CO_2-)$ wherein $-A-$ is a bivalent aromatic structure selected from pyridine-pyrazole compds. I, II, etc. and groups G_1 or G_2 are H, Cl, Br, I, CN, Ph, alkyl, alkoxy, etc., one of which is used for coupling the chelate to a biospecific binding reactant. The lanthanide ion is Eu(III), Tb(III), Dy(III) or Sm(III). The biospecific binding reactant may be selected from a group consisting of an antibody, antigen, receptor ligand, a specific binding protein, and a DNA or RNA probe.

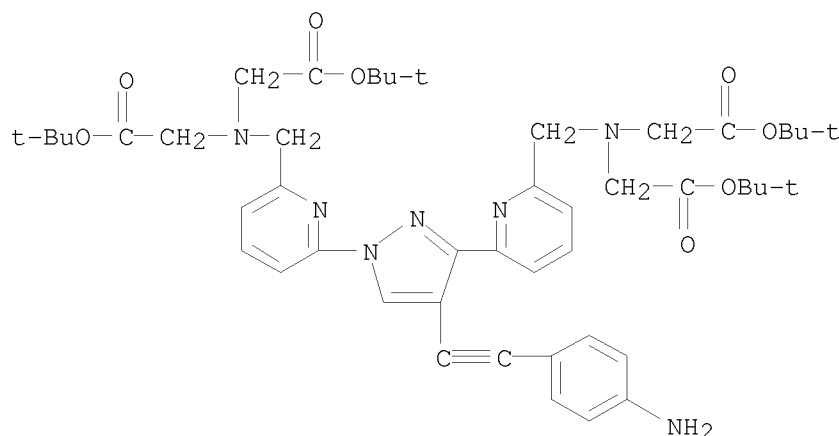
IT 189805-29-6P 189805-30-9P 189805-36-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of luminescent lanthanide pyrazolediylbispyridinediyl and pyridinediylbispyrazolediyl bismethylenenitrilotetrakisacetato chelates for biospecific binding assays)

RN 189805-29-6 CAPLUS

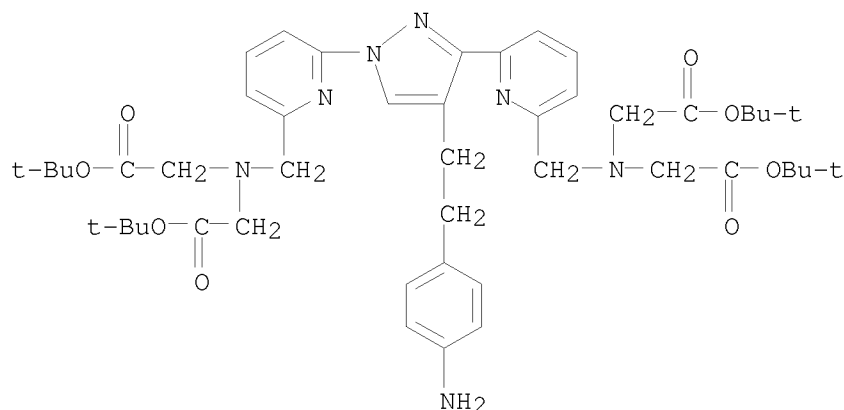
CN Glycine, N,N'-[[4-[(4-aminophenyl)ethynyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



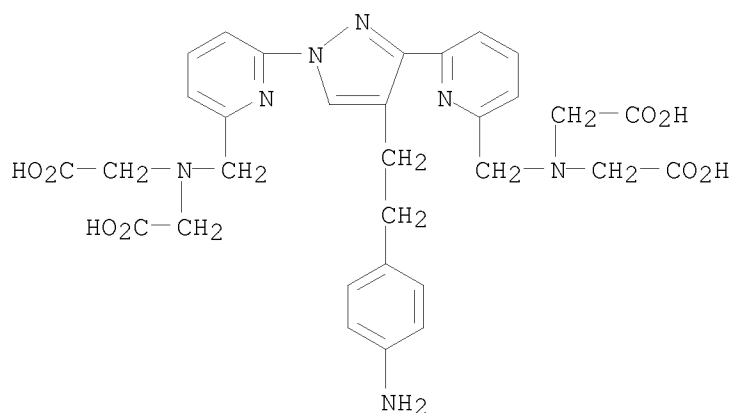
RN 189805-30-9 CAPLUS

CN Glycine, N,N'-[[4-[2-(4-aminophenyl)ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-[2-(1,1-dimethylethoxy)-2-oxoethyl]-, 1,1'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

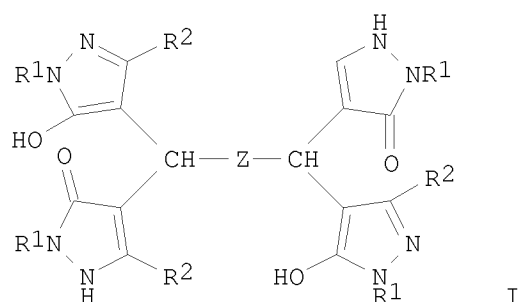
10/551,709



RN 189805-36-5 CAPLUS
CN Glycine, N,N'-[[4-[2-(4-aminophenyl)ethyl]-1H-pyrazole-1,3-diyl]bis(6,2-pyridinediylmethylene)]bis[N-(carboxymethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 39 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1995:965587 CAPLUS
DOCUMENT NUMBER: 124:175925
ORIGINAL REFERENCE NO.: 124:32623a,32626a
TITLE: Synthesis, structure and properties of pyrazole type tetrakis compounds
AUTHOR(S): Reiner, Knut; Richter, Rainer; Hauptmann, Siegfried; Becher, Jan; Hennig, Lothar
CORPORATE SOURCE: Inst. Org. Chem., Univ. Leipzig, Leipzig, D-04103, Germany
SOURCE: Tetrahedron (1995), 51(48), 13291-300
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



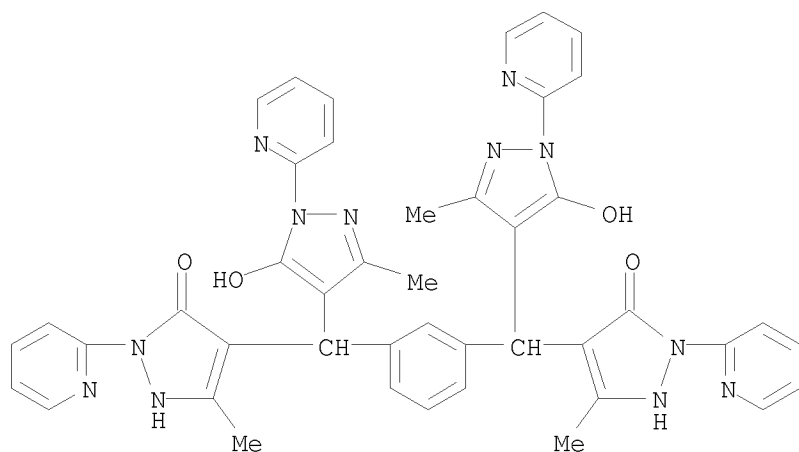
AB A series of pyrazole-type tetrakis compds. I (R1 = Ph, 2-pyridyl, 2-benzothiazolyl; R2 = Me, AcNH; Z = m-C6H4, p-C6H4, 2,6-pyridinediyl, 1,10-phenanthroline-1,10-diydyl) has been synthesized by reaction of aromatic or heterocyclic dialdehydes and pyrazolone derivs. Structure and tautomerism of the products were investigated by spectroscopic methods and x-ray anal. Several tetrakis compds. form inclusion complexes with solvents like alcs., ethers, and ketones in a definite ratio via hydrogen bonds.

IT 173598-23-7P 173598-24-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inclusion reaction of tetrakis pyrazoles)

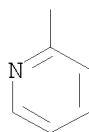
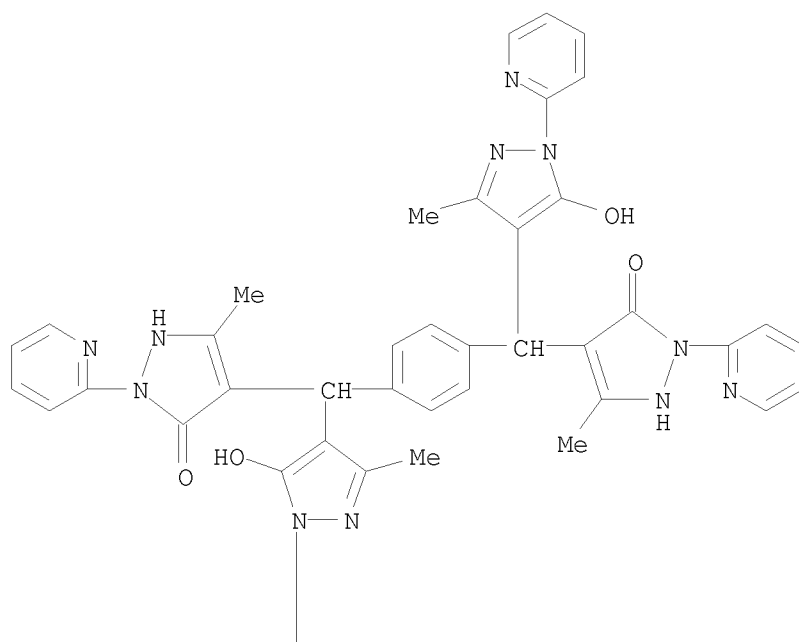
RN 173598-23-7 CAPLUS

CN 3H-Pyrazol-3-one, 4,4'-[1,3-phenylenebis[[5-hydroxy-3-methyl-1-(2-pyridinyl)-1H-pyrazol-4-yl]methylene]]bis[1,2-dihydro-5-methyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



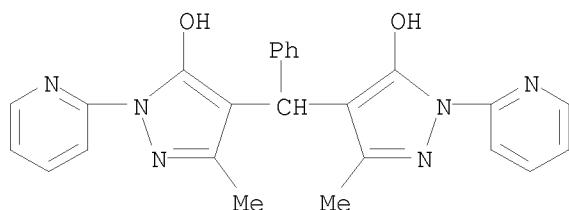
RN 173598-24-8 CAPLUS

CN 3H-Pyrazol-3-one, 4,4'-[1,4-phenylenebis[[5-hydroxy-3-methyl-1-(2-pyridinyl)-1H-pyrazol-4-yl]methylene]]bis[1,2-dihydro-5-methyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)

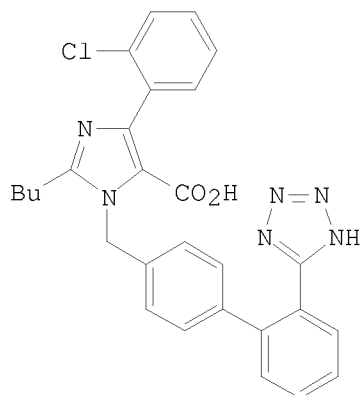


L4 ANSWER 40 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1994:147120 CAPLUS
 DOCUMENT NUMBER: 120:147120
 ORIGINAL REFERENCE NO.: 120:25705a,25708a
 TITLE: Pyrazolone derivatives as inhibitors of the acidic corrosion of steel
 AUTHOR(S): Shein, A. B.; Pavlov, P. T.; Aitov, R. G.; Lesnov, A. E.
 CORPORATE SOURCE: Perm. Gos. Univ., Perm, Russia
 SOURCE: Zashchita Metallov (1993), 29(6), 940-2
 CODEN: ZAMEA9; ISSN: 0044-1856
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB The pyrazolone derivs. were very effective corrosion inhibitors in hydrochloric acid solns. They can be easily synthesized, are stable and characterized by low toxicity.
 IT 153231-88-0
 RL: PRP (Properties)
 (corrosion inhibitor, for steel, in acidic solns.)
 RN 153231-88-0 CAPLUS
 CN 1H-Pyrazol-5-ol, 4,4'-(phenylmethylene)bis[3-methyl-1-(2-pyridinyl)- (CA

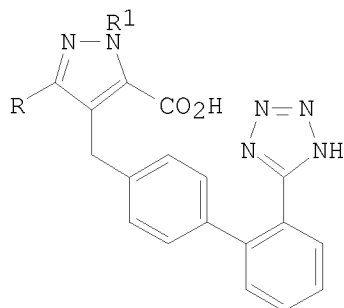
INDEX NAME)



L4 ANSWER 41 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1994:134381 CAPLUS
 DOCUMENT NUMBER: 120:134381
 ORIGINAL REFERENCE NO.: 120:23667a,23670a
 TITLE: Nonpeptide angiotensin II antagonists derived from
 1H-pyrazole-5-carboxylates and
 4-aryl-1H-imidazole-5-carboxylates
 AUTHOR(S): Ashton, Wallace T.; Hutchins, Steven M.; Greenlee,
 William J.; Doss, George A.; Chang, Raymond S. L.;
 Lotti, Victor J.; Faust, Kristie A.; Chen, Tsing Bau;
 Zingaro, Gloria J.; et al.
 CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA
 SOURCE: Journal of Medicinal Chemistry (1993), 36(23),
 3595-605
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I



II

AB Two series of potential angiotensin II antagonists derived from
 carboxyl-functionalized "diazole" heterocycles have been prepared and
 evaluated. Initially, a limited investigation of
 4-arylimidazole-5-carboxylates led to
 2-n-butyl-4-(2-chlorophenyl)-1-[[2'-(1H-tetrazol-5-yl)biphenyl-4-
 yl]methyl]-1H-imidazole-5-carboxylic acid (I), which was found to be a
 highly potent antagonist of the rabbit aorta AT1 receptor (IC50 0.55 nM).
 In conscious, normotensive rats, I at 0.1 mg/kg i.v. inhibited the pressor
 response to AII by 88%, with a duration of >6 h. More extensively studied
 was an isosteric series of 3-alkyl-4-[[2'-(1H-tetrazol-5-yl)biphenyl-4-

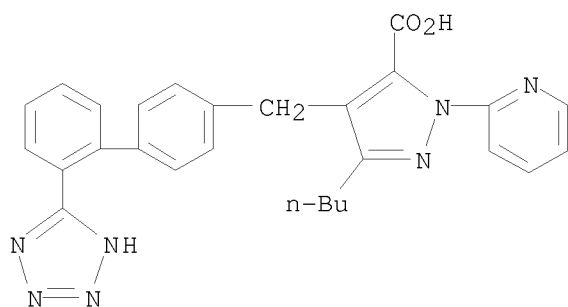
yl]methyl]-1H-pyrazole-5-carboxylates bearing aryl, alkyl, or aralkyl substituents at N1. These compds. were available in highly regioselective fashion via condensation of a substituted hydrazine hydrochloride with a 2-(methoxyimino)-4-oxoalkanoate intermediate. In vitro, the most potent pyrazolecarboxylic acids were II (R = Bu; R1 = 2,6-dichlorophenyl, 2-(trifluoromethyl)phenyl, benzyl, and phenethyl), all with IC50 values of 0.18-0.24 nM. Although less potent in the receptor assay, 3-n-propylpyrazolecarboxylic acids were at least as effective as their Bu counterpart in vivo. Several of the pyrazolecarboxylic acid derivs. demonstrated potent, long-lasting oral activity in rats. At 1 mg/kg po, the II (R = Bu, R1 = benzyl; R = Pr, R1 = 2,6-dichlorophenyl, 2,2,2-trifluoroethyl, and benzyl) analogs all gave $\geq 75\%$ inhibition of the AII pressor response in the rat model, with duration of action >23 h.

IT 138733-03-6P 152713-36-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and angiotensin II antagonist activity of)

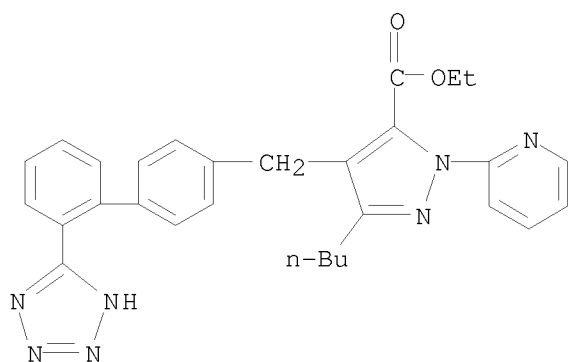
RN 138733-03-6 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 3-butyl-1-(2-pyridinyl)-4-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



RN 152713-36-5 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 3-butyl-1-(2-pyridinyl)-4-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-, ethyl ester (CA INDEX NAME)



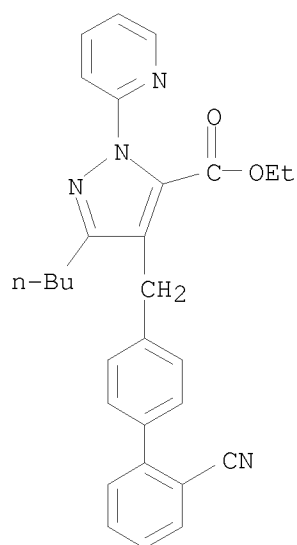
IT 152713-67-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and cyclization of, with azide, triazole derivative from)

RN 152713-67-2 CAPLUS

10/551,709

CN 1H-Pyrazole-5-carboxylic acid, 3-butyl-4-[(2'-cyano[1,1'-biphenyl]-4-yl)methyl]-1-(2-pyridinyl)-, ethyl ester (CA INDEX NAME)



L4 ANSWER 42 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:194308 CAPLUS

DOCUMENT NUMBER: 116:194308

ORIGINAL REFERENCE NO.: 116:32929a,32932a

TITLE: Preparation of 1-(2-pyridyl or
-pyrimidyl)5-hydroxypyrazoles as fungicidal materials
preservatives

INVENTOR(S): Sasse, Klaus; Schwamborn, Michael; Wachtler, Peter;
Frie, Monika; Ludwig, Georg Wilhelm; Paulus, Wilfried;
Schmitt, Hans Georg

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

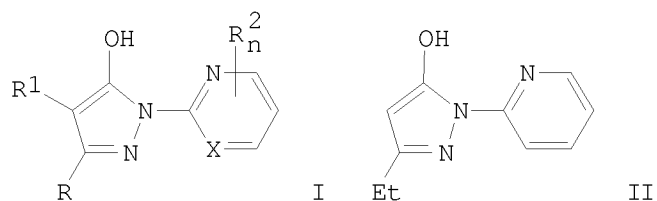
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4023488	A1	19920130	DE 1990-4023488	19900724
EP 469357	A1	19920205	EP 1991-111537	19910711
R: AT, CH, DE, DK, FR, GB, IT, LI, SE				
US 5175176	A	19921229	US 1991-731680	19910717
JP 04234385	A	19920824	JP 1991-203739	19910719
US 5292744	A	19940308	US 1992-929652	19920812
PRIORITY APPLN. INFO.:			DE 1990-4023488	A 19900724
			US 1991-731680	A3 19910717

OTHER SOURCE(S): MARPAT 116:194308

GI



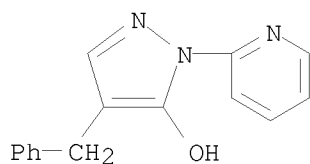
AB Title compds. [I; R = H, (substituted) alkyl, aralkyl, alkoxy; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, alkoxy, alkylthio, aralkoxy, aralkylthio, aryloxy, arylthio, alkoxycarbonyl, aminocarbonyl; RR1 = alk(en)ylene; R2 = halo, NO2, cyano, (substituted) alkyl, alkoxy, alkylthio, alkoxycarbonyl, aminocarbonyl; n = 0-3; X = CH, N], were prepared. Thus, Et propionylacetate, 2-hydrazinopyridine, and EtOH were refluxed 3 h; KOCMe3 was added and the mixture was stirred 10 h to give title compound II. I showed min. inhibitory concns. of 5-50 mg/L against *Alternaria tenuis*.

IT 140397-99-5P 140398-00-1P 140398-01-2P
 140398-02-3P 140398-03-4P 140398-04-5P
 140398-05-6P 140398-07-8P 140398-08-9P
 140398-09-0P 140398-10-3P 140398-34-1P
 140398-47-6P 140398-48-7P 140398-49-8P
 140398-50-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as microbicidal materials preservative)

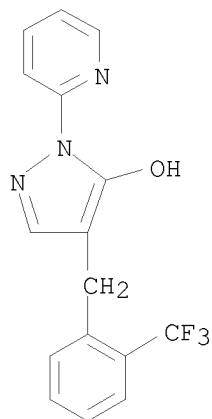
RN 140397-99-5 CAPLUS

CN 1H-Pyrazol-5-ol, 4-(phenylmethyl)-1-(2-pyridinyl)- (CA INDEX NAME)



RN 140398-00-1 CAPLUS

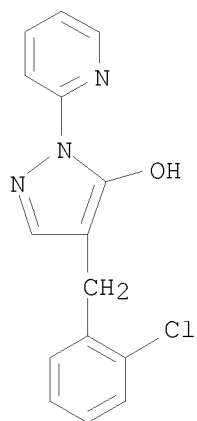
CN 1H-Pyrazol-5-ol, 1-(2-pyridinyl)-4-[[2-(trifluoromethyl)phenyl]methyl]-
 (CA INDEX NAME)



10/551,709

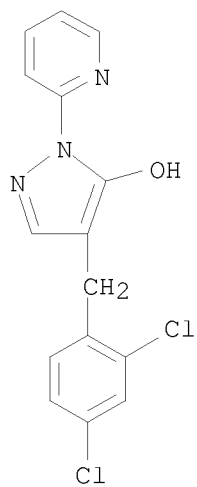
RN 140398-01-2 CAPLUS

CN 1H-Pyrazol-5-ol, 4-[(2-chlorophenyl)methyl]-1-(2-pyridinyl)- (CA INDEX NAME)



RN 140398-02-3 CAPLUS

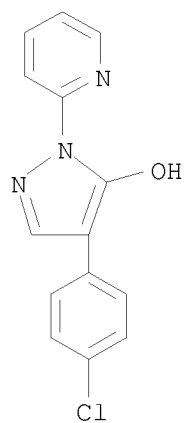
CN 1H-Pyrazol-5-ol, 4-[(2,4-dichlorophenyl)methyl]-1-(2-pyridinyl)- (CA INDEX NAME)



RN 140398-03-4 CAPLUS

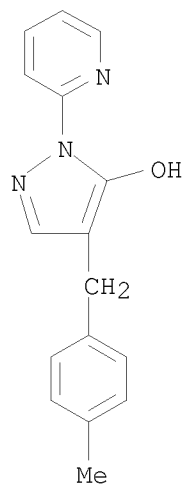
CN 1H-Pyrazol-5-ol, 4-(4-chlorophenyl)-1-(2-pyridinyl)- (CA INDEX NAME)

10/551,709



RN 140398-04-5 CAPLUS

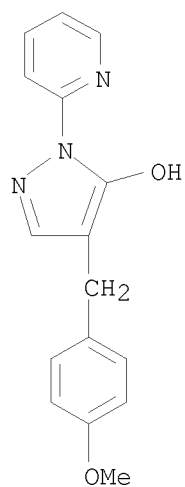
CN 1H-Pyrazol-5-ol, 4-[(4-methylphenyl)methyl]-1-(2-pyridinyl)- (CA INDEX NAME)



RN 140398-05-6 CAPLUS

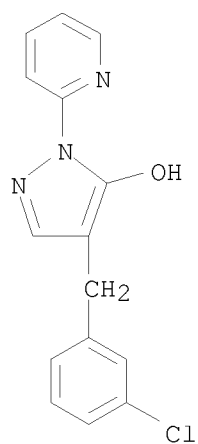
CN 1H-Pyrazol-5-ol, 4-[(4-methoxyphenyl)methyl]-1-(2-pyridinyl)- (CA INDEX NAME)

10/551,709



RN 140398-07-8 CAPLUS

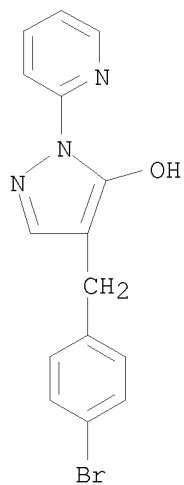
CN 1H-Pyrazol-5-ol, 4-[(3-chlorophenyl)methyl]-1-(2-pyridinyl)- (CA INDEX NAME)



RN 140398-08-9 CAPLUS

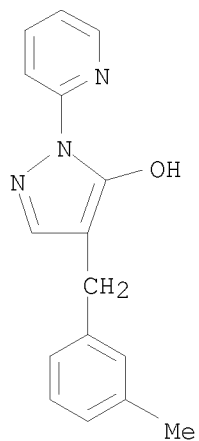
CN 1H-Pyrazol-5-ol, 4-[(4-bromophenyl)methyl]-1-(2-pyridinyl)- (CA INDEX NAME)

10/551,709



RN 140398-09-0 CAPLUS

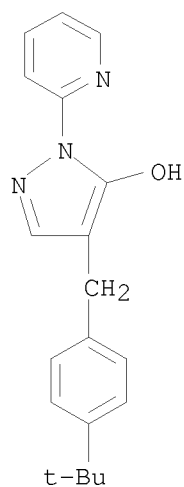
CN 1H-Pyrazol-5-ol, 4-[(3-methylphenyl)methyl]-1-(2-pyridinyl)- (CA INDEX NAME)



RN 140398-10-3 CAPLUS

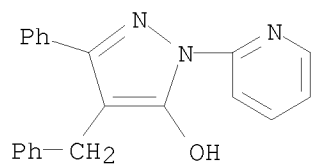
CN 1H-Pyrazol-5-ol, 4-[[4-(1,1-dimethylethyl)phenyl]methyl]-1-(2-pyridinyl)- (CA INDEX NAME)

10/551,709



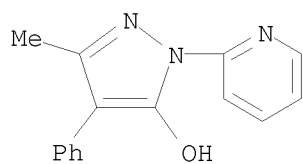
RN 140398-34-1 CAPLUS

CN 1H-Pyrazol-5-ol, 3-phenyl-4-(phenylmethyl)-1-(2-pyridinyl)- (CA INDEX NAME)



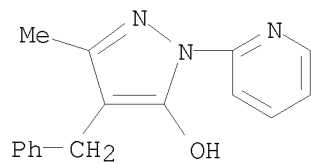
RN 140398-47-6 CAPLUS

CN 1H-Pyrazol-5-ol, 3-methyl-4-phenyl-1-(2-pyridinyl)- (CA INDEX NAME)



RN 140398-48-7 CAPLUS

CN 1H-Pyrazol-5-ol, 3-methyl-4-(phenylmethyl)-1-(2-pyridinyl)- (CA INDEX NAME)

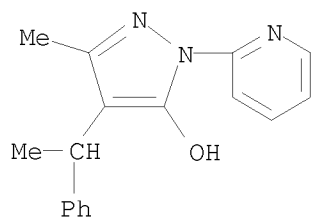


RN 140398-49-8 CAPLUS

CN 1H-Pyrazol-5-ol, 3-methyl-4-(1-phenylethyl)-1-(2-pyridinyl)- (CA INDEX NAME)

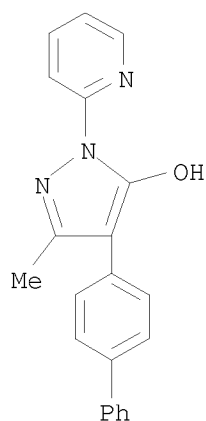
10/551,709

NAME)



RN 140398-50-1 CAPLUS

CN 1H-Pyrazol-5-ol, 4-[1,1'-biphenyl]-4-yl-3-methyl-1-(2-pyridinyl)- (CA
INDEX NAME)



L4 ANSWER 43 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1992:106284 CAPLUS

DOCUMENT NUMBER: 116:106284

ORIGINAL REFERENCE NO.: 116:18003a,18006a

TITLE: Preparation of substituted pyrazoles, isoxazoles and
isothiazoles as angiotensin II antagonists

INVENTOR(S): Allen, Eric E.; Greenlee, William J.; MacCoss,
Malcolm; Ashton, Wallace T.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

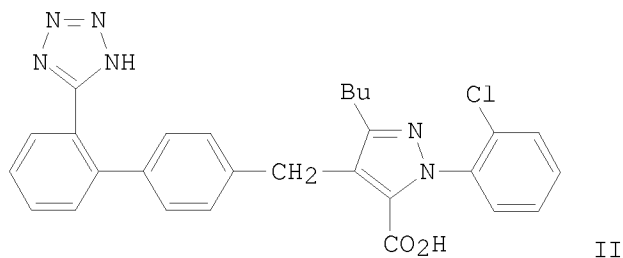
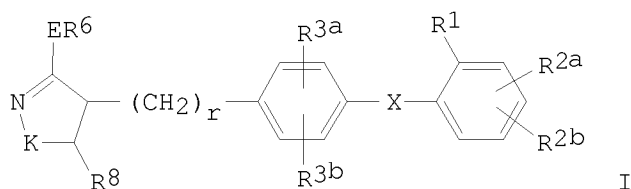
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9115479	A1	19911017	WO 1991-US1952	19910327
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2079343	A1	19911001	CA 1991-2079343	19910327
EP 523141	A1	19930120	EP 1991-907490	19910327
R: CH, DE, FR, GB, IT, LI, NL				

JP 05505822 T 19930826 JP 1991-507326 19910327
 PRIORITY APPLN. INFO.: US 1990-501469 A 19900330
 WO 1991-US1952 W 19910327
 OTHER SOURCE(S): MARPAT 116:106284
 GI

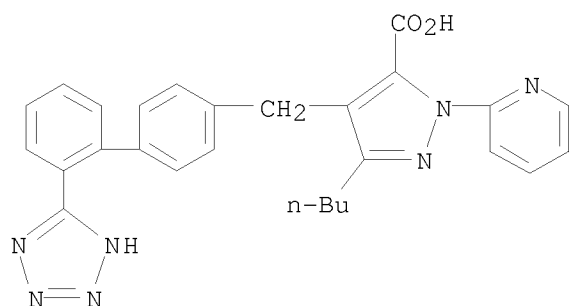


AB Title compds. I [E = bond, (substituted) amino, (alkyl)thio, (alkyl)sulfinyl, (alkyl)sulfonyl, CH(OH), O, CO, K = O, S, NH, (substituted)alkylamino, etc.; X = bond, CO, O, S, NH, etc.; R1 = HO2C, HO3S, C1-4 polyfluoroalkylsulfonylamino, H2NSO2, (HO)2P(O), (substituted)heterocyclyl, etc.; R2a, R2b = H, halo, H2N, O2N, C1-4 alkyl, C1-4 alkoxy, etc.; R3a = H, halo, C1-6 alkyl, C1-6 alkoxy, etc.; R3b = H, halo, O2N, C1-6 alkyl, hydroxy-C1-4-alkyl, etc.; R6 = C1-3 alkyl, C2-5 alkenyl, C2-5 alkynyl which can be substituted, R8 = H, HO, (alkyl)(dialkyl)amino, etc.; r = 1, 2] and their salts, as angiotensin II antagonists useful in treatment of hypertension, ocular hypertension, on certain CNS disorders (no data) are prepared
 5-Amino-3-butyl-1-(2-chlorophenyl)-4-[(2-tetrazol-5-ylbiphenyl-4-yl)methyl]pyrazole (preparation given) in CCl4 was diazotized to give the pyrazole derivative which was heated with NaCN in DMSO to give the nitrilepyrazole which was diluted with brine and extracted with ether to give the title pyrazole II. Pharmaceutical formulations comprising II are given.

IT 138733-03-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as angiotensin II inhibitor)

RN 138733-03-6 CAPLUS

CN 1H-Pyrazole-5-carboxylic acid, 3-butyl-1-(2-pyridinyl)-4-[[2'-(2H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



L4 ANSWER 44 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1987:133797 CAPLUS

DOCUMENT NUMBER: 106:133797

ORIGINAL REFERENCE NO.: 106:21747a, 21750a

TITLE: Preparation of 1-heteroaryl-4-arylpyrazole derivatives as bactericides and fungicides

INVENTOR(S): Sasse, Klaus; Haenssler, Gerd; Schmitt, Hans Georg; Paulus, Wilfried

PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.

SOURCE: Ger. Offen., 22 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

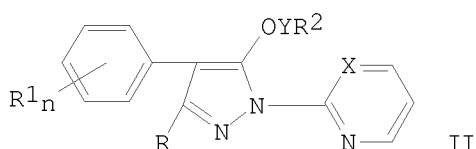
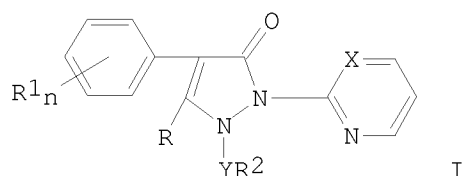
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3527157	A1	19870212	DE 1985-3527157	19850730
US 4772608	A	19880920	US 1986-886284	19860715
EP 212281	A1	19870304	EP 1986-109958	19860721
EP 212281	B1	19890906		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL				
AT 46160	T	19890915	AT 1986-109958	19860721
DK 8603606	A	19870131	DK 1986-3606	19860729
ZA 8605649	A	19870429	ZA 1986-5649	19860729
HU 43244	A2	19871028	HU 1986-3226	19860729
JP 62033171	A	19870213	JP 1986-177885	19860730
US 4806540	A	19890221	US 1987-99928	19870923
PRIORITY APPLN. INFO.:			DE 1985-3527157	A 19850730
			US 1986-886284	A3 19860715
			EP 1986-109958	A 19860721

OTHER SOURCE(S): CASREACT 106:133797

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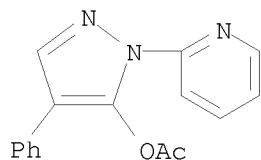


AB Title compds. I and II (X = CH, N; R = H, alkyl; R1 = halo, OH, (un)substituted alkyl or alkoxy, NO₂, etc.; R2 = H, (un)substituted alkyl, cycloalkyl, aryl, or heterocyclyl, alkoxy, alkylthio, etc.; Y = CO, SO₂; n = 0, 1-5] are prepared as bactericides and fungicides. 1-Pyrid-2-yl-4-phenylpyrazolin-5-one was refluxed with Ac₂O for 6 h to give I and II (R = R1 = H, R2 = Me, X = CH, Y = CO) (III and IV). III and IV were more effective in protecting rice against Pyricularia than was the standard Zineb.

IT 107360-94-1P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as microbicide)

RN 107360-94-1 CAPLUS

CN 1H-Pyrazol-5-ol, 4-phenyl-1-(2-pyridinyl)-, 5-acetate (CA INDEX NAME)



L4 ANSWER 45 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1971:87204 CAPLUS

DOCUMENT NUMBER: 74:87204

ORIGINAL REFERENCE NO.: 74:14157a,14160a

TITLE: Possibility of intramolecular hydrogen bonding in 1-[β-(2-pyridyl)ethyl]-5-amino(hydroxy) pyrazoles

AUTHOR(S): Alieva, S. A.; Kolodyazhnyi, Yu. V.; Garnovskii, A. D.; Osipov, O. A.; Grandberg, I. I.; Krokhina, N. F.

CORPORATE SOURCE: Rostov. -na-Donu Gos. Univ., Rostov-on-Don, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1970), (9), 1255-7
 CODEN: KGSSAQ; ISSN: 0132-6244

DOCUMENT TYPE: Journal

LANGUAGE: Russian

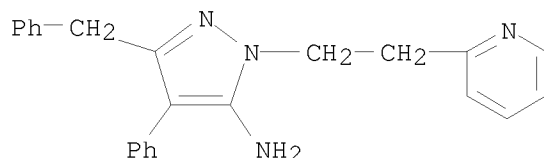
GI For diagram(s), see printed CA Issue.

AB The dipole moments of I (R = CH₂Ph, R1 = Ph, R2 = 2-pyridyl, R3 = NH₂), I (R = Ph, R1 = Me, R2 = 2-pyridyl, R3 = OH) and 14 other I were determined in dioxane and C₆H₆. The measured values agreed with those calculated on the basis of intramol. H bonds. Ir data is also discussed.

IT 19551-22-5
 RL: PRP (Properties)
 (dipole moment of, intramol. hydrogen bonding in relation to)

RN 19551-22-5 CAPLUS

CN Pyridine, 2-[2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)ethyl]- (8CI) (CA INDEX NAME)



L4 ANSWER 46 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1970:466516 CAPLUS

DOCUMENT NUMBER: 73:66516

ORIGINAL REFERENCE NO.: 73:10894h,10895a

TITLE: Complexes of metals with nitrogen-containing ligands.
XIX. Complexes of tin tetrachloride with
1-pyridylpyrazoles and their 5-hydroxy(amino)
derivatives

AUTHOR(S): Garnovskii, A. D.; Kolodyazhnyi, Yu. V.; Alieva, S.
A.; Krokhina, N. F.; Grandberg, I. I.; Osipov, O. A.;
Presnyakova, T. M.

CORPORATE SOURCE: Rostov.-na-Donu Gos. Univ., Rostov-on-Don, USSR

SOURCE: Zhurnal Obshchei Khimii (1970), 40(5), 1114-20

CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB From dipole moment and ir data it was concluded that 1-(2-pyridyl)pyrazole and its derivs. exist as angular isomers, in which (during complex) formation both N atoms may assume the otherwise unfavorable cis configuration. Dipole moments were tabulated from dielec. data in dioxane for 1-(pyridyl)pyrazoles with substituents selected from H, Me, PhCH₂, p-H₂NC₆H₄, p-MeC₆H₄, Et, Ph, and NH₂; and 5-hydroxy or 5-amino derivs. of these with other substituents selected from H, Ph, Et, Bu, Me, p-MeOC₆H₄, and PhCH₂. Several complexes of pyridylpyrazoles and (pyridylalkyl)pyrazoles with SnCl₄ were prepared

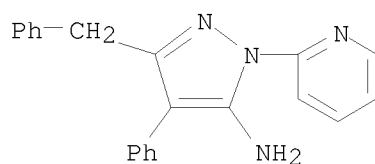
IT 19541-71-0DP, Pyridine, 2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)-
, tin complexes 19551-22-5DP, Pyridine,

2-[2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)ethyl]-, tin complexes

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

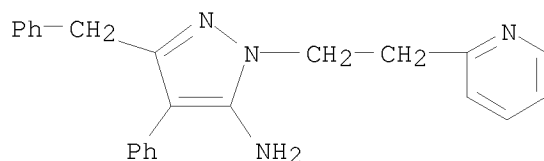
RN 19541-71-0 CAPLUS

CN Pyridine, 2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)- (8CI) (CA INDEX
NAME)



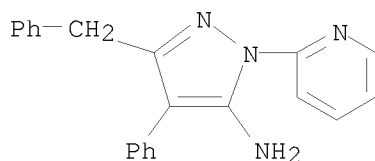
RN 19551-22-5 CAPLUS

CN Pyridine, 2-[2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)ethyl]- (8CI) (CA
INDEX NAME)



L4 ANSWER 47 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1970:110632 CAPLUS
 DOCUMENT NUMBER: 72:110632
 ORIGINAL REFERENCE NO.: 72:19963a,19966a
 TITLE: Nitrogen-containing bisheterocyclic systems. I. Dipole moments and structure of 1-pyridylpyrazoles
 AUTHOR(S): Alieva, S. A.; Kolodyazhnyi, Yu. V.; Garnovskii, A. D.; Osipov, O. A.; Grandberg, I. I.; Krokhina, N. F.
 CORPORATE SOURCE: Rostov.-na-Donu Gos. Univ., Rostov-on-Don, USSR
 SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1970), (1), 45-9
 CODEN: KGSSAQ; ISSN: 0132-6244
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI For diagram(s), see printed CA Issue.
 AB The dipole moments of 1-pyridyl-pyrazoles and their amino derivs. were determined in C₆H₆ at 25° with 5 + 10⁻³-2 + 10⁻⁴ mole fraction. Comparison of exptl. and vectorially calculated dipole moments shows that 1-pyridyl pyrazoles, and 1-(3- or 4-pyridyl)5-aminopyrazoles have non-planar configuration; the planar angle between the pyrazole and pyridine rings was calculated For 1-(2-pyridyl)-5-aminopyrazoles the planar trans configuration is assumed due to intramol. H bonding. The following data were obtained (R, R1, R2, position attachment of pyridine ring, and planar angle between two rings given): Me, H, Me, 2, 58°; Me, H, Me, 3, 84°; Me, H, Me, 4, 0°; Pr, Et, NH₂, 3, 66°; PhCH₂, Ph, NH₂, 3, 56°; p-MeC₆H₄, H, NH₂, 3, 80°; Et, Me, NH₂, 4, 0°; Me, H, Cl, 1, 0°; Me, H, NH₂, 2, 0°; PhCH₂, Ph, NH₂, 2, 0°; Et, Me, NH₂, 2, 0°; Pr, Et, NH₂, 2, 0°; p-H₂NC₆H₄, H, NH₂, 2, 0°.
 IT 19541-71-0
 RL: PRP (Properties)
 (dipole moment of)
 RN 19541-71-0 CAPLUS
 CN Pyridine, 2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)- (8CI) (CA INDEX NAME)



L4 ANSWER 48 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1969:11624 CAPLUS
 DOCUMENT NUMBER: 70:11624
 ORIGINAL REFERENCE NO.: 70:2178h,2179a
 TITLE: Pyrazoles. LXV. Synthesis of a series of 5-hydroxy- and 5-aminopyrazoles with nitrogen-containing functional substituents
 AUTHOR(S): Grandberg, I. I.; Krokhina, N. F.; Kondrat'ev, M. N.
 CORPORATE SOURCE: Mosk. S-Kh. Akad. im. Timiryazeva, Moscow, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1968), 2(7), 24-8
 CODEN: KHFZAN; ISSN: 0023-1134
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI For diagram(s), see printed CA Issue.
 AB The synthesis of 5-aminopyrazoles is described. To a boiling mixture of

0.05 mole RNHNH₂, 0.055 mole concentrated HCl and 10 ml. H₂O was added slowly under stirring 0.05 mole R₁C(:NH)CHR₂CN in 20 ml. iso-PrOH and the mixture was refluxed 20 min. on a H₂O bath. After adding 60 ml. concentrated HCl, refluxing was continued 2 hrs. The solvent and excess HCl were evaporated in vacuo, the residue treated with an excess of 40% KOH and if the separated oil did not crystallize it was extracted with C₆H₆ or ether and distilled in vacuo.

The following I were prepared (R, R₁, R₂, % yield, and b.p./mm. given):

iso-Pr, Et, Me, 73.6, 116°/8; Et, Et, Me, 90.3, 130-5°/10;

Me, Et, Me, 43, 130-5°/15; Me, Me, H, 12.6, 115-18°/8;

(CH₂)₃NMe₂, Me, H, 41, 155-63°/3. A mixture of 0.05 mole RNHNH₂ and

0.05 mole β-keto ester was refluxed 12 hrs. on a water bath in 50 ml.

tert-BuOH, 5 ml. H₂O, and 5 ml. 50% AcOH, and the solvent was evaporated in vacuo. to give the following II (R, R₁, R₂, % yield, and m.p. given):

α-C₅H₄N, CH₂Ph, Ph, 59, 190°; (CH₂)₂C₅H₄N-γ, CH₂Ph, Ph,

37.2, 148°; β-C₅H₄N, CH₂Ph, Ph, 82.2, 198°;

γ-C₅H₉NMe, Ph, H, 51.8, 105°; γ-C₅H₉NMe, CH₂Ph, Ph,

50.4, 204°. Heating 0.04 mole α-,β- or

γ-pyridylhydrazine in 10 ml. iso-PrOH 1 hr. on a water-bath with

0.04 mole acetylacetone gave the following III (R, % yield, and b.p./mm.

given): γ-C₅H₄N, 63.5, 160°/20; α-C₅H₄N, 60.7,

130°/18; (CH₂)₂C₅H₄N-α, 77.9, 152-5°/15; β-C₅H₄N,

63.5, 152-4°/18; (CH₂)₂C₅H₄N-γ, 74.6, 173-5°/18.

Pyrazole carbamates (IV) were prepared by refluxing 1 hr. an equimolar mixture of methyl isocyanatocarbamate and 5-aminopyrazole in absolute HCONMe₂. The following IV were prepared (R, R₁, R₂, % yield, and m.p. given).

(CH₂)₂C₅H₄N-α, p-C₆H₄NHCON-HMe, H, 62, 227-8°;

(CH₂)₂C₅H₄N-γ, p-C₆H₄HCONHMe, H, 61, 227-8°;

(CH₂)₂C₅H₄N-α, CH₂Ph, Ph, 58.8, 160-1°; (CH₂)₂-C₅H₄N-α,

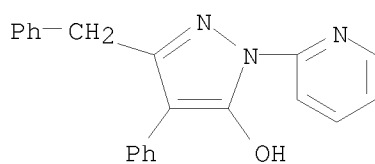
C₅H₁₁, Bu, 54.8, 76-8°.

IT 21018-65-5P 22301-80-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

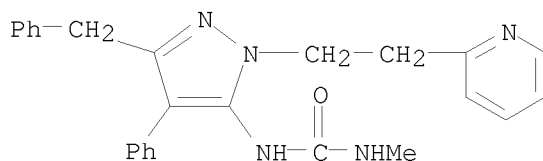
RN 21018-65-5 CAPLUS

CN Pyrazol-5-ol, 3-benzyl-4-phenyl-1-(2-pyridyl)- (8CI) (CA INDEX NAME)

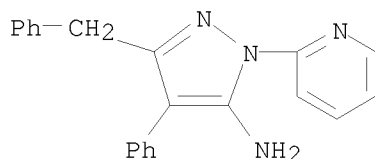


RN 22301-80-0 CAPLUS

CN Urea, 1-[3-benzyl-4-phenyl-1-[2-(2-pyridyl)ethyl]pyrazol-5-yl]-3-methyl-
(8CI) (CA INDEX NAME)

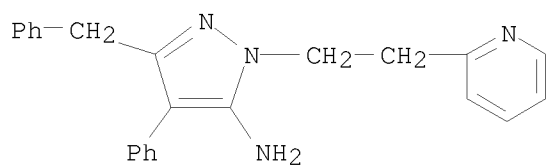


DOCUMENT NUMBER: 69:59155
 ORIGINAL REFERENCE NO.: 69:11059a
 TITLE: Pyrazoles. LXII. The synthesis of 5-aminopyrazole series with potential physiological activity
 AUTHOR(S): Grandberg, I. I.; Krokhina, N. F.
 CORPORATE SOURCE: Mosk. Sel'skokhoz. Akad. im. Timiryazeva, Moscow, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1968), 2(1), 16-22
 CODEN: KHFZAN; ISSN: 0023-1134
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI For diagram(s), see printed CA Issue.
 AB EtCN (110 g.) in 150 cc. absolute Et2O was added carefully very slowly to 27.6 g. fine powdered Na in 150 cc. boiling Et2O, the mixture refluxed 8 hrs., and the product decomposed with 100 cc. H2O to give 27.5% RCH2C(:NH)CHRCN (I) (R = Me), b3 105-8°. Similarly, 30.8% I (R = H), 20.3% I (R = Et, and 19.3% I (R = Bu) were obtained. PhCH2CN (117 g.) was added dropwise to 11.5 g. Na in 130 cc. absolute iso-PrOH, the mixture heated 30 min. on a boiling water bath, diluted with H2O, and extracted with Et2O, Et2O distilled, and unchanged PhCH2CN distilled with steam to give 29.7% PhCH2COCHPhCN, b3 230-40°, m. 91° (iso-PrOH) [Rf 0.73 on Al2O3 thin-layer in 20:1 CHCl3-MeOH], and 15% PhCH2C(:NH)CHPhCN, b3 245-70°; Rf 0.67. Iminonitrile (0.05 mole) in 20 cc. iso-PrOH was added slowly with stirring to a boiling mixture of 0.05 mole hydrazine, 0.055 mole concentrated HCl, and 20 cc. H2O, the mixture refluxed, 60 cc. concentrated HCl added, the mixture refluxed 2 hrs. and concentrated in vacuo, and the residue treated with 40% KOH to give I given in the 1st table. [TABLE OMITTED] Similarly, p-substituted ω-cyanoacetophenones gave I (R3 = H) given in the 2nd table. II (0.1 mole) was added slowly to 20 cc. N2H4.H2O, and 4 g. Raney Ni catalyst in 200 cc. boiling iso-PrOH, and the mixture refluxed 8 hrs. to give 86% I (R1 = R2 = p-H2NC6H4, R3 = H), m. 227-9° (alc.). [TABLE OMITTED] The preliminary results of the investigation of the physiol. activity of I were pos.
 IT 19541-71-0P 19551-22-5P 19551-25-8P
 20456-72-8P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)
 RN 19541-71-0 CAPLUS
 CN Pyridine, 2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)- (8CI) (CA INDEX NAME)



RN 19551-22-5 CAPLUS
 CN Pyridine, 2-[2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)ethyl]- (8CI) (CA INDEX NAME)

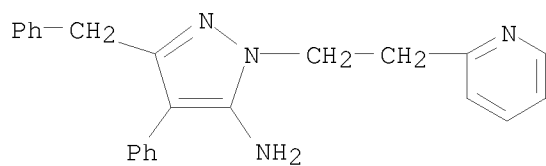
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RN 19551-25-8 CAPLUS
CN Pyridine, 2-[2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)ethyl]-, tartrate
(8CI) (CA INDEX NAME)

CM 1

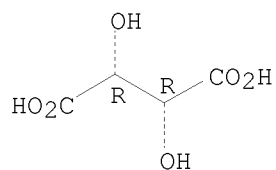
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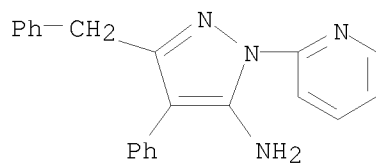
CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



RN 20456-72-8 CAPLUS
CN Pyridine, 2-(5-amino-3-benzyl-4-phenylpyrazol-1-yl)-, monohydrochloride
(8CI) (CA INDEX NAME)



● HCl

L4 ANSWER 50 OF 50 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1964:45681 CAPLUS

DOCUMENT NUMBER: 60:45681

ORIGINAL REFERENCE NO.: 60:8013e-h,8014a-c

TITLE: Reactions of hydrazine derivatives. XXXIX. Addition of hydrazine and substituted hydrazines to 2-vinylpyridine

AUTHOR(S): Kost, A. N.; Suminov, S. I.; Vinogradova, E. V.; Kozler, V.

CORPORATE SOURCE: State Univ., Moscow

SOURCE: Zhurnal Obshchei Khimii (1963), 33(11), 3606-13
CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

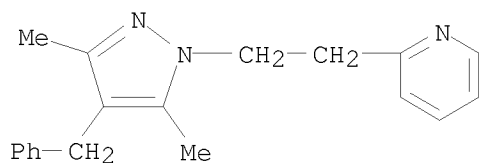
LANGUAGE: Unavailable

AB cf. CA 55, 13423d; 59, 13964g. To 65 ml. 96% N₂H₄.H₂O was added 25 ml. MeOH followed at 70-80° by 105 g. 2-vinylpyridine over 45-60 min.; after 8 hrs. at 80°, the mixture was left overnight and yielded 65-6.5% 2-(hydrazinoethyl)pyridine (I), b₁₂ 139-42°, b₄ 113-16°, n₂₀D 1.5552, d₂₀ 1.055, which slowly decomposed even in the cold; dipicrate decomposed 145-6° (149-50° in preheated bath); di-HCl salt m. 146.5-8° p-nitrobenzylidene derivative m. 118.5-19.5°; 2-hydroxynaphthaldehyde and salicylaldehyde gave colored hydrazones; treatment with Ph isothiocyanate gave N1-phenyl-N3-[2-(2-pyridyl)ethyl]thiosemicarbazide; excess PhNCS gave also some PhNHCSNHN(CH₂CH₂C₅H₄N)CSNHPH (probable structure), m. 180.5-1.0°. I and Me₂CO gave the isopropylidene derivative, b₁₅ 146-7°, n₂₀D 1.5315, d₂₀ 1.011 (dipicrate m. 151-2°). I and mesityl oxide in AcOH in 4 hrs. refluxing gave 52.5% 3,5,5-trimethyl-1-[2-(2-pyridyl)ethyl]pyrazoline, b₁₂ 153-7°, b₄ 158-9.5°, n₂₀D 1.5215, d₂₀ 1.005 (dipicrate m. 155-6°). Similarly I and AcCH₂CO₂Et in C₆H₆ gave after heating finally to 70° 82% 3-methyl-1-[2-(2-pyridyl)ethyl]-5-pyrazolone, m. 126-7°; similarly was prepared the 3-phenyl analog, m. 125-5.5°. I and Et α-butylacetoacetate gave 3-methyl-4-butyl-1-[2-(2-pyridyl)ethyl]pyrazolone, m. 75-6° (after freezing-out of Et₂OEtOH); picrate m. 121.5°. I and 3-benzyl-2,4-pentanedione in 5 hrs. on a steam bath gave 89% yellow 3,5-dimethyl-4-benzyl-1-[2-(2-pyridyl)ethyl]pyrazole, b₃ 189-93°, 1.5690, 1.1961 (dipicrolonate m. 204-5°); similarly I and Ac₂CH₂ gave 69% 3,5-dimethyl-1-[2-(2-pyridyl)ethyl]pyrazole (II), b_{2.5} 124-6°, 1.5398, 1.1458 (dipicrolonate m. 194-5°). 3-Propyl-2,4-pentanedione similarly gave 3,5-dimethyl-4-propyl-1-[2-(2-pyridyl)ethyl]pyrazole, b₃ 148-52°, 1.5269, 0.9766 (dipicrolonate m. 218-19°). II in AcOH was heated on a steam bath with 30% H₂O₂ 12 hrs. (with addition of fresh peroxide) and gave after evaporation 26% N-oxide, m. 248-9°. To a solution of Na in PhNHNH₂ prepared at 96°, was added 2-vinylpyridine and after 6 hrs. gave after quenching in ice 83.8% 2-[2-(1-phenylhydrazino)ethyl]pyridine, b_{3.5} 185-90°, 1.6105, (picrate m. 100-1°). This kept 1 day with 1-methyl-4-piperidone, then heated briefly, treated with alc. HCl, heated to boiling, and cooled overnight gave 95% 9-[2-(2-pyridyl)ethyl]-3-methyl-1,2,3,4-tetrahydrocarboline, m. 93-3.5°; HCl salt m. 190°; sulfate m. 150°. PhNHNH₂ and 2-vinylpyridine in 6:100 AcOH 20 hrs. at reflux gave after addition of KOH and extraction with CHCl₃-(CH₂Cl)₂ 29.3% 2-[2-(2-phenylhydrazino)ethyl]pyridine, b_{3.5} 159-63°, m. 122-3° (crude), m. 124.5-5° (from MeOH), along with a glassy substance, b₃ 180-215°; when the reaction above was run in more concentrated aqueous AcOH, much tar formed. 2-Vinylpyridine added to AcNHNH₂

at

120° gave in 6 hrs. 63.5% 2-[2-(2-acetylhydrazino)ethyl]pyridine, b2 173-8° (picrate m. 101-2°). 2-Vinylpyridine added to BzNHNH2 in boiling BuOH and refluxed 1 day and kept 1-2 days gave after dilution with Et2O, a low yield N-benzoyl-N',N'-bis[2-(2-pyridyl)ethyl]hydrazine, m. 137-7.5° (dipicrate m. 174.5-5.0°); the yield was 37% when the refluxing in BuOH extended 20 hrs. and the mixture was concentrated. Tetrahydroquinoline and 2-methyl-5-vinylpyridine in the presence of hydroquinone were treated on a steam bath with Na and after 6 hrs. gave 42.6% 2-methyl-5-(N-tetrahydroquinolyl)ethylpyridine, b4 185-6° (picrate m. 199-200°). Similarly, indoline gave only a trace of an oily product, b3 191°; similar reaction in refluxing AcOH in 6 hrs. gave N-acetylindoline, m. 105-6°, only. Ultraviolet spectra of the products are reported.

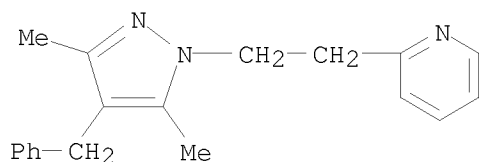
IT 94311-87-2P, Pyridine, 2-[2-(4-benzyl-3,5-dimethylpyrazol-1-yl)ethyl]- 106278-69-7P, Pyridine, 2-[2-(4-benzyl-3,5-dimethylpyrazol-1-yl)ethyl]-, dipicrolonate
 RL: PREP (Preparation)
 (preparation of)
 RN 94311-87-2 CAPLUS
 CN Pyridine, 2-[2-[3,5-dimethyl-4-(phenylmethyl)-1H-pyrazol-1-yl]ethyl]- (CA INDEX NAME)



RN 106278-69-7 CAPLUS
 CN Pyridine, 2-[2-[3,5-dimethyl-4-(phenylmethyl)-1H-pyrazol-1-yl]ethyl]-, compd. with 2,4-dihydro-5-methyl-4-nitro-2-(4-nitrophenyl)-3H-pyrazol-3-one (1:2) (CA INDEX NAME)

CM 1

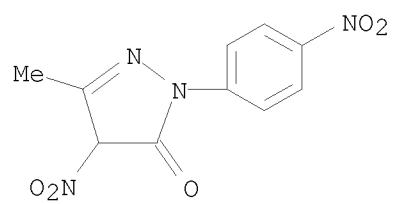
CRN 94311-87-2
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CM 2

CRN 550-74-3
 CMF C10 H8 N4 O5

10/551,709



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